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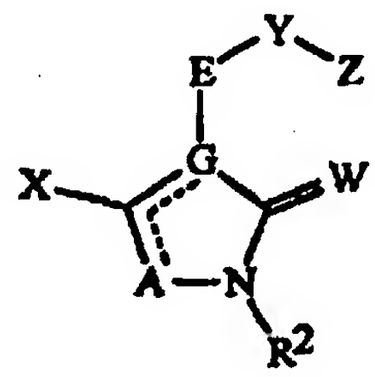
(54) Title: ARTHROPODICIDAL AND FUNGICIDAL CYCLIC AMIDES

(57) Abstract

Compounds of Formula (I), and their N-oxides and agriculturally suitable salts, are disclosed which are useful as fungicides and arthropodicides, wherein A is O; S; N; NR^5; or CR^{14}; G is C or N; provided that when G is C, then A is O, S or NR^5 and the floating double bond is attached to G; and when G is N, then A is N or CR^{14} and the floating double bond is attached to A; W is O; S; NH; N(C_1-C_6alkyl); or NO(C_1-C_6alkyl); X is OR^1; $S(O)_mR^1$; or halogen; R^1 is C_1-C_6alkyl; C_1-C_6haloalkyl; C_2-C_6alkenyl; C_2-C_6haloalkenyl; C_2-C_6alkynyl; C_2-C_6haloalkynyl; C_3-C_6cycloalkyl; C_2-C_4alkylcarbonyl; or C_2-C_4alkoxycarbonyl; R^2 is H; C_1-C_6alkyl; C_1-C_6haloalkyl; C_2-C_6alkenyl; C_2-C_6haloalkenyl; C_2-C_6alkynyl; C_2-C_6haloalkynyl; C_3-C_6cycloalkyl; C_2-C_4alkylcarbonyl; C_2-C_4alkoxycarbonyl; hydroxy; C_1-C_2alkoxy; or acetyloxy; m is 0, 1 or 2; and E, R^5, Y, Z and R^{14} are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling plant diseases caused by fungal plant pathogens which involves applying an effective amount of a compound of Formula (I). Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling arthropods which involves contacting the arthropods or their environment with an effective amount of a compound of formula (I).



(I)

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TITLE

ARTHROPODICIDAL AND FUNGICIDAL CYCLIC AMIDES

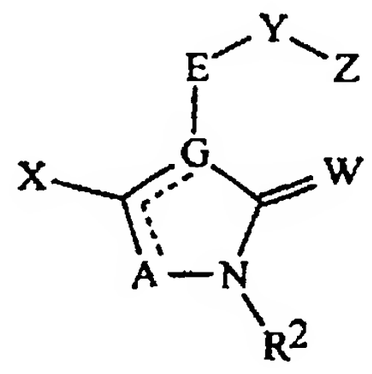
BACKGROUND OF THE INVENTION

This invention relates to certain cyclic amides, their *N*-oxides, agriculturally suitable salts and compositions, and methods of their use as fungicides and arthropodicides.

The control of plant diseases caused by fungal plant pathogens is extremely important in achieving high crop efficiency. Plant disease damage to ornamental, vegetable, field, cereal, and fruit crops can cause significant reduction in productivity and thereby result in increased costs to the consumers. The control of arthropod pests is also extremely important in achieving high crop efficiency. Arthropod damage to growing and stored agronomic crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. The control of arthropod pests in forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health is also important. Many products are commercially available for these purposes, but the need continues for new compounds which are more effective, less costly, less toxic, environmentally safer or have different modes of action.

SUMMARY OF THE INVENTION

This invention is directed to compounds of Formula I including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof, agricultural compositions containing them and their use as fungicides and arthropodicides:



I

wherein

E is selected from:

i) 1,2-phenylene optionally substituted with one of R^3, R^4, or both R^3 and R^4;

ii) a naphthalene ring, provided that when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, the naphthalene ring optionally substituted with one of R^3, R^4, or both R^3 and R^4; and

iii) a ring system selected from 5 to 12-membered monocyclic and fused bicyclic aromatic heterocyclic ring systems, each heterocyclic ring system

containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each fused bicyclic ring system optionally containing one nonaromatic ring that optionally includes one or two Q as ring members and optionally includes one or two ring members independently selected from C(=O) and $S(O)_2$, provided that G is attached to an aromatic ring, and when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, each aromatic heterocyclic ring system optionally substituted with one of R^3, R^4, or both R^3 and R^4;

A is O; S; N; NR^5; or CR^{14};

G is C or N; provided that when G is C, then A is O, S or NR^5 and the floating double bond is attached to G; and when G is N, then A is N or CR^{14} and the floating double bond is attached to A;

W is O; S; NH; $N(C_1\text{-}C_6$ alkyl); or $NO(C_1\text{-}C_6$ alkyl);

X is OR^1; $S(O)_mR^1$; or halogen;

R^1 is $C_1\text{-}C_6$ alkyl; $C_1\text{-}C_6$ haloalkyl; $C_2\text{-}C_6$ alkenyl; $C_2\text{-}C_6$ haloalkenyl; $C_2\text{-}C_6$ alkynyl; $C_2\text{-}C_6$ haloalkynyl; $C_3\text{-}C_6$ cycloalkyl; $C_2\text{-}C_4$ alkylcarbonyl; or $C_2\text{-}C_4$ alkoxycarbonyl;

R^2 is H; $C_1\text{-}C_6$ alkyl; $C_1\text{-}C_6$ haloalkyl; $C_2\text{-}C_6$ alkenyl; $C_2\text{-}C_6$ haloalkenyl; $C_2\text{-}C_6$ alkynyl; $C_2\text{-}C_6$ haloalkynyl; $C_3\text{-}C_6$ cycloalkyl; $C_2\text{-}C_4$ alkylcarbonyl; $C_2\text{-}C_4$ alkoxycarbonyl; hydroxy; $C_1\text{-}C_2$ alkoxy; or acetyloxy;

R^3 and R^4 are each independently halogen; cyano; nitro; hydroxy; $C_1\text{-}C_6$ alkyl; $C_1\text{-}C_6$ haloalkyl; $C_2\text{-}C_6$ alkenyl; $C_2\text{-}C_6$ haloalkenyl; $C_2\text{-}C_6$ alkynyl; $C_2\text{-}C_6$ haloalkynyl; $C_1\text{-}C_6$ alkoxy; $C_1\text{-}C_6$ haloalkoxy; $C_2\text{-}C_6$ alkenyloxy; $C_2\text{-}C_6$ alkynyloxy; $C_1\text{-}C_6$ alkylthio; $C_1\text{-}C_6$ alkylsulfinyl; $C_1\text{-}C_6$ alkylsulfonyl; formyl; $C_2\text{-}C_6$ alkylcarbonyl; $C_2\text{-}C_6$ alkoxycarbonyl; $NH_2C(O)$; $(C_1\text{-}C_4$ alkyl)$NHC(O)$; $(C_1\text{-}C_4$ alkyl$)_2NC(O)$; $Si(R^{25})_3$; $Ge(R^{25})_3$; $(R^{25})_3Si\text{-}C{\equiv}C\text{-}$; or phenyl, phenylethynyl, benzoyl or phenylsulfonyl, each substituted with R^8 and optionally substituted with one or more R^{10}; or

when E is 1,2-phenylene and R^3 and R^4 are attached to adjacent atoms, R^3 and R^4 can be taken together as $C_3\text{-}C_5$ alkylene, $C_3\text{-}C_5$ haloalkylene, $C_3\text{-}C_5$ alkenylene or $C_3\text{-}C_5$ haloalkenylene, each optionally substituted with 1-2 $C_1\text{-}C_3$ alkyl;

R^5 is H; $C_1\text{-}C_6$ alkyl; $C_1\text{-}C_6$ haloalkyl; $C_2\text{-}C_6$ alkenyl; $C_2\text{-}C_6$ haloalkenyl; $C_2\text{-}C_6$ alkynyl; $C_2\text{-}C_6$ haloalkynyl; $C_3\text{-}C_6$ cycloalkyl; $C_2\text{-}C_4$ alkylcarbonyl; or $C_2\text{-}C_4$ alkoxycarbonyl;

Y is -O-; -S(O)$_n$-; -NR^{15}-; -C(=O)-; -CH(OR^{15})-; -CHR^6-; -CHR^{6}CHR^6-; -CR^6=CR^6-; -C≡C-; -CHR^{15}O-; -OCHR^{15}-; -CHR^{15}S(O)$_n$-; -S(O)$_n$CHR^{15}-; -CHR^{15}O-N=C(R^7)-; -(R^7)C=N-OCH(R^{15})-; -C(R^7)=N-O-; -O-N=C(R^7)-; -CHR^{15}OC(=O)N(R^{15})-; -CHR^{15}OC(=S)N(R^{15})-; -CHR^{15}OC(=O)O-; -CHR^{15}OC(=S)O-; -CHR^{15}OC(=O)S-; -CHR^{15}OC(=S)S-; -CHR^{15}SC(=O)N(R^{15})-; -CHR^{15}SC(=S)N(R^{15})-; -CHR^{15}SC(=O)O-; -CHR^{15}SC(=S)O-; -CHR^{15}SC(=O)S-; -CHR^{15}SC(=S)S-; -CHR^{15}SC(=NR^{15})S-; -CHR^{15}N(R^{15})C(=O)N(R^{15})-; -CHR^{15}O-N(R^{15})C(=O)N(R^{15})-; -CHR^{15}O-N(R^{15})C(=S)N(R^{15})-; -CHR^{15}O-N=C(R^7)NR^{15}-; -CHR^{15}O-N=C(R^7)OCH$_2$-; -CHR^{15}O-N=C(R^7)-N=N-; -CHR^{15}O-N=C(R^7)-C(=O)-; -CHR^{15}O-N=C(R^7)-C(=N-A^2-Z^1)-A^1-; -CHR^{15}O-N=C(R^7)-C(R^7)=N-A^2-A^3-; -CHR^{15}O-N=C(-C(R^7)=N-A^2-Z^1)-; -CHR^{15}O-N=C(R^7)-CH$_2$O-; -CHR^{15}O-N=C(R^7)-CH$_2$S-; -O-CH$_2$CH$_2$O-N=C(R^7)-; -CHR^{15}O-C(R^{15})=C(R^7)-; -CHR^{15}O-C(R^7)=N-; -CHR^{15}S-C(R^7)=N-; -C(R^7)=N-NR^{15}-; -CH=N-N=C(R^7)-; -CHR^{15}N(R^{15})-N=C(R^7)-; -CHR^{15}N(COCH$_3$)-N=C(R^7)-; -OC(=S)NR^{15}C(=O)-; -CHR^6-C(=W^1)-A^1-; -CHR^{6}CHR^6-C(=W^1)-A^1-; -CR^6=CR^6-C(=W^1)-A^1-; -C≡C-C(=W^1)-A^1-; -N=CR^6-C(=W^1)-A^1-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to E and the moiety on the right side of the linkage is bonded to Z;

Z^1 is H or -A^3-Z^2;

W^1 is O or S;

A^1 is O; S; NR^{15}; or a direct bond;

A^2 is O; NR^{15}; or a direct bond;

A^3 is -C(=O)-; -S(O)$_2$-; or a direct bond;

Z^2 is selected from:

i) C$_1$-C$_{10}$ alkyl, C$_2$-C$_{10}$ alkenyl and C$_2$-C$_{10}$ alkynyl, each optionally substituted with one or more R^{10};

ii) C$_3$-C$_8$ cycloalkyl, C$_3$-C$_8$ cycloalkenyl and phenyl, each optionally substituted with one or more R^{10};

iii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic and fused tricyclic nonaromatic heterocyclic ring systems and 5 to 14-membered monocyclic, fused bicyclic and fused tricyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4

nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system optionally substituted with one or more R^{10};

iv) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from C(=O) and $S(O)_2$, and any remaining rings as aromatic carbocyclic rings, each multicyclic ring system optionally substituted with one or more R^{10}; and

v) adamantyl optionally substituted with one or more R^{10};

each R^6 is independently H; 1-2 CH_3; C_2-C_3 alkyl; C_1-C_3 alkoxy; C_3-C_6 cycloalkyl; formylamino; C_2-C_4 alkylcarbonylamino; C_2-C_4 alkoxycarbonylamino; $NH_2C(O)NH$; (C_1-C_3 alkyl)NHC(O)NH; (C_1-C_3 alkyl)$_2$NC(O)NH; N(C_1-C_3 alkyl)$_2$; piperidinyl; morpholinyl; 1-2 halogen; cyano; or nitro;

each R^7 is independently H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_1-C_6 alkylthio; C_1-C_6 alkylsulfinyl; C_1-C_6 alkylsulfonyl; C_1-C_6 haloalkylthio; C_1-C_6 haloalkylsulfinyl; C_1-C_6 haloalkylsulfonyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; C_2-C_4 alkylcarbonyl; C_2-C_4 alkoxycarbonyl; halogen; cyano; nitro; hydroxy; amino; NH(C_1-C_6 alkyl); N(C_1-C_6 alkyl)$_2$; or morpholinyl;

Z is selected from:

i) C_3-C_8 cycloalkyl, C_3-C_8 cycloalkenyl and phenyl, each substituted with R^9 and optionally substituted with one or more R^{10};

ii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic and fused tricyclic nonaromatic heterocyclic ring systems and 5 to 14-membered monocyclic, fused bicyclic and fused tricyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system substituted with R^9 and optionally substituted with one or more R^{10};

iii) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing

one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from C(=O) and $S(O)_2$, and any remaining rings as aromatic carbocyclic rings, each multicyclic ring system substituted with R^9 and optionally substituted with one or more R^{10}; and

iv) adamantyl substituted with R^9 and optionally substituted with one or more R^{10};

each Q is independently selected from the group $-CHR^{13}-$, $-NR^{13}-$, -O- and $-S(O)_p-$;

R^8 is H; 1-2 halogen; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_1-C_6 alkylthio; C_1-C_6 haloalkylthio; C_1-C_6 alkylsulfinyl; C_1-C_6 alkylsulfonyl; C_3-C_6 cycloalkyl; C_3-C_6 alkenyloxy; CO_2(C_1-C_6 alkyl); NH(C_1-C_6 alkyl); N(C_1-C_6 alkyl)$_2$; cyano; nitro; $SiR^{19}R^{20}R^{21}$; or $GeR^{19}R^{20}R^{21}$;

R^9 is C_1-C_6 alkyl substituted with 2-3 C_1-C_3 alkoxy; C_2-C_4 alkynyl substituted with one hydroxy or 1-3 C_1-C_4 alkoxy; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl substituted with at least one member selected from 1-4 halogen, 1-2 C_1-C_3 alkyl, 1-2 C_1-C_3 alkoxy and one Z^3; C_3-C_6 cycloalkenyl or C_3-C_6 cycloalkoxy each optionally substituted with at least one member selected from 1-2 halogen, 1-2 C_1-C_3 alkyl, 1-2 C_1-C_3 alkoxy and one Z^3; adamantyl; C_2-C_6 alkoxyalkyl; C_2-C_6 alkylthioalkyl; C_2-C_6 cyanoalkyl; C_3-C_6 alkoxyalkynyl; C_7-C_{10} tetrahydropyranyloxyalkynyl; C_1-C_3 alkoxy substituted with cyano, $C(=O)OR^{26}$ or $C(=O)N(R^{26})_2$; C_3-C_6 haloalkenyloxy; C_3-C_6 alkynyloxy; C_3-C_6 haloalkynyloxy; C_2-C_6 alkoxyalkoxy; C_5-C_9 trialkylsilylalkoxyalkoxy; C_2-C_6 alkylthioalkoxy; C_1-C_3 alkylthio substituted with cyano, $C(=O)OR^{26}$ or $C(=O)N(R^{26})_2$; C_1-C_6 haloalkylsulfinyl; C_1-C_6 haloalkylsulfonyl; C_3-C_6 alkenylthio; C_3-C_6 haloalkenylthio; C_3-C_6 alkynylthio; C_3-C_6 haloalkynylthio; C_2-C_6 alkoxyalkylthio; C_2-C_6 alkylthioalkylthio; thiocyanato; hydroxy; mercapto; amino; $N(R^{26})(R^{28})$; $SiR^{22}R^{23}R^{24}$; $GeR^{22}R^{23}R^{24}$; $(R^{25})_3Si-C\equiv C-$; $OSi(R^{25})_3$; $OGe(R^{25})_3$; $C(=O)R^{29}$; $C(=S)R^{26}$; $C(=O)OR^{30}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$; $C(=O)N(R^{26})_2$; $C(=S)N(R^{26})_2$; $C(=NR^{26})OR^{27}$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$; $SC(=S)R^{26}$; $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$; $OC(=O)SR^{27}$; $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; $OS(O)_2R^{27}$; or $N(R^{26})S(O)_2R^{27}$; or R^9 is benzyloxy, benzyloxymethyl, phenylethynyl, phenoxymethyl, phenylthio, phenylsulfonyl, benzylthio, pyridinylmethyl, pyridinylmethyloxy, pyridinyloxymethyl, pyridinylethynyl, pyridinylthio,

thienylmethyl, thienylthio, furanylmethyl, furanyloxy, furanylthio, pyrimidinylmethyl or pyrimidinylthio, each optionally substituted on the aromatic ring with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or R^9 is C_2-C_6 alkyl or C_2-C_6 alkoxy substituted with 1-2 phenyl, naphthalenyl, phenoxy, benzyloxy, pyridinyl, pyrimidinyl, thienyl or furanyl, each aromatic ring optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or R^9 is $-A^4$-Z^4;

each R^{10} is independently halogen; C_1-C_4 alkyl optionally substituted with 1-3 C_1-C_3 alkoxy; C_1-C_4 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; C_2-C_6 alkoxyalkyl; C_2-C_6 alkylthioalkyl; C_2-C_6 cyanoalkyl; C_3-C_6 alkoxyalkynyl; C_7-C_{10} tetrahydropyranyloxyalkynyl; benzyloxymethyl; C_1-C_4 alkoxy; C_1-C_4 haloalkoxy; C_3-C_6 alkenyloxy; C_3-C_6 haloalkenyloxy; C_3-C_6 alkynyloxy; C_3-C_6 haloalkynyloxy; C_3-C_6 cycloalkoxy; C_2-C_6 alkoxyalkoxy; C_5-C_9 trialkylsilylalkoxyalkoxy; C_2-C_6 alkylthioalkoxy; C_1-C_4 alkylthio; C_1-C_4 haloalkylthio; C_1-C_4 alkylsulfinyl; C_1-C_4 haloalkylsulfinyl; C_1-C_4 alkylsulfonyl; C_1-C_4 haloalkylsulfonyl; C_3-C_6 alkenylthio; C_3-C_6 haloalkenylthio; C_3-C_6 alkynylthio; C_3-C_6 haloalkynylthio; C_2-C_6 alkoxyalkylthio; C_2-C_6 alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; mercapto; $N(R^{26})_2$; SF_5; $Si(R^{25})_3$; $Ge(R^{25})_3$; $(R^{25})_3Si$-C≡C-; $OSi(R^{25})_3$; $OGe(R^{25})_3$; $-C(R^{18})=NOR^{17}$; $C(=O)R^{26}$; $C(=S)R^{26}$; $C(=O)OR^{26}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$; $C(=O)N(R^{26})_2$; $C(=S)N(R^{26})_2$; $C(=NR^{26})OR^{27}$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$; $SC(=S)R^{26}$; $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$; $OC(=O)SR^{27}$; $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; $OS(O)_2R^{27}$; $N(R^{26})S(O)_2R^{27}$; or phenyl, benzyl or phenoxy, each optionally substituted on the phenyl ring with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or

when Y and an R^{10} are attached to adjacent atoms on Z and Y is $-CHR^{15}O$-N=C(R^7)-, -O-N=C(R^7)-, $-O-CH_2CH_2O$-N=C(R^7)-, $-CHR^{15}O$-C(R^{15})=C(R^7)-, -CH=N-N=C(R^7)-, $-CHR^{15}N(R^{15})$-N=C(R^7)- or $-CHR^{15}N(COCH_3)$-N=C(R^7)-, R^7 and said adjacently attached R^{10} can be taken together as $-(CH_2)_r$-J- such that J is attached to Z;

J is $-CH_2$-; $-CH_2CH_2$-; $-OCH_2$-; $-CH_2O$-; $-SCH_2$-; $-CH_2S$-; $-N(R^{16})CH_2$-; or $-CH_2N(R^{16})$-; each CH_2 group of said J optionally substituted with 1 to 2 CH_3;

Z^3 is phenyl, naphthalenyl, 1*H*-pyrrolyl, furanyl, thienyl, 1*H*-pyrazolyl, 1*H*-imidazolyl, isoxazolyl, oxazolyl, isothiazolyl, thiazolyl,

1*H*-1,2,3-triazolyl, 2*H*-1,2,3-triazolyl, 1*H*-1,2,4-triazolyl, 4*H*-1,2,4-triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1*H*-tetrazolyl, 2*H*-tetrazolyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl or 1,2,4,5-tetrazinyl, each optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12};

A^4 is O; S; straight-chain or branched C_1-C_6 alkylene; or a direct bond;

Z^4 is selected from:

i) 1*H*-pyrrolyl, 1*H*-pyrazolyl, 1*H*-imidazolyl, isoxazolyl, oxazolyl, isothiazolyl, thiazolyl, 1*H*-1,2,3-triazolyl, 2*H*-1,2,3-triazolyl, 1*H*-1,2,4-triazolyl, 4*H*-1,2,4-triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1*H*-tetrazolyl, 2*H*-tetrazolyl, pyridazinyl, pyrazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl and 1,2,4,5-tetrazinyl; each optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12};

ii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic and fused tricyclic nonaromatic heterocyclic ring systems and 8 to 14-membered fused bicyclic and fused tricyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}; and

iii) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from C(=O) and $S(O)_2$, and any remaining rings as aromatic carbocyclic rings, each multicyclic ring system optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12};

each R^{11} and each R^{12} are independently 1-2 halogen; C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_2-C_6 alkoxyalkyl; C_2-C_6 alkylthioalkyl; C_3-C_6 alkoxyalkynyl; C_7-C_{10} tetrahydropyranyloxyalkynyl; benzyloxymethyl; C_1-C_4 alkoxy; C_1-C_4 haloalkoxy; C_3-C_6 alkenyloxy; C_3-C_6 haloalkenyloxy; C_3-C_6 alkynyloxy; C_3-C_6 haloalkynyloxy; C_2-C_6 alkoxyalkoxy; C_5-C_9

trialkylsilylalkoxyalkoxy; C_2-C_6 alkylthioalkoxy; C_1-C_4 alkylthio; C_1-C_4 haloalkylthio; C_1-C_4 alkylsulfinyl; C_1-C_4 haloalkylsulfinyl; C_1-C_4 alkylsulfonyl; C_1-C_4 haloalkylsulfonyl; C_3-C_6 alkenylthio; C_3-C_6 haloalkenylthio; C_2-C_6 alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; mercapto; $N(R^{26})_2$; SF_5; $Si(R^{25})_3$; $Ge(R^{25})_3$; $(R^{25})_3Si$-C≡C-; $OSi(R^{25})_3$; $OGe(R^{25})_3$; $C(=O)R^{26}$; $C(=S)R^{26}$; $C(=O)OR^{26}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$; $C(=O)N(R^{26})_2$; $C(=S)N(R^{26})_2$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$; $SC(=S)R^{26}$; $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$; $OC(=O)SR^{27}$; $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; $OS(O)_2R^{27}$; $N(R^{26})S(O)_2R^{27}$; or phenyl, phenoxy, benzyl, benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted on the aromatic ring with 1-2 groups independently selected from halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro and cyano;

each R^{13} is independently H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; or phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro or cyano;

R^{14} is H; halogen; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; or C_3-C_6 cycloalkyl;

each R^{15} is independently H; C_1-C_3 alkyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro or cyano; or

when Y is $-CHR^{15}N(R^{15})C(=O)N(R^{15})$-, the two R^{15} attached to nitrogen atoms on said group can be taken together as $-(CH_2)_S$-; or

when Y is $-CHR^{15}O$-N=$C(R^7)NR^{15}$-, R^7 and the adjacently attached R^{15} can be taken together as $-CH_2-(CH_2)_S$-; $-O-(CH_2)_S$-; $-S-(CH_2)_S$-; or $-N(C_1$-C_3 alkyl$)-(CH_2)_S$-; with the directionality of said linkage defined such that the moiety depicted on the left side of the linkage is bonded to the carbon and the moiety on the right side of the linkage is bonded to the nitrogen;

R^{16}, R^{17}, and R^{18} are each independently H; C_1-C_3 alkyl; C_3-C_6 cycloalkyl; or phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro or cyano;

R^{19}, R^{20}, R^{21}, R^{22}, and R^{23} are each independently C_1-C_6 alkyl; C_1-C_4 haloalkyl; C_2-C_6 alkenyl; C_1-C_4 alkoxy; or phenyl;

R^{24} is C_1-C_4 haloalkyl;

each R^{25} is independently C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_4 alkenyl; C_1-C_4 alkoxy; or phenyl;

each R^{26} is independently H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro and cyano;

each R^{27} is independently C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro and cyano;

each R^{28} is independently C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro and cyano;

R^{29} is H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or benzyl optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro and cyano;

R^{30} is H; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro and cyano;

m, n and p are each independently 0, 1 or 2;

r is 0 or 1; and

s is 2 or 3;

provided that when Y is $-CH(OR^{15})-$, $-CHR^6-$, $-CHR^6CHR^6-$, $-CR^6=CR^6-$, $-C\equiv C-$, $-CHR^{15}O-$, $-OCHR^{15}-$, $-S(O)_nCHR^{15}-$, $-(R^7)C=N-OCH(R^{15})-$, $-CHR^{15}O-N=C(R^7)-CH_2O-$, $-CHR^{15}O-C(R^{15})=C(R^7)-$, $-CHR^6-C(=W^1)-A^1-$, $-CHR^6CHR^6-C(=W^1)-A^1-$, $-CR^6=CR^6-C(=W^1)-A^1-$ or $-C\equiv C-C(=W^1)-A^1-$, then Z is other than phenyl, furanyl, thienyl, pyridinyl and pyrimidinyl.

DETAILS OF THE INVENTION

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The

term "1-2 CH_3" indicates that the substituent can be methyl or, when there is a hydrogen attached to the same atom, the substituent and said hydrogen can both be methyl. The term "1-2 alkyl" indicates that one or two of the available positions for that substituent may be alkyl which are independently selected. "Alkenyl" includes straight-chain or branched alkenes such as vinyl, 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. "Alkenyl" also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. "Alkynyl" includes straight-chain or branched alkynes such as ethynyl, 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl. "Alkylene" denotes a straight-chain (or branched when indicated) alkanediyl. Examples of "alkylene" include CH_2CH_2, $CH(CH_3)$, $CH_2CH_2CH_2$, $CH_2CH(CH_3)$, $CH_2CH_2CH_2CH_2$ and $CH_2CH_2CH_2CH_2CH_2$. "Alkenylene" denotes a straight-chain alkenediyl containing one olefinic bond. Examples of "alkenylene" include $CH_2CH{=}CH$, $CH_2CH_2CH{=}CH$, $CH_2CH{=}CHCH_2$ and $CH_2CH{=}CHCH_2CH_2$. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. The term "1-3 alkoxy" indicates that one to three of the available positions for that substituent may be alkoxy which are independently selected; and the term "1-2 alkoxy" is defined analogously. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH_3OCH_2, $CH_3OCH_2CH_2$, $CH_3CH_2OCH_2$, $CH_3CH_2CH_2CH_2OCH_2$ and $CH_3CH_2OCH_2CH_2$. "Alkoxyalkoxy" denotes alkoxy substitution on alkoxy. "Alkenyloxy" includes straight-chain or branched alkenyloxy moieties. Examples of "alkenyloxy" include $H_2C{=}CHCH_2O$, $(CH_3)_2C{=}CHCH_2O$, $(CH_3)CH{=}CHCH_2O$, $(CH_3)CH{=}C(CH_3)CH_2O$ and $CH_2{=}CHCH_2CH_2O$. "Alkynyloxy" includes straight-chain or branched alkynyloxy moieties. Examples of "alkynyloxy" include $HC{\equiv}CCH_2O$, $CH_3C{\equiv}CCH_2O$ and $CH_3C{\equiv}CCH_2CH_2O$. "Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Alkylthioalkyl" denotes alkylthio substitution on alkyl. Examples of "alkylthioalkyl" include CH_3SCH_2, $CH_3SCH_2CH_2$, $CH_3CH_2SCH_2$, $CH_3CH_2CH_2CH_2SCH_2$ and $CH_3CH_2SCH_2CH_2$. "Alkylthioalkylthio" denotes alkylthio substitution on alkylthio. Analogously, "alkoxyalkylthio" denotes alkoxy substitution on alkylthio and "alkylthioalkoxy" denotes alkylthio substitution on alkoxy. "Alkylsulfinyl" includes both enantiomers of an alkylsulfinyl group. Examples of "alkylsulfinyl" include $CH_3S(O)$, $CH_3CH_2S(O)$, $CH_3CH_2CH_2S(O)$, $(CH_3)_2CHS(O)$ and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers. Examples of "alkylsulfonyl" include $CH_3S(O)_2$, $CH_3CH_2S(O)_2$, $CH_3CH_2CH_2S(O)_2$, $(CH_3)_2CHS(O)_2$ and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. "Cyanoalkyl"

denotes an alkyl group substituted with one cyano group. Examples of "cyanoalkyl" include $NCCH_2$, $NCCH_2CH_2$ and $CH_3CH(CN)CH_2$. "Alkenylthio", "alkoxyalkynyl", and the like, are defined analogously to the above examples. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl. The term "cycloalkoxy" includes the same groups linked through an oxygen atom such as cyclopentyloxy and cyclohexyloxy. "Cycloalkenyl" includes groups such as cyclopentenyl and cyclohexenyl as well as groups with more than one double bond such as 1,3- and 1,4-cyclohexadienyl. "Trialkylsilylalkoxyalkoxy" denotes trialkylsilylalkoxy substitution on alkoxy. Examples of "trialkylsilylalkoxyalkoxy" includes, for example, $(CH_3)_3SiCH_2CH_2OCH_2O$. The term "1-2 phenyl" indicates that one or two of the available positions for that substituent may be phenyl. The term "aromatic carbocyclic ring system" includes fully aromatic carbocycles and carbocycles in which at least one ring of a polycyclic ring system is aromatic (where aromatic indicates that the Hückel rule is satisfied). The term "nonaromatic carbocyclic ring system" denotes fully saturated carbocycles as well as partially or fully unsaturated carbocycles where the Hückel rule is not satisfied by any of the rings in the ring system. The term "aromatic heterocyclic ring system" includes fully aromatic heterocycles and heterocycles in which at least one ring of a polycyclic ring system is aromatic (where aromatic indicates that the Hückel rule is satisfied). The term "nonaromatic heterocyclic ring system" denotes fully saturated heterocycles as well as partially or fully unsaturated heterocycles where the Hückel rule is not satisfied by any of the rings in the ring system. The heterocyclic ring systems can be attached through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen. One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *m*-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethydioxirane. These methods for the preparation of *N*-oxides have been extensively described and reviewed in the literature, see for example: T. L. Gilchrist in *Comprehensive Organic Synthesis*, vol. 7, pp 748-750, S. V. Ley, Ed., Pergamon Press; M. Tisler and B. Stanovnik in *Comprehensive Heterocyclic Chemistry*, vol. 3, pp 18-20, A. J. Boulton and A. McKillop, Eds., Pergamon Press; M. R. Grimmett and B. R. T. Keene in *Advances in Heterocyclic Chemistry*, vol. 43, pp 149-161, A. R. Katritzky, Ed.,

Academic Press; M. Tisler and B. Stanovnik in *Advances in Heterocyclic Chemistry*, vol. 9, pp 285-291, A. R. Katritzky and A. J. Boulton, Eds., Academic Press; and G. W. H. Cheeseman and E. S. G. Werstiuk in *Advances in Heterocyclic Chemistry*, vol. 22, pp 390-392, A. R. Katritzky and A. J. Boulton, Eds., Academic Press.

The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. The term "1-2 halogen" indicates that one or two of the available positions for that substituent may be halogen which are independently selected. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C, $ClCH_2$, CF_3CH_2 and CF_3CCl_2. The terms "haloalkenyl", "haloalkynyl", "haloalkoxy", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(Cl)_2C{=}CHCH_2$ and $CF_3CH_2CH{=}CHCH_2$. Examples of "haloalkynyl" include $HC{\equiv}CCHCl$, $CF_3C{\equiv}C$, $CCl_3C{\equiv}C$ and $FCH_2C{\equiv}CCH_2$. Examples of "haloalkoxy" include CF_3O, CCl_3CH_2O, $HCF_2CH_2CH_2O$ and CF_3CH_2O. Examples of "haloalkylthio" include CCl_3S, CF_3S, CCl_3CH_2S and $ClCH_2CH_2CH_2S$. Examples of "haloalkylsulfinyl" include $CF_3S(O)$, $CCl_3S(O)$, $CF_3CH_2S(O)$ and $CF_3CF_2S(O)$. Examples of "haloalkylsulfonyl" include $CF_3S(O)_2$, $CCl_3S(O)_2$, $CF_3CH_2S(O)_2$ and $CF_3CF_2S(O)_2$.

The total number of carbon atoms in a substituent group is indicated by the "C_i-C_j" prefix where i and j are numbers from 1 to 10. For example, C_1-C_3 alkylsulfonyl designates methylsulfonyl through propylsulfonyl. Examples of "alkylcarbonyl" include $C(O)CH_3$, $C(O)CH_2CH_2CH_3$ and $C(O)CH(CH_3)_2$. Examples of "alkoxycarbonyl" include $CH_3OC(=O)$, $CH_3CH_2OC(=O)$, $CH_3CH_2CH_2OC(=O)$, $(CH_3)_2CHOC(=O)$ and the different butoxy- or pentoxycarbonyl isomers. In the above recitations, when a compound of Formula I is comprised of one or more heterocyclic rings, all substituents are attached to these rings through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

When a group contains a substituent which can be hydrogen, for example R^8 or R^{13}, then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. Accordingly, the present invention comprises compounds selected from Formula I, *N*-oxides and

agriculturally suitable salts thereof. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

The salts of the compounds of the invention include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. The salts of the compounds of the invention also include those formed with organic bases (e.g., pyridine, ammonia, or triethylamine) or inorganic bases (e.g., hydrides, hydroxides, or carbonates of sodium, potassium, lithium, calcium, magnesium or barium) when the compound contains an acidic group such as a phenol.

Preferred compounds for reasons of better activity and/or ease of synthesis are:

Preferred 1. Compounds of Formula I above, and *N*-oxides and agriculturally suitable salts thereof, wherein:

E is selected from the group 1,2-phenylene; 1,5-, 1,6-, 1,7-, 1,8-, 2,6-, 2,7-, 1,2-, and 2,3-naphthalenediyl; 1*H*-pyrrole-1,2-, 2,3- and 3,4-diyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 1*H*-pyrazole-1,5-, 3,4- and 4,5-diyl; 1*H*-imidazole-1,2-, 4,5- and 1,5-diyl; 3,4- and 4,5-isoxazolediyl; 4,5-oxazolediyl; 3,4- and 4,5-isothiazolediyl; 4,5-thiazolediyl; 1*H*-1,2,3-triazole-1,5- and 4,5-diyl; 2*H*-1,2,3-triazole-4,5-diyl; 1*H*-1,2,4-triazole-1,5-diyl; 4*H*-1,2,4-triazole-3,4-diyl; 1,2,3-oxadiazole-4,5-diyl; 1,2,5-oxadiazole-3,4-diyl; 1,2,3-thiadiazole-4,5-diyl; 1,2,5-thiadiazole-3,4-diyl; 1*H*-tetrazole-1,5-diyl; 2,3- and 3,4-pyridinediyl; 3,4- and 4,5-pyridazinediyl; 4,5-pyrimidinediyl; 2,3-pyrazinediyl; 1,2,3-triazine-4,5-diyl; 1,2,4-triazine-5,6-diyl; 1*H*-indole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 1,2-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; benzo[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 1*H*-indazole-1,4-, 1,5-, 1,6-, 1,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 1*H*-benzimidazole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl; 1,2-benzisoxazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzoxazolediyl; 1,2-benzisothiazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzothiazolediyl; 2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3-, 3,4-, 5,6-, 6,7- and 7,8-quinolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 3,5-, 3,6-, 3,7-,

3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-isoquinolinediyl; 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-cinnolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 5,6-, 6,7- and 7,8-phthalazinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-quinazolinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 2,3-, 5,6-, 6,7- and 7,8-quinoxalinediyl; 1,8,-naphthyridine-2,5-, 2,6-, 2,7-, 3,5-, 3,6-, 4,5-, 2,3- and 3,4-diyl; 2,6-, 2,7-, 4,6-, 4,7-, 6,7-pteridinediyl; pyrazolo[5,1-*b*]thiazole-2,6-, 2,7-, 3,6-, 3,7-, 2,3- and 6,7-diyl; thiazolo[2,3-*c*]-1,2,4-triazole-2,5-, 2,6-, 5,6-diyl;

2-oxo-1,3-benzodioxole-4,5- and 5,6-diyl;

1,3-dioxo-1*H*-isoindole-2,4-, 2,5-, 4,5- and 5,6-diyl;

2-oxo-2*H*-1-benzopyran-3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-diyl; [1,2,4]triazolo[1,5-*a*]pyridine-2,5-, 2,6-, 2,7-, 2,8-, 5,6-, 6,7- and 7,8-diyl;

3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazine-3,5-, 3,6-, 3,7-, 3,8-, 5,6-, 6,7- and 7,8-diyl; 2,3-dihydro-2-oxo-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl; thieno[3,2-*d*]thiazole-2,5-, 2,6-, and 5,6-diyl; 5,6,7,8-tetrahydro-2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3- and 3,4-quinolinediyl;

2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazole-2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl; 1,3-benzodioxole-2,4-, 2,5-, 4,5- and 5,6-diyl; 2,3-dihydro-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl;

2,3-dihydro-1,4-benzodioxin-2,5-, 2,6-, 2,7-, 2,8-, 5,6- and 6,7-diyl; and 5,6,7,8-tetrahydro-4*H*-cyclohepta[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 2,8-, 3,4-, 3,5-, 3,6-, 3,7-, 3,8-, and 2,3-diyl; each aromatic ring system optionally substituted with one of R^3, R^4, or both R^3 and R^4;

W is O;

R^1 is C_1-C_3 alkyl or C_1-C_3 haloalkyl;

R^2 is H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; or C_3-C_6 cycloalkyl;

R^3 and R^4 are each independently halogen; cyano; nitro; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_1-C_6 alkylthio; C_1-C_6 alkylsulfonyl; C_2-C_6 alkylcarbonyl; C_2-C_6 alkoxycarbonyl; (C_1-C_4 alkyl)NHC(O); (C_1-C_4 alkyl)$_2$NC(O); benzoyl; or phenylsulfonyl;

Y is -O-; -S(O)$_n$-; -NR^{15}-; -C(=O)-; -CH(OR^{15})-; -CH$_2$-; -CH$_2$CH$_2$-; -CH=CH-; -C≡C-; -CH$_2$O-; -OCH$_2$-; -CH$_2$S(O)$_n$-; -S(O)$_n$CH$_2$-;

$-CH_2O-N=C(R^7)-$; $-(R^7)C=N-OCH(R^{15})-$; $-C(R^7)=N-O-$; or a direct bond;

R^7 is H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 alkylthio; C_2-C_6 alkenyl; C_2-C_6 alkynyl; C_3-C_6 cycloalkyl; halogen; or cyano; or

when Y and an R^{10} are attached to adjacent atoms on Z and Y is $-CH_2O-N=C(R^7)-$, R^7 and said adjacently attached R^{10} can be taken together as $-(CH_2)_r-J-$ such that J is attached to Z;

Z is selected from the group C_3-C_8 cycloalkyl; phenyl; naphthalenyl; anthracenyl; phenanthrenyl; 1*H*-pyrrolyl; furanyl; thienyl; 1*H*-pyrazolyl; 1*H*-imidazolyl; isoxazolyl; oxazolyl; isothiazolyl; thiazolyl; 1*H*-1,2,3-triazolyl; 2*H*-1,2,3-triazolyl; 1*H*-1,2,4-triazolyl; 4*H*-1,2,4-triazolyl; 1,2,3-oxadiazolyl; 1,2,4-oxadiazolyl; 1,2,5-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,3-thiadiazolyl; 1,2,4-thiadiazolyl; 1,2,5-thiadiazolyl; 1,3,4-thiadiazolyl; 1*H*-tetrazolyl; 2*H*-tetrazolyl; pyridinyl; pyridazinyl; pyrimidinyl; pyrazinyl; 1,3,5-triazinyl; 1,2,4-triazinyl; 1,2,4,5-tetrazinyl; 1*H*-indolyl; benzofuranyl; benzo[*b*]thiophenyl; 1*H*-indazolyl; 1*H*-benzimidazolyl; benzoxazolyl; benzothiazolyl; quinolinyl; isoquinolinyl; cinnolinyl; phthalazinyl; quinazolinyl; quinoxalinyl; 1,8-naphthyridinyl; pteridinyl; 2,3-dihydro-1*H*-indenyl; 1,2,3,4-tetrahydronaphthalenyl; 6,7,8,9-tetrahydro-5*H*-benzocycloheptenyl; 5,6,7,8,9,10-hexahydrobenzocyclooctenyl; 2,3-dihydro-3-oxobenzofuranyl; 1,3-dihydro-1-oxoisobenzofuranyl; 2,3-dihydro-2-oxobenzofuranyl; 3,4-dihydro-4-oxo-2*H*-1-benzopyranyl; 3,4-dihydro-1-oxo-1*H*-2-benzopyranyl; 3,4-dihydro-3-oxo-1*H*-2-benzopyranyl; 3,4-dihydro-2-oxo-2*H*-1-benzopyranyl; 4-oxo-4*H*-1-benzopyranyl; 2-oxo-2*H*-1-benzopyranyl; 2,3,4,5-tetrahydro-5-oxo-1-benzoxepinyl; 2,3,4,5-tetrahydro-2-oxo-1-benzoxepinyl; 2,3-dihydro-1,3-dioxo-1*H*-isoindolyl; 1,2,3,4-tetrahydro-1,3-dioxoisoquinolinyl; 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazinyl; 2-oxo-1,3-benzodioxolyl;

2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazolyl; 9*H*-fluorenyl; azulenyl; and thiazolo[2,3-*c*]-1,2,4-triazolyl; each group substituted with R^9 and optionally substituted with one or more R^{10}; and

R^{15} is H; C_1-C_3 alkyl; or C_3-C_6 cycloalkyl.

Preferred 2. Compounds of Preferred 1 wherein:

E is selected from the group 1,2-phenylene; 1,6-, 1,7-, 1,2-, and 2,3-naphthalenediyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 2,3- and 3,4-pyridinediyl; 4,5-pyrimidinediyl; 2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; and benzo[*b*]thiophene-2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-diyl; each aromatic ring system optionally substituted with one of R^3, R^4, or both R^3 and R^4;

Z is selected from the group phenyl; naphthalenyl; 2-thiazolyl; 1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl; 1,3,4-thiadiazolyl; pyridinyl; and pyrimidinyl; each group substituted with R^9 and optionally substituted with one or more R^{10};

R^7 is H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 alkylthio; C_2-C_6 alkenyl; C_2-C_6 alkynyl; cyclopropyl; halogen; or cyano;

R^9 is C_3-C_6 cycloalkyl substituted with at least one member selected from 1-2 halogen, 1-2 C_1-C_3 alkyl, 1-2 C_1-C_3 alkoxy, and one Z^3; C_3-C_6 cycloalkoxy optionally substituted with at least one member selected from 1-2 halogen, 1-2 C_1-C_3 alkyl, 1-2 C_1-C_3 alkoxy, and one Z^3; C_1-C_6 haloalkylsulfinyl; C_1-C_6 haloalkylsulfonyl; thiocyanato; $SiR^{22}R^{23}R^{24}$; $GeR^{22}R^{23}R^{24}$; $(R^{25})_3Si$-C≡C-; $C(=O)R^{29}$; $C(=O)OR^{30}$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; or $OS(O)_2R^{27}$; or R^9 is benzyloxy, phenylethynyl, phenoxymethyl, phenylthio, phenylsulfonyl, benzylthio, pyridinylmethyloxy, pyridinyloxymethyl, pyridinylethynyl or furanyloxy, each optionally substituted on the aromatic ring with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or R^9 is C_2-C_6 alkyl or C_2-C_6 alkoxy substituted with 1-2 phenyl, naphthalenyl, phenoxy, benzyloxy, pyridinyl, pyrimidinyl, thienyl or furanyl, each aromatic ring optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}, or R^9 is -A^4-Z^4;

each R^{10} is independently halogen; C_1-C_4 haloalkyl; C_2-C_6 alkynyl; nitro; cyano; $Si(R^{25})_3$; or $(R^{25})_3Si$-C≡C-; or

when Y and an R^{10} are attached to adjacent atoms on Z and Y is $-CH_2O-N=C(R^7)-$, R^7 and said adjacently attached R^{10} can be taken together as $-(CH_2)_r-J-$ such that J is attached to Z;

J is $-CH_2-$ or $-CH_2CH_2-$;

Z^3 is phenyl, furanyl, thienyl or pyridinyl, each optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12};

A^4 is a direct bond;

Z^4 is 1,3-benzodioxolyl optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}; and

r is 1.

Preferred 3. Compounds of Preferred 2 wherein:

E is selected from the group 1,2-phenylene; 2,3- and 3,4-thiophenediyl; and 2,3- and 3,4-pyridinediyl; each aromatic ring system optionally substituted with one of R^3, R^4, or both R^3 and R^4;

A is O or N;

X is OR^1;

R^1 is C_1-C_3 alkyl;

R^2 is H or C_1-C_2 alkyl;

Y is $-O-$; $-S(O)_n-$; $-NR^{15}-$; $-C(=O)-$; $-CH(OR^{15})-$; $-CH_2-$; $-CH_2CH_2-$; $-CH=CH-$; $-C\equiv C-$; $-CH_2O-$; $-OCH_2-$; $-CH_2S(O)_n-$; $-S(O)_nCH_2-$; $-CH_2O-N=C(R^7)-$; $-(R^7)C=N-OCH(R^{15})-$; $-CH_2OC(=O)NH-$; $-CH_2S-C(R^7)=N-$; or a direct bond;

Z is selected from the group phenyl; 2-thiazolyl; 1,2,4-thiadiazolyl; pyridinyl; and pyrimidinyl; each group substituted with R^9 and optionally substituted with one or more R^{10};

R^7 is H; C_1-C_3 alkyl; C_1-C_3 haloalkyl; C_1-C_3 alkoxy; C_1-C_3 alkylthio; or cyclopropyl; and

R^{15} is H; C_1-C_3 alkyl; or cyclopropyl.

Preferred 4. Compounds of Preferred 3 wherein:

R^1 is methyl;

R^2 is methyl;

Y is $-O-$; $-CH_2O-$; $-CH_2O-N=C(R^7)-$; or $-(R^7)C=N-OCH(R^{15})-$;

R^9 is C_3-C_6 cycloalkyl substituted with one Z^3; C_3-C_6 cycloalkoxy; $SiR^{22}R^{23}R^{24}$; $GeR^{22}R^{23}R^{24}$; $(R^{25})_3Si-C\equiv C-$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; or $OS(O)_2R^{27}$; or R^9 is benzyloxy or pyridinylmethyloxy, each optionally substituted on the aromatic ring with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or R^9 is C_2-C_6

alkyl substituted with phenyl optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or R^9 is $-A^4-Z^4$;

each R^{10} is independently halogen; C_1-C_4 haloalkyl; C_2-C_6 alkynyl; or $Si(R^{25})_3$; and

Z^3 is phenyl optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}.

Preferred 5. Compounds of Preferred 4 wherein:

Y is -O- or $-CH_2O-N=C(R^7)-$; and

R^9 is C_3-C_6 cycloalkyl substituted with one Z^3; C_3-C_6 cycloalkoxy; $SiR^{22}R^{23}R^{24}$; $GeR^{22}R^{23}R^{24}$; or $(R^{25})_3Si-C\equiv C-$; or R^9 is benzyloxy optionally substituted on the aromatic ring with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or R^9 is $-A^4-Z^4$.

Most preferred are compounds of Preferred 5 selected from the group:

4-[2-[[3-(1,3-benzodioxol-5-yl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[[[[1-[3-[dimethyl(3,3,3-trifluoropropyl)silyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[3-[(2-chlorophenyl)methoxy]phenoxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

2,4-dihydro-5-methoxy-2-methyl-4-[2-[[[[1-[3-[tris(trifluoromethyl)germyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-3*H*-1,2,4-triazol-3-one; and

2,4-dihydro-5-methoxy-2-methyl-4-[2-[3-[2-(trimethylsilyl)ethynyl]phenoxy]phenyl]-3*H*-1,2,4-triazol-3-one.

This invention also relates to fungicidal compositions comprising fungicidally effective amounts of the compounds of the invention and at least one of a surfactant, a solid diluent or a liquid diluent. The preferred compositions of the present invention are those which comprise the above preferred compounds.

This invention also relates to a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of the compounds of the invention (e.g., as a composition described herein). The preferred methods of use are those involving the above preferred compounds.

This invention also relates to arthropodicidal compositions comprising arthropodicidally effective amounts of the compounds of the invention and at least one of a surfactant, a solid diluent or a liquid diluent. Of note are arthropodicidal compositions of the present invention which comprise the above preferred compounds.

This invention also relates to a method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodicidally effective amount of the compounds of the invention (e.g., as a composition described herein). Of note are arthropodicidal methods of use involving the above preferred compounds.

Compounds of note for their arthropodicidal activity include:

4-[2-[[[[1-[3-[dimethyl(3,3,3-trifluoropropyl)silyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

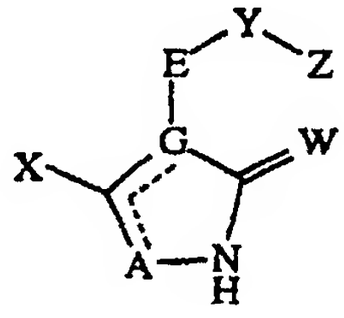
4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one; and

4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one.

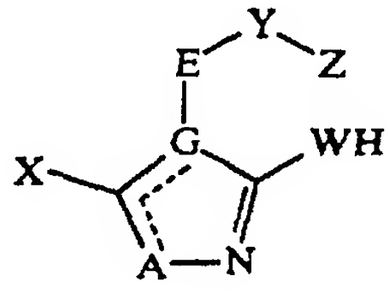
Of note are compounds where R^9 is other than $N(R^{26})(R^{28})$ and pyridinyloxymethyl; and R^9 is other than C_2-C_6 alkyl substituted with naphthalenyl, phenoxy, benzyloxy each aromatic ring optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}; and R^9 is other than C_2-C_6 alkoxy substituted with 1-2 phenyl, naphthalenyl, phenoxy, benzyloxy, pyridinyl, pyrimidinyl, thienyl or furanyl, each aromatic ring optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}.

The compounds of Formula I can be prepared by one or more of the following methods and variations as described in Schemes 1-41. The definitions of E, A, G, W, X, R^1-R^{30}, Y, Z^1-Z^4, W^1, A^1-A^4, Z, Q, J, m, n, p, r and s in the compounds of Formulae 1-94 below are as defined above in the Summary of the Invention. Compounds of Formulae Ia-In are various subsets of the compounds of Formula I, and all substituents for Formulae Ia-In are as defined above for Formula I.

One skilled in the art will recognize that some compounds of Formula I can exist in one or more tautomeric forms. For example, a compound of Formula I wherein R^2 is H may exist as tautomer Ia or Ib, or both Ia and Ib. The present invention comprises all tautomeric forms of compounds of Formula I.



Ia



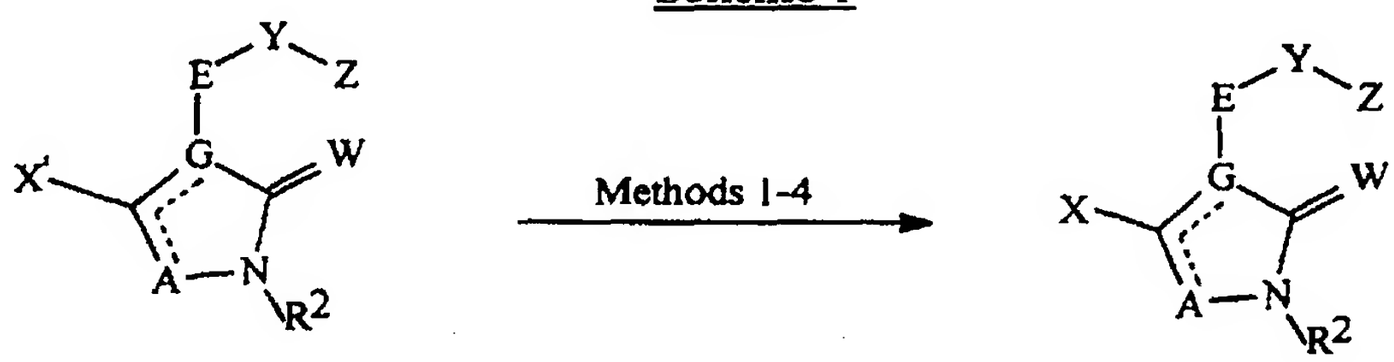
Ib

The compounds of Formula I can be prepared as described below in Procedures 1) to 5). Procedures 1) to 4) describe syntheses involving construction of the amide ring after the formation of the aryl moiety (E-Y-Z). Procedure 5) describes syntheses of the aryl moiety (E-Y-Z) with the amide ring already in place.

1) Alkylation Procedures

The compounds of Formula I are prepared by treating compounds of Formula 1 with an appropriate alkyl transfer reagent in an inert solvent with or without additional acidic or basic reagents or other reagents (Scheme 1). Suitable solvents are selected from the group consisting of polar aprotic solvents such as acetonitrile, dimethylformamide or dimethyl sulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; and halocarbons such as dichloromethane or chloroform.

Scheme 1



1

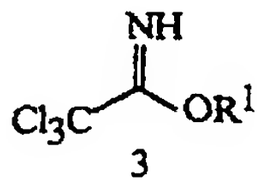
$X' = OH$, SH, NH_2, $NH(C_1\text{-}C_6$ alkyl) or $NH(C_1\text{-}C_6$ alkoxy)

I

$X = OR^1$, SR^1

Method 1: $U\text{-}CH{=}N_2$ ($U = H$ or $(CH_3)_3Si$)

2

Method 2:  ; Lewis acid

3

Method 3: $(R^1)_3O^+ BF_4^-$

4

Method 4: $(R^1)_2SO_4$; R^1OSO_2V; or R^1-hal; optional base

(hal = F, Cl, Br, or I)

($V = C_1\text{-}C_6$ alkyl, $C_1\text{-}C_6$ haloalkyl, or $4\text{-}CH_3\text{-}C_6H_4$)

For example, compounds of Formula I can be prepared by the action of diazoalkane reagents of Formula 2 such as diazomethane (U = H) or trimethylsilyldiazomethane ($U = (CH_3)_3Si$) on dicarbonyl compounds of Formula 1 (Method 1). Use of trimethylsilyldiazomethane requires a protic cosolvent such as methanol. For examples of these procedures, see *Chem. Pharm. Bull.*, (1984), *32*, 3759.

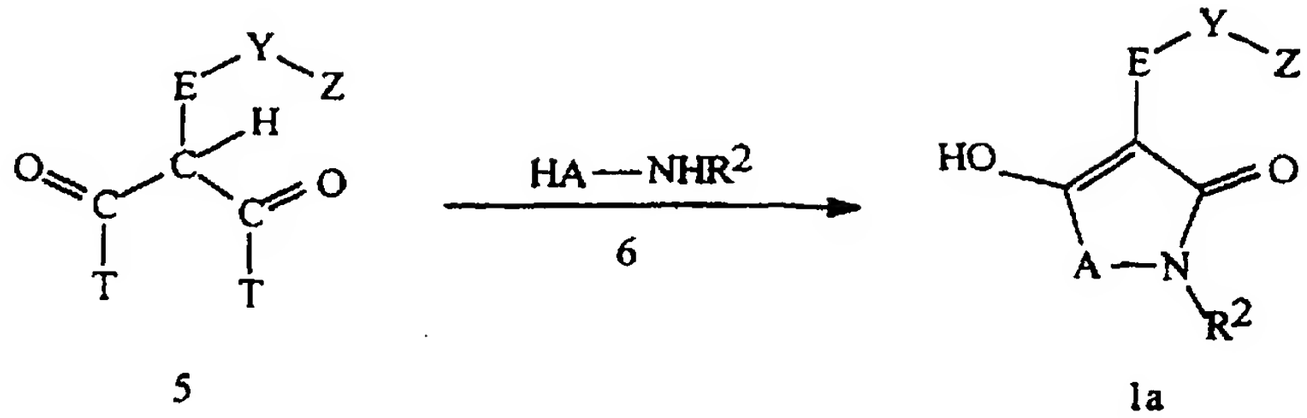
As indicated in Method 2, compounds of Formula I can also be prepared by contacting carbonyl compounds of Formula 1 with alkyl trichloroacetimidates of Formula 3 and a Lewis acid catalyst. Suitable Lewis acids include trimethylsilyl triflate and tetrafluoroboric acid. The alkyl trichloroacetimidates can be prepared from the appropriate alcohol and trichloroacetonitrile as described in the literature (J. Danklmaier and H. Hönig, *Synth. Commun.*, (1990), *20*, 203).

Compounds of Formula I can also be prepared from compounds of Formula 1 by treatment with a trialkyloxonium tetrafluoroborate (i.e., Meerwein's salt) of Formula 4 (Method 3). The use of trialkyloxonium salts as powerful alkylating agents is well known in the art (see U. Schöllkopf, U. Groth, C. Deng, *Angew. Chem., Int. Ed. Engl.*, (1981), *20*, 798).

Other alkylating agents which can convert carbonyl compounds of Formula 1 to compounds of Formula I are dialkyl sulfates such as dimethyl sulfate, haloalkyl sulfonates such as methyl trifluoromethanesulfonate, and alkyl halides such as iodomethane and propargyl bromide (Method 4). These alkylations can be conducted with or without additional base. Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), and triethylenediamine. See R. E. Benson, T. L. Cairns, *J. Am. Chem. Soc.*, (1948), *70*, 2115 for alkylation examples using agents of this type.

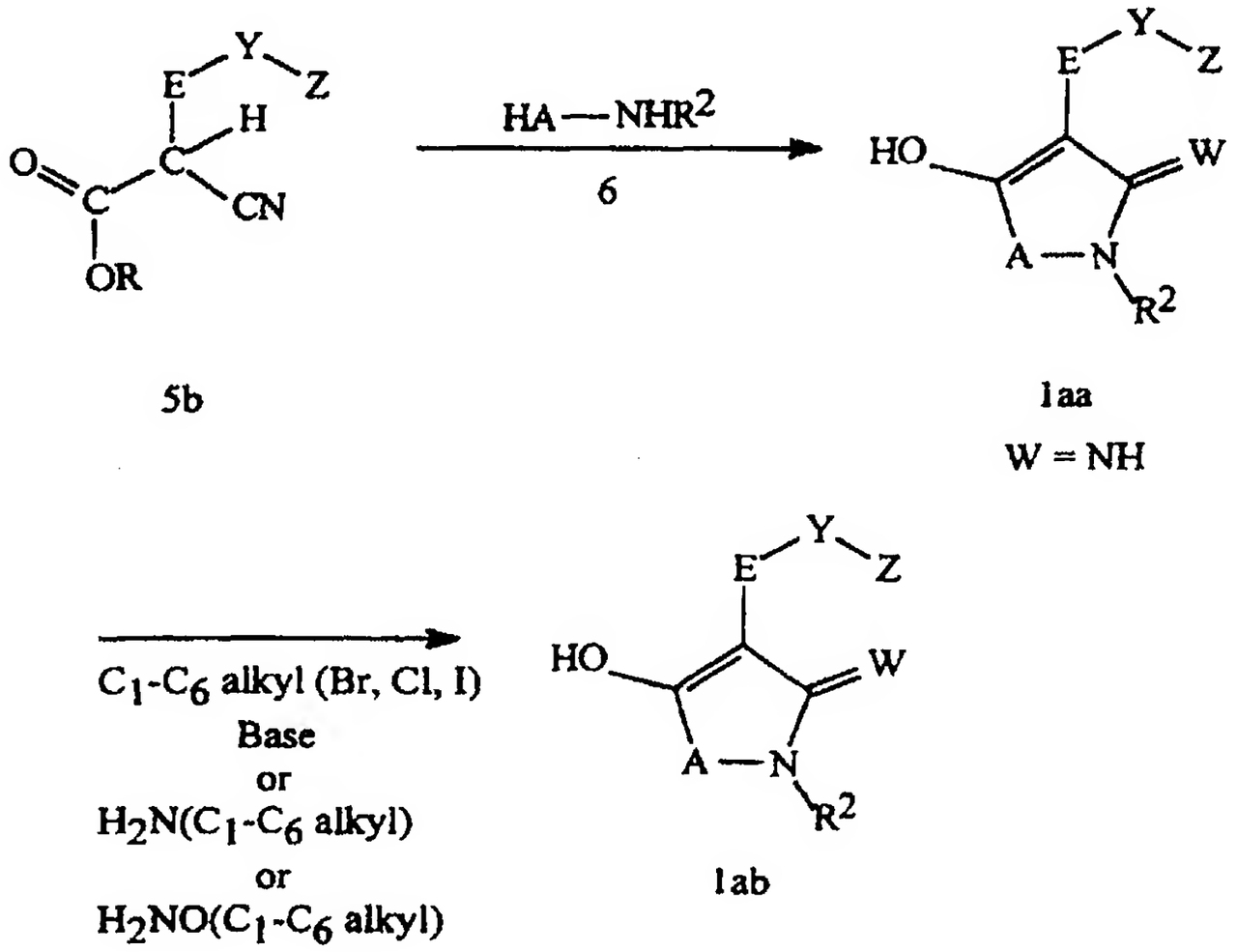
Compounds of Formula 1a (compounds of Formula 1 wherein G = C, W = O and X' = OH) can be prepared by condensation of malonates or malonate derivatives of Formula 5 with an ambident nucleophile of Formula 6 (Scheme 2). The nucleophiles of Formula 6 are *N*-substituted hydroxylamines (HO-NHR^2) and substituted hydrazines (HN(R^5)-NHR^2). Examples of such nucleophiles are *N*-methylhydroxylamine and methylhydrazine. The malonate esters of Formula 5 can be prepared by methods described hereinafter. The esters of Formula 5 can also be activated by first hydrolyzing the ester to form the corresponding carboxylic acid, and then converting the acid into the acid chloride (T = Cl) using thionyl chloride or oxalyl chloride, or into the acyl imidazole (T = 1-imidazolyl) by treating with 1,1'-carbonyldiimidazole. Compounds of Formula 1aa can be prepared by reaction of nitrile esters of Formula 5b with ambident nucleophiles of Formula 6. See M. Scobie and G. Tennant, *J. Chem. Soc., Chem. Comm.*, (1994), 2451. Alkylation of 1aa with alkyl halides in the presence of base provides compounds of Formula 1ab. Alternatively, treatment of 1aa with alkylamines or alkoxyamines provides compounds of Formula 1ab.

Scheme 2



5 1a

T = O(C_1-C_4 alkyl), Cl, 1-imidazolyl



5b 1aa

W = NH

1ab

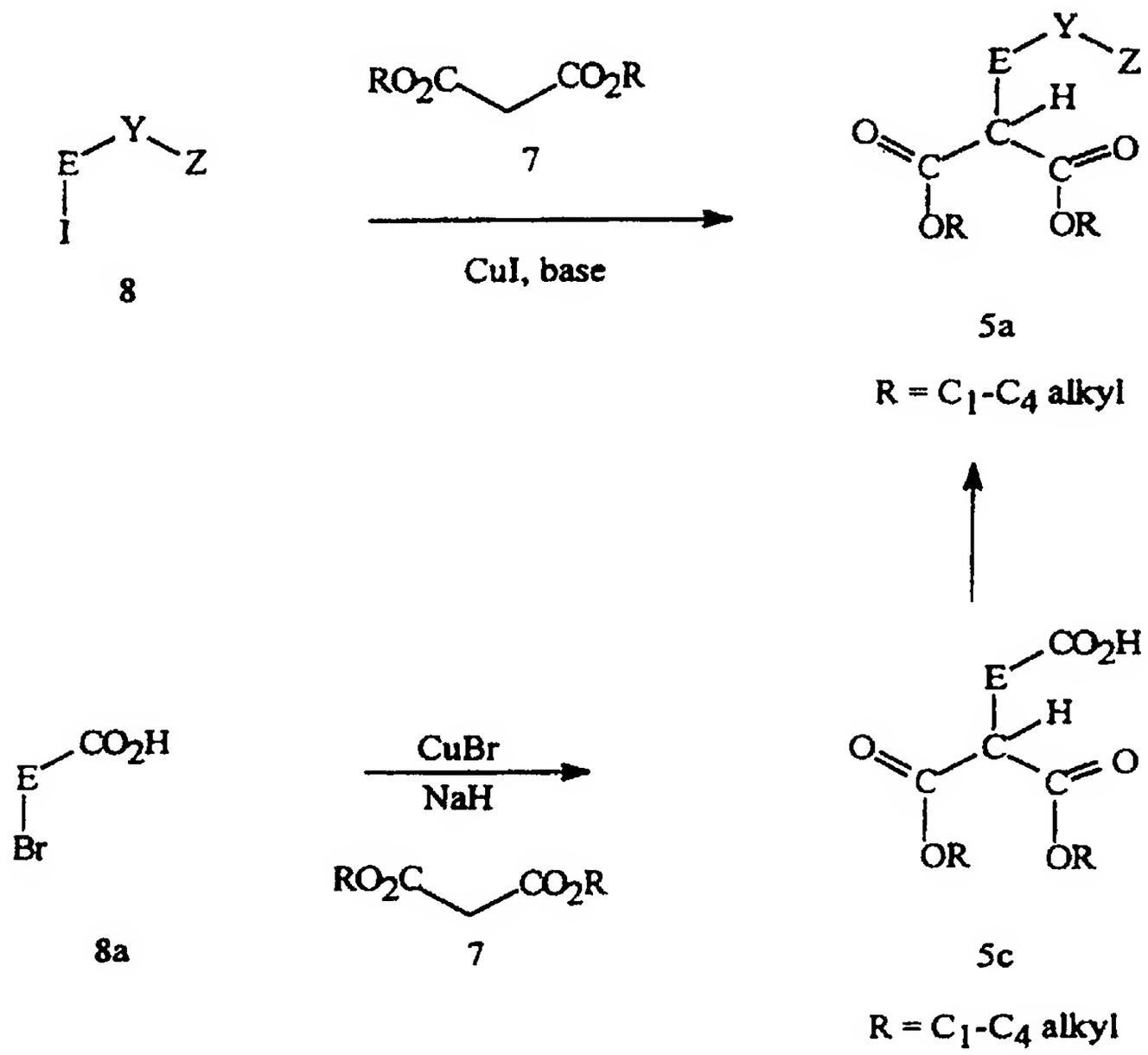
W = N(C_1-C_6 alkyl) or NO(C_1-C_6 alkyl)

Esters of Formula 5a can be prepared from copper (I)-catalyzed reaction of malonate esters of Formula 7 with substituted aryl halides of Formula 8 according to methods adapted from A. Osuka, T. Kobayashi and H. Suzuki, *Synthesis*, (1983), 67 and M. S. Malamas, T. C. Hohman, and J. Millen, *J. Med. Chem.*, 1994, *37*, 2043-2058, and illustrated in Scheme 3. Procedures to prepare compounds of Formula 8 are described below (see Scheme 33).

Malonate esters of Formula 5a can also be prepared from diester carboxylic acids of Formula 5c after modification of the carboxylic acid functional group to the appropriate Y and Z group. A copper (I)-catalyzed coupling of malonates of Formula 7 with orthobromocarboxylic acids of Formula 8a (see A. Bruggink, A. McKillop, *Tetrahedron*, (1975), *31*, 2607) can be used to prepare compounds of Formula 5c as shown in Scheme 3. Methods to prepare compounds of Formula 8a are common in the

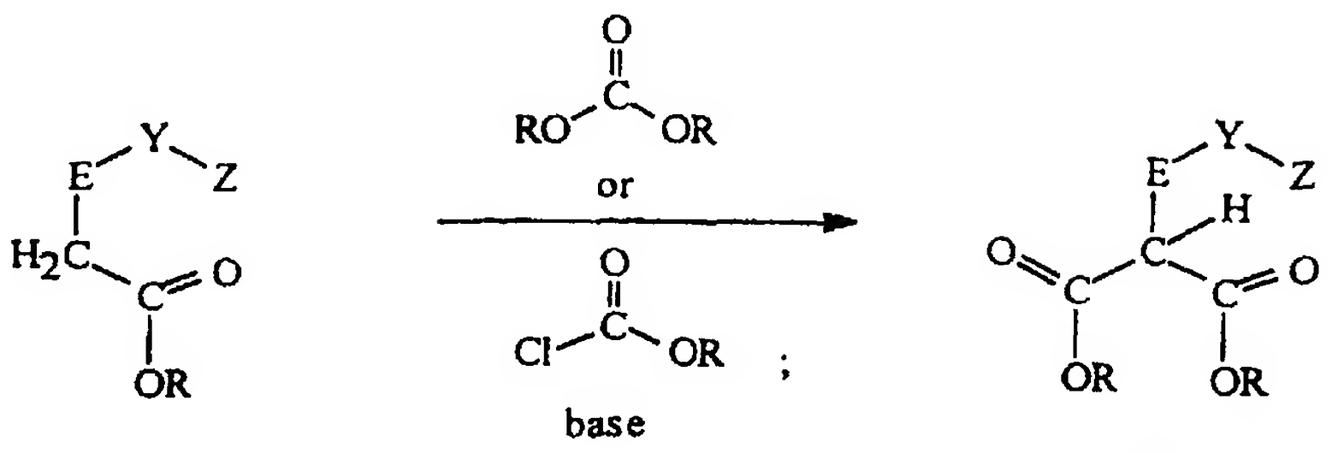
art (see P. Beak, V. Snieckus, *Acc. Chem. Res.*, (1982), *15*, 306 and *Org. React.*, (1979), *26*, 1 and references therein).

Scheme 3

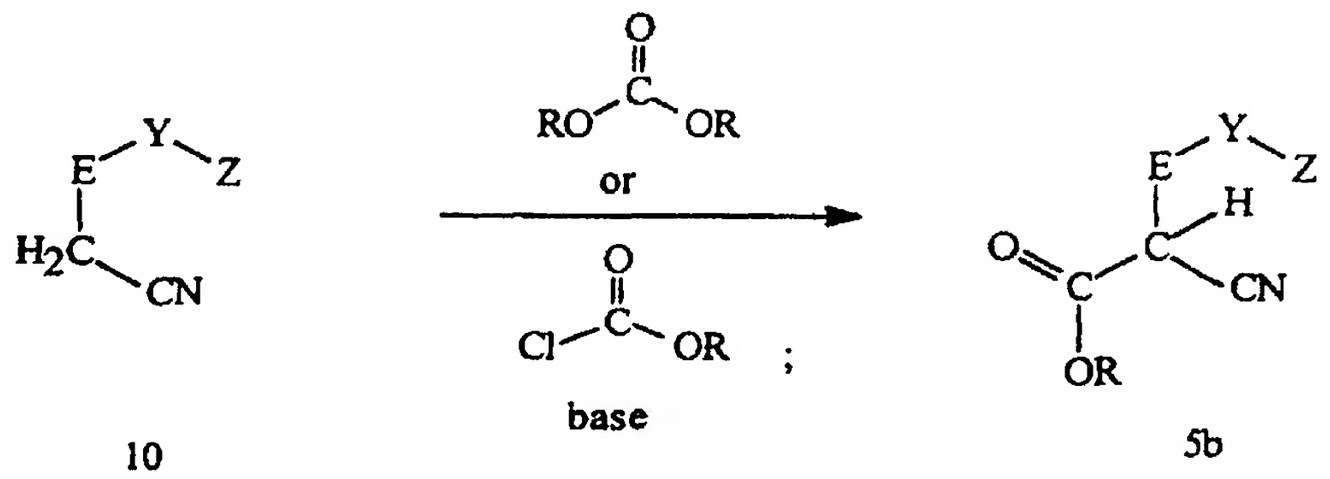


Additionally, the malonate esters of Formula 5a can be prepared by treating aryl acetic acid esters of Formula 9 with a dialkyl carbonate or alkyl chloroformate in the presence of a suitable base such as, but not limited to, sodium metal or sodium hydride (Scheme 4). For example, see *J. Am. Chem. Soc.*, (1928), *50*, 2758. Nitrile esters of Formula 5b can be prepared similarly from compounds of Formula 10.

Scheme 4



R = C_1-C_4 alkyl

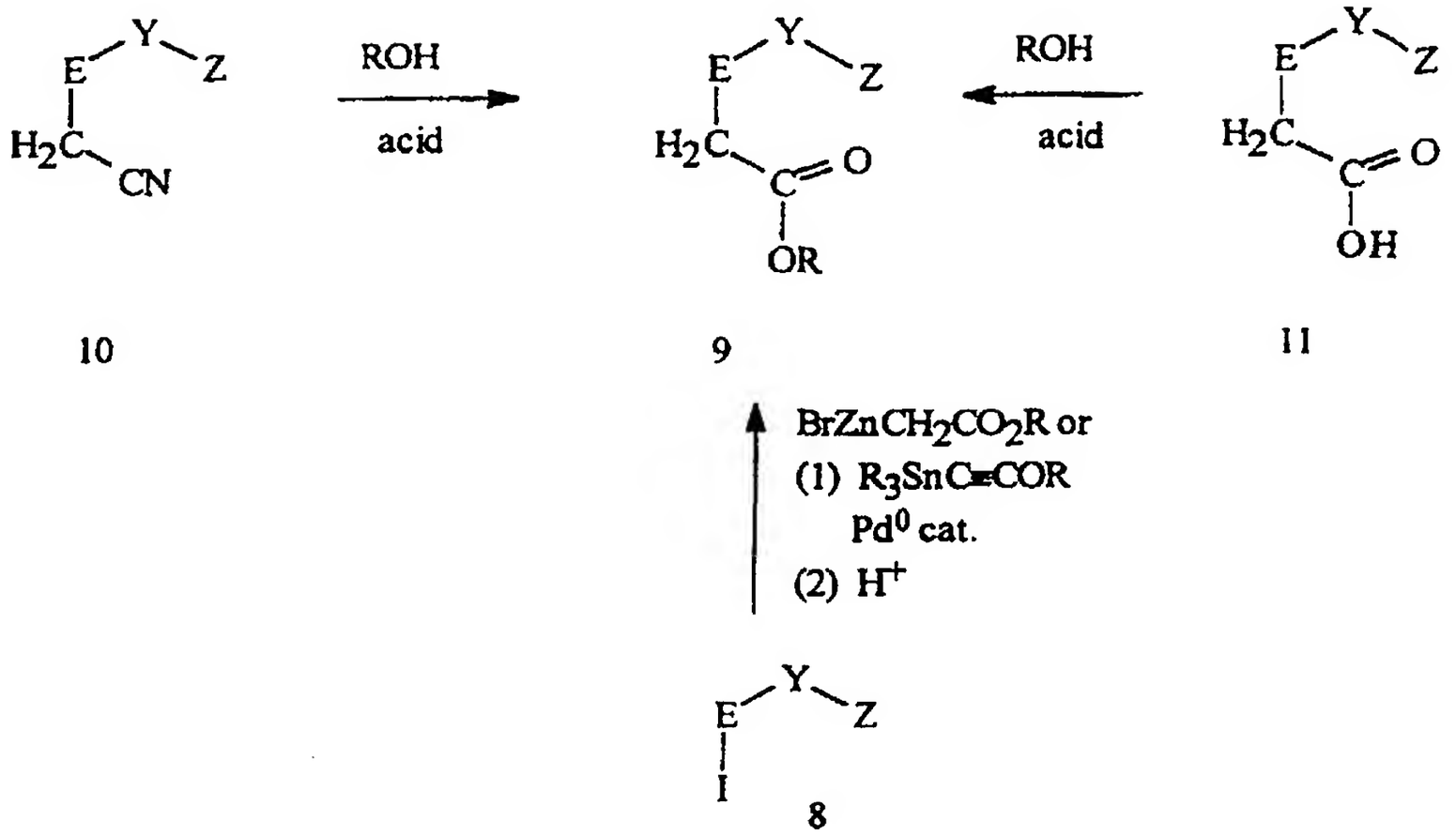


R = C_1-C_4 alkyl

Esters of Formula 9 can be prepared from acid-catalyzed alcoholysis of aryl acetonitriles of Formula 10 or esterification of aryl acetic acids of Formula 11 as illustrated in Scheme 5 (see *Org. Synth.*, Coll. Vol. I, (1941), 270).

Additionally, esters of formula 9 can be prepared by palladium (0)-catalyzed cross coupling reaction of aryl iodides of Formula 8 with a Reformatsky reagent or an alkoxy(trialkylstannyl)acetylene followed by hydration (Scheme 5). For example, see T. Sakamoto, A. Yasuhara, Y. Kondo, H. Yamanaka, *Synlett*, (1992), 502, and J. F. Fauvarque, A. Jutard, *J. Organometal. Chem.*, (1977), *132*, C17.

Scheme 5

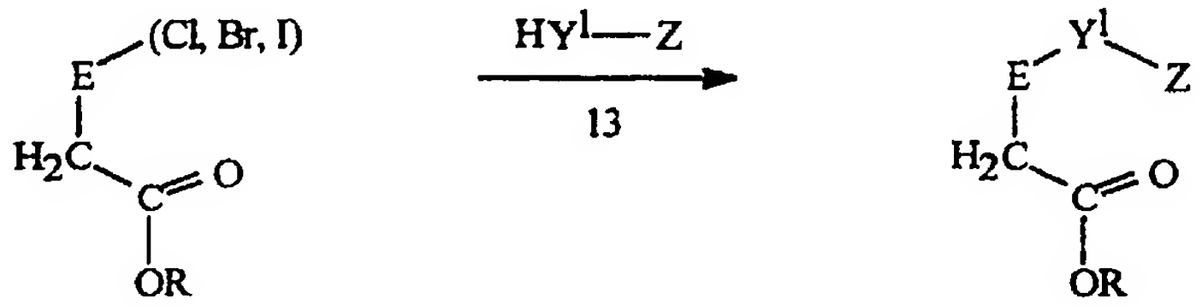


10 9 11 8

R = C_1-C_4 alkyl

Aryl acetic acid esters of Formula 9a can be prepared by copper (I)-catalyzed condensation of aryl halides of Formula 12 with compounds of Formula 13 as described in EP-A-307,103 and illustrated below in Scheme 6.

Scheme 6



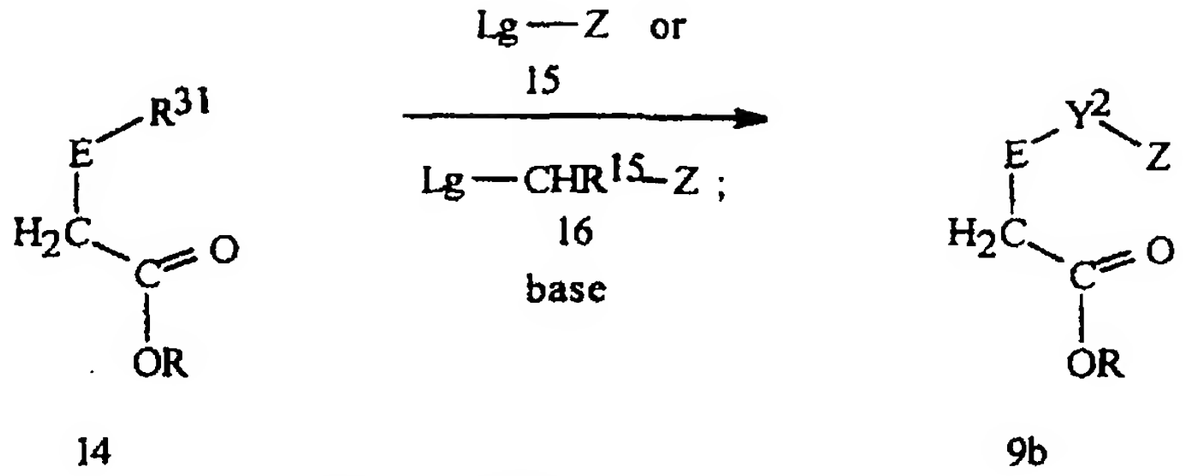
12 9a

R = C_1-C_4 alkyl

Y^1 = O, S, $OCHR^{15}$, $SCHR^{15}$, O-N=C(R^7), NR^{15}

Some esters of Formula 9 (Formula 9b) can also be prepared by forming the Y^2 bridge using conventional nucleophilic substitution chemistry (Scheme 7). Displacement of an appropriate leaving group (Lg) in electrophiles of Formula 15 or 16 with a nucleophilic ester of Formula 14 affords compounds of Formula 9b. A base, for example sodium hydride, is used to generate the corresponding alkoxide or thioalkoxide of the compound of Formula 14.

Scheme 7



14 9b

R = C_1-C_4 alkyl

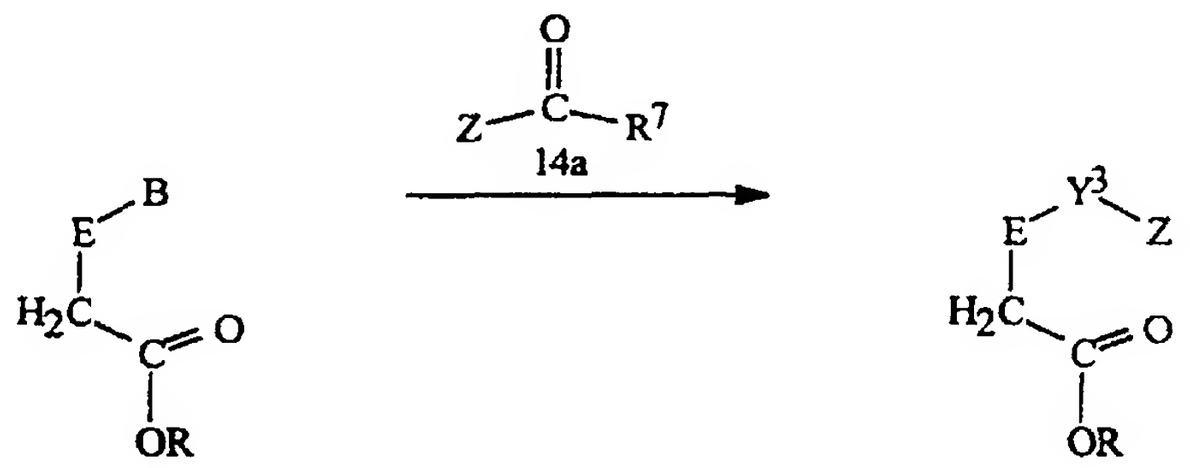
R^{31} = OH, SH, $CHR^{15}OH$, $CHR^{15}SH$, NHR^{15}

Y^2 = O, S, $OCHR^{15}$, $SCHR^{15}$, $CHR^{15}O$, $CHR^{15}S$, NR^{15}

Lg = Br, Cl, I, OSO_2CH_3, OSO_2(4-Me-Ph)

Some esters of Formula 9 (Formula 9e) can also be prepared by forming the Y^3 bridge from substituted hydroxylamine 9d and carbonyl compounds 14a. The hydroxylamine 9d is in turn prepared from esters 9c. This method has been described in EP-A-600,835 and illustrated in Scheme 8.

Scheme 8



9e

9c B = $CHR^{15}Br$ R = C_1-C_4 alkyl

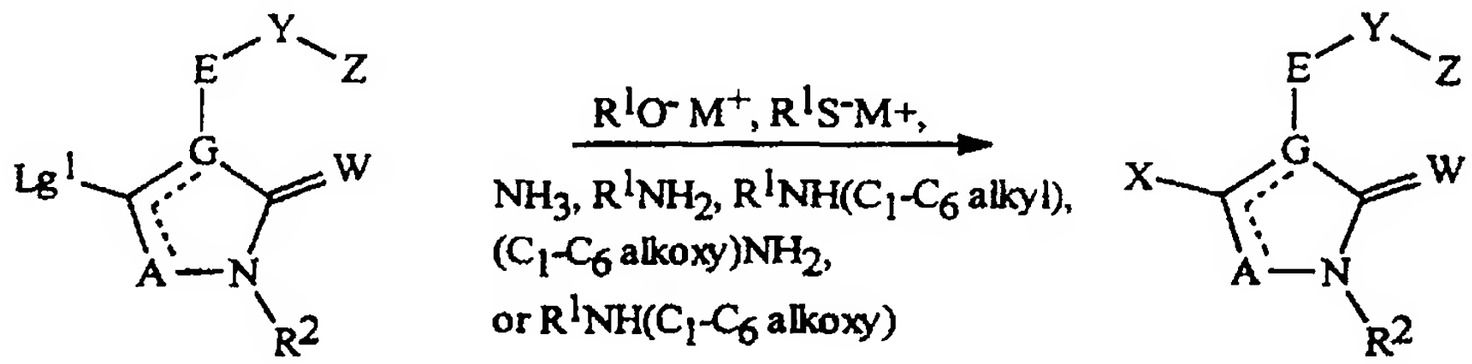
9d B = $CHR^{15}ONH_2$•HCl Y^3 = $CHR^{15}ON{=}C(R^7)$

2) Displacement and Conjugate Addition/Elimination Procedures

Compounds of Formula I can also be prepared by reaction of Formula 17 compounds with alkali metal alkoxides ($R^1O^-M^+$), alkali metal thioalkoxides ($R^1S^-M^+$), or an amine derivative in a suitable solvent (Scheme 9). The leaving group Lg^1 in the amides of Formula 17 are any group known in the art to undergo a displacement reaction of this type. Examples of suitable leaving groups include chlorine, bromine,

and sulfonyl and sulfonate groups. Examples of suitable inert solvents are dimethylformamide or dimethyl sulfoxide, dimethoxyethane methanol.

Scheme 9



17

I

X = OR^1, SR^1, NH_2, NHR^1,
$N(C_1\text{-}C_6$ alkyl$)R^1$, $NH(C_1\text{-}C_6$ alkoxy), or
$N(C_1\text{-}C_6$ alkoxy$)R^1$

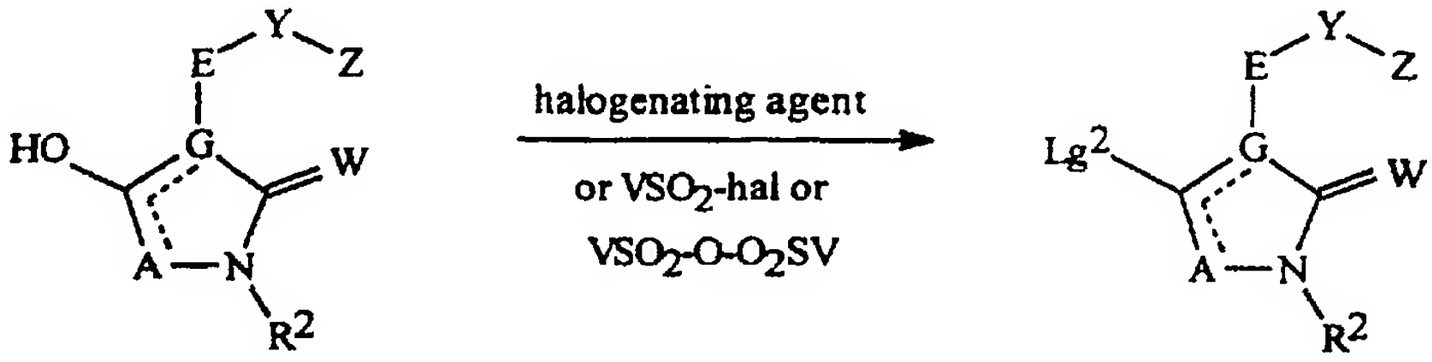
Lg^1 = Cl, Br, $-SO_2V$, or $-OSO_2V$

V = $C_1\text{-}C_6$ alkyl, $C_1\text{-}C_6$ haloalkyl, or 4-CH_3-C_6H_4

M = K or Na

Compounds of Formula 17a can be prepared from compounds of Formula 1b (compounds of Formula 1 wherein X is OH) by reaction with halogenating agents such as thionyl chloride or phosphorus oxybromide to form the corresponding β-halo-substituted derivatives (Scheme 10). Alternatively, compounds of Formula 1b can be treated with an alkylsulfonyl halide or haloalkylsulfonyl anhydride, such as methanesulfonyl chloride, *p*-toluenesulfonyl chloride, and trifluoromethanesulfonyl anhydride, to form the corresponding β-alkylsulfonate of Formula 17a. The reaction with the sulfonyl halides may be performed in the presence of a suitable base (e.g., triethylamine).

Scheme 10



1b

17a

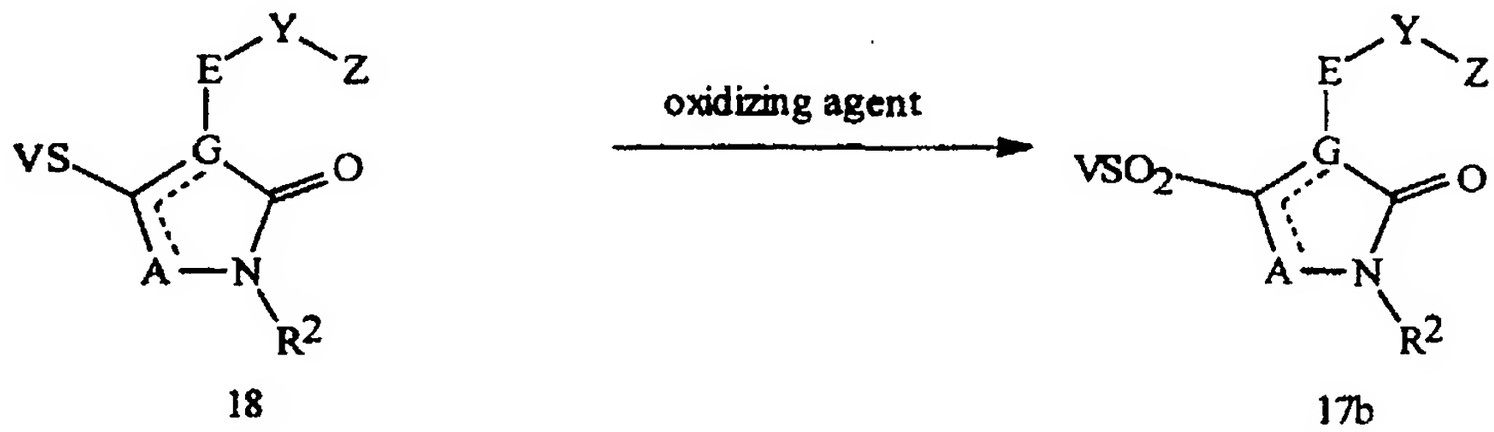
Lg^2 = Cl, Br, or $-OSO_2V$

V = $C_1\text{-}C_6$ alkyl, $C_1\text{-}C_6$ haloalkyl, or 4-CH_3-C_6H_4

hal = Br, Cl or F

As illustrated in Scheme 11, sulfonyl compounds of Formula 17b can be prepared by oxidation of the corresponding thio compound of Formula 18 using well-known methods for the oxidation of sulfur (see Schrenk, K. In *The Chemistry of Sulphones and Sulphoxides*; Patai, S. et al., Eds.; Wiley: New York, 1988). Suitable oxidizing reagents include meta-chloro-peroxybenzoic acid, hydrogen peroxide and Oxone® ($KHSO_5$).

Scheme 11



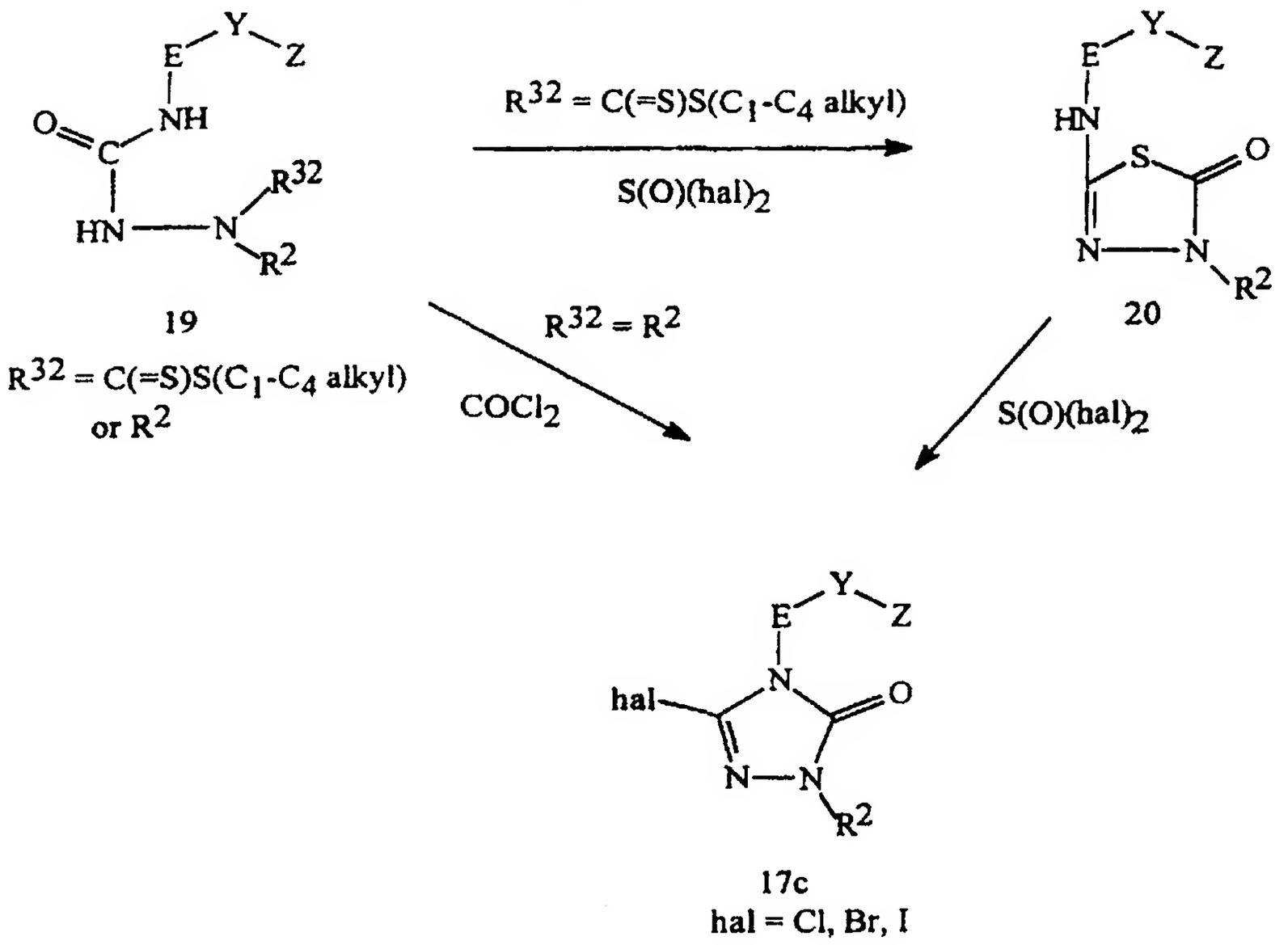
18 17b

V = C_1-C_6 alkyl, C_1-C_6 haloalkyl, or 4-CH_3-C_6H_4

Alternatively, halo-compounds of Formula 17c (compounds of Formula 17a wherein A = N, G = N, and W = O) can be prepared from hydrazides of Formula 19 as illustrated in Scheme 12. When R^{32} = C(=S)S(C_1-C_4 alkyl), the diacyl compound of Formula 19 is treated with excess thionyl halide, for example excess thionyl chloride. The product formed first is the ring-closed compound of Formula 20 which can be isolated or converted *in situ* to the compound of Formula 17c; see P. Molina, A. Tárraga, A. Espinosa, *Synthesis*, (1989), 923 for a description of this process.

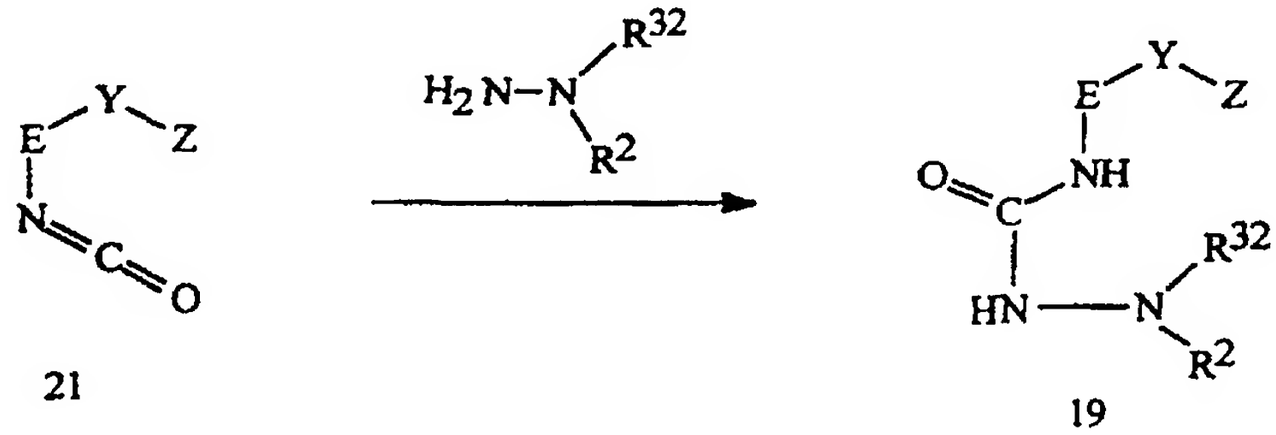
Alternatively, when R^{32} = R^2 as defined above, the hydrazide of Formula 19 is cyclized with phosgene to form the cyclic urea of Formula 17c wherein hal = Cl. This procedure is described in detail in *J. Org. Chem.*, (1989), *54*, 1048.

Scheme 12



The hydrazides of Formula 19 can be prepared as illustrated in Scheme 13. Condensation of the isocyanate of Formula 21 with the hydrazine of Formula $H_2NNR^2R^{32}$ in an inert solvent such as tetrahydrofuran affords the hydrazide.

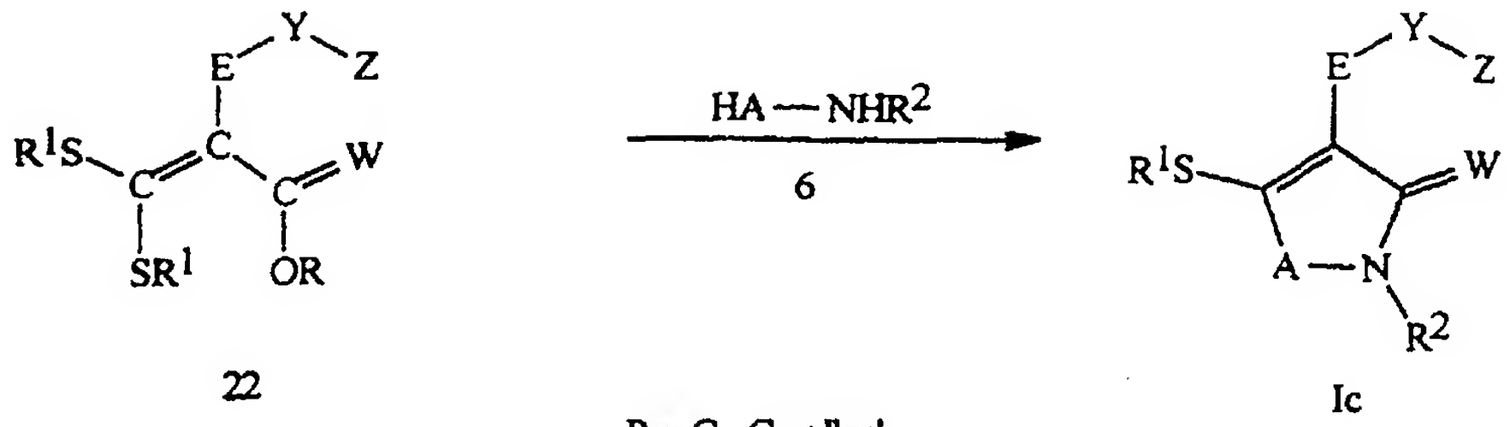
Scheme 13



3) Conjugate Addition/Cyclization Procedures

In addition to the methods disclosed above, compounds of Formula I wherein $X = SR^1$ and G = C (Formula Ic) can be prepared by treating a ketenedithioacetal of Formula 22 with an ambident nucleophile of Formula 6 (Scheme 14). The nucleophiles of Formula 6 are described above.

Scheme 14



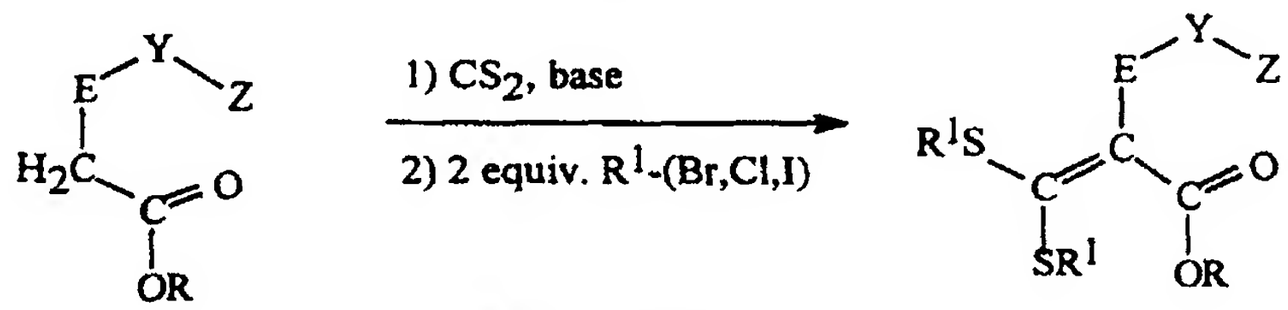
22

R = C_1-C_4 alkyl

Ic

Ketene dithioacetals of Formula 22a or 22b can be prepared by condensing aryl acetic esters of Formula 9 or amides of Formula 9f, respectively, with carbon disulfide in the presence of a suitable base, followed by reaction with two equivalents of an R^1-halide, such as iodomethane or propargyl bromide (Scheme 15). Conversion of 22b to 22c can be accomplished by reaction with trialkyl tetrafluoroborates.

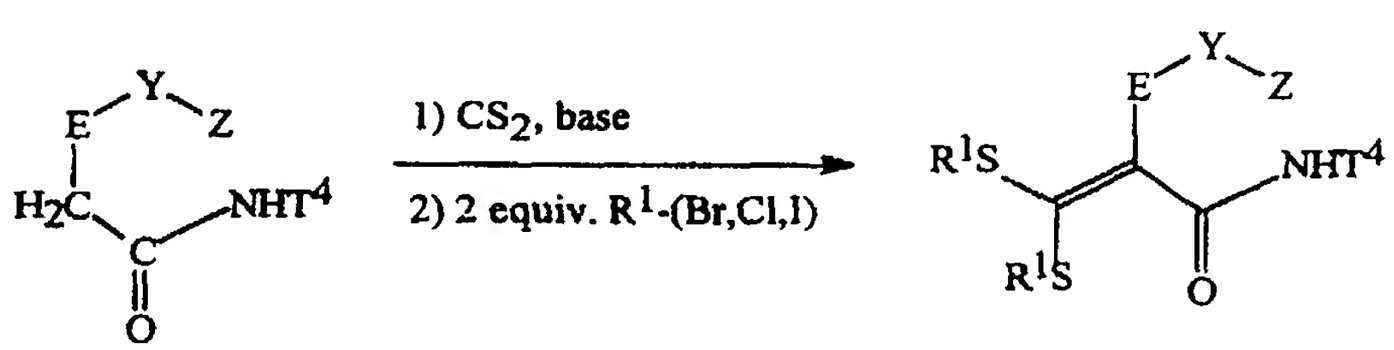
Scheme 15



9

R = C_1-C_4 alkyl

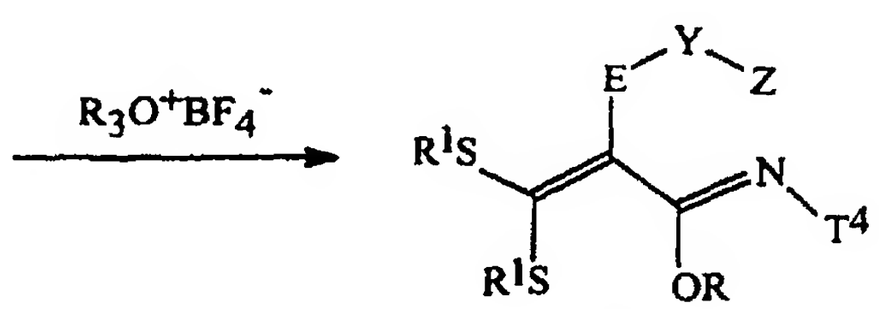
22a



9f

22b

T^4 = H, C_1-C_6 alkyl, C_1-C_6 alkoxy

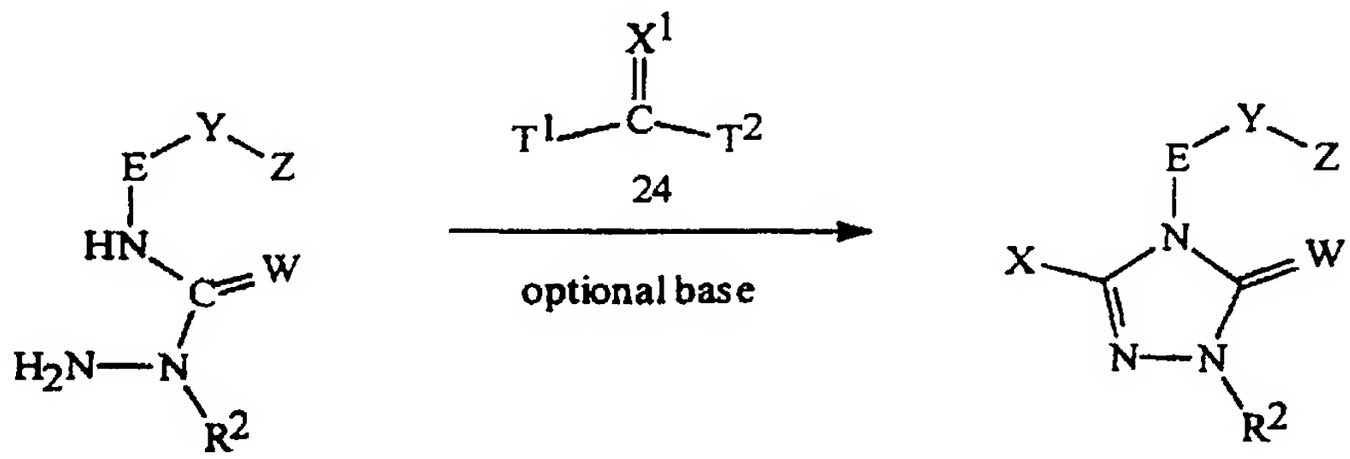


22c

10

Compounds of Formula 1d (compounds of Formula 1 wherein A = N, G = N) can be prepared by condensation of *N*-amino-ureas of Formula 23 with a carbonylating agent of Formula 24 (Scheme 16). The carbonylating agents of Formula 24 are carbonyl or thiocarbonyl transfer reagents such as phosgene, thiophosgene, diphosgene ($ClC(=O)OCCl_3$), triphosgene ($Cl_3COC(=O)OCCl_3$), *N,N'*-carbonyldiimidazole, *N,N'*-thiocarbonyldiimidazole, and 1,1'-carbonyldi(1,2,4-triazole). Alternatively, the compounds of Formula 24 can be alkyl chloroformates or dialkyl carbonates. Some of these carbonylating reactions may require the addition of a base to effect reaction. Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, tertiary amines such as triethylamine and triethylenediamine, pyridine, or 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU). Suitable solvents include polar aprotic solvents such as acetonitrile, dimethylformamide, or dimethyl sulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; or halocarbons such as dichloromethane or chloroform. The reaction temperature can vary between 0°C and 150°C and the reaction time can be from 1 to 72 hours depending on the choice of base, solvent, temperature, and substrates.

Scheme 16



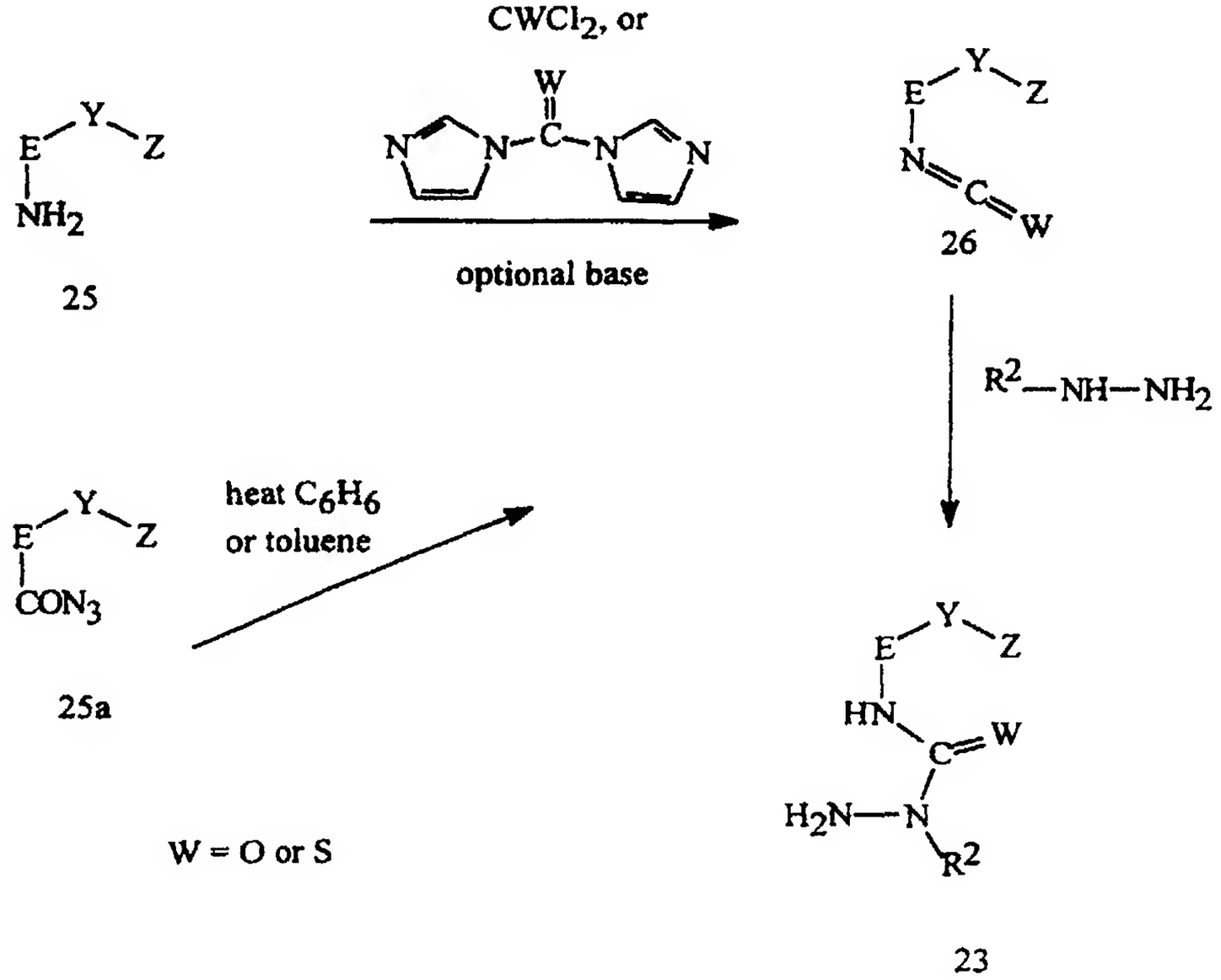
23 1d

T^1 and T^2 are independently Cl, $OCCl_3$, $O(C_1$-C_4 alkyl), 1-imidazolyl, 1,2,4-triazolyl
X = OH or SH
X^1 = O or S

N-Amino-ureas of Formula 23 can be prepared as illustrated in Scheme 17. Treatment of an arylamine of Formula 25 with phosgene, thiophosgene, *N,N'*-carbonyldiimidazole, or *N,N'*-thiocarbonyldiimidazole produces the isocyanate or isothiocyanate of Formula 26. A base can be added for reactions with phosgene or thiophosgene. Isocyanates of Formula 26 can also be prepared by heating acylazides of Formula 25a in a solvent such as toluene or benzene (Curtius rearrangement). The

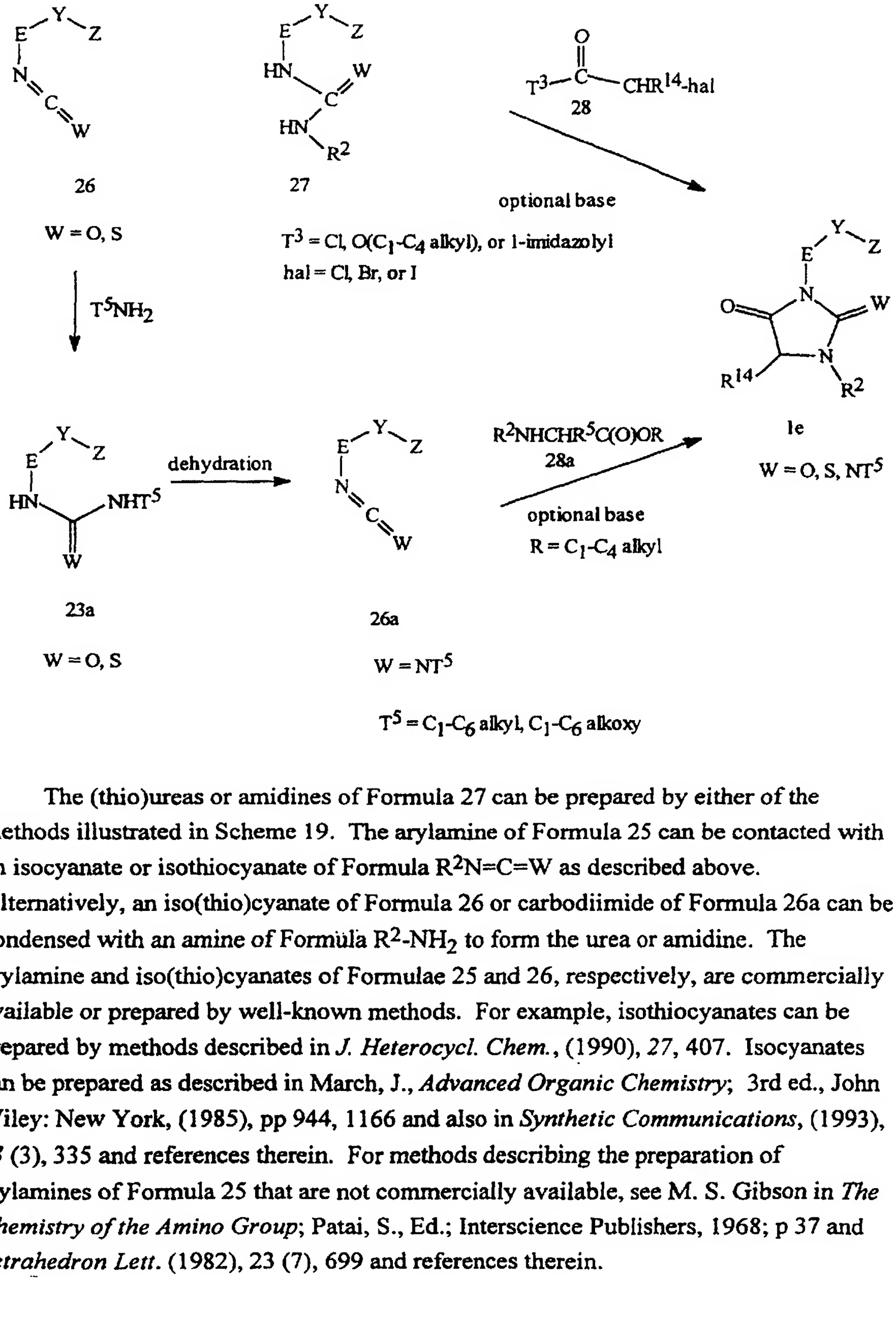
corresponding acylazides can be prepared from well known methods in the art (see March, J., *Advanced Organic Chemistry*; 3rd Edition, John Wiley: New York, (1985), pp 428, 637 and also *Chem. Pharm. Bull* (1977), *25*, 165, and references therein. Subsequent treatment of the iso(thio)cyanate with an R^2-substituted hydrazine produces the *N*-amino-urea of Formula 23.

Scheme 17



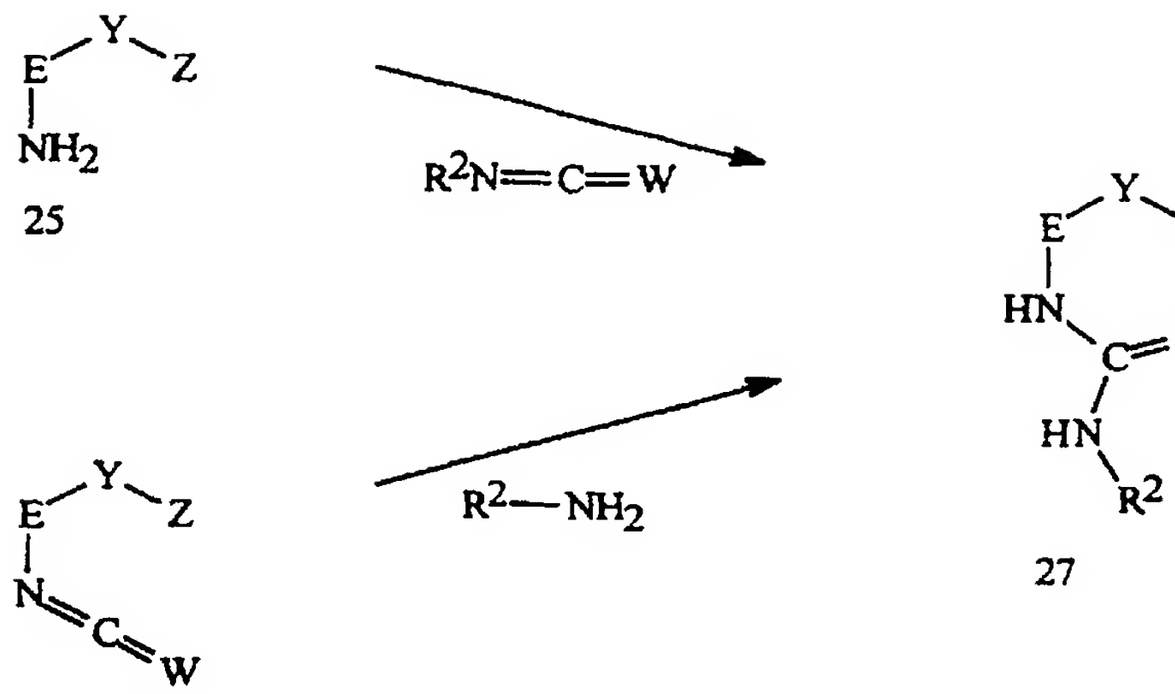
Compounds of Formula 1e (compounds of Formula 1 wherein A = CR^{14}, G = N, and X = O) can be prepared by either method illustrated in Scheme 18. Ureas of Formula 27 are reacted with activated 2-halocarboxylic acid derivatives such as 2-halocarboxylic acid chlorides, 2-halocarboxylic acid esters or 2-haloacyl imidazoles. The initial acylation on the arylamino nitrogen is followed by an intramolecular displacement of the 2-halo group to effect cyclization. Base may be added to accelerate the acylation and/or the subsequent cyclization. Suitable bases include triethylamine and sodium hydride. Alternatively, Formula 1e compounds can be prepared by reaction of Formula 26 iso(thio)cyanates or Formula 26a carbodiimides with Formula 28a esters. As described above, base may be added to accelerate the reaction and subsequent cyclization to Formula 1e compounds. Carbodiimides 26a can be prepared as shown in Scheme 18, starting with compounds of Formula 26.

Scheme 18



The (thio)ureas or amidines of Formula 27 can be prepared by either of the methods illustrated in Scheme 19. The arylamine of Formula 25 can be contacted with an isocyanate or isothiocyanate of Formula $R^2N=C=W$ as described above. Alternatively, an iso(thio)cyanate of Formula 26 or carbodiimide of Formula 26a can be condensed with an amine of Formula R^2-NH_2 to form the urea or amidine. The arylamine and iso(thio)cyanates of Formulae 25 and 26, respectively, are commercially available or prepared by well-known methods. For example, isothiocyanates can be prepared by methods described in *J. Heterocycl. Chem.*, (1990), *27*, 407. Isocyanates can be prepared as described in March, J., *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 944, 1166 and also in *Synthetic Communications*, (1993), *23* (3), 335 and references therein. For methods describing the preparation of arylamines of Formula 25 that are not commercially available, see M. S. Gibson in *The Chemistry of the Amino Group*; Patai, S., Ed.; Interscience Publishers, 1968; p 37 and *Tetrahedron Lett.* (1982), 23 (7), 699 and references therein.

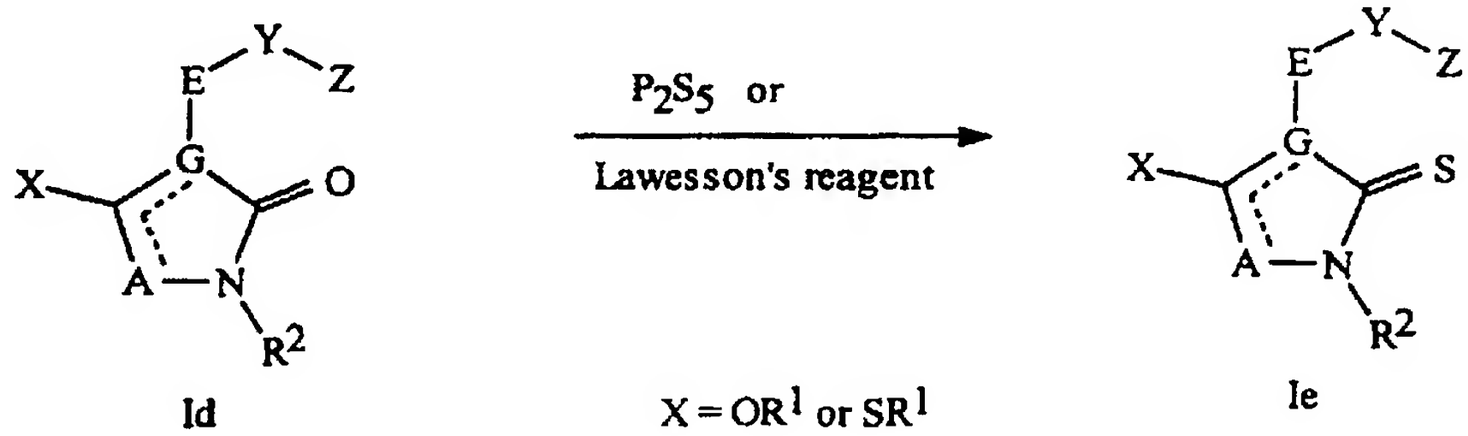
Scheme 19



4) Thionation Procedures

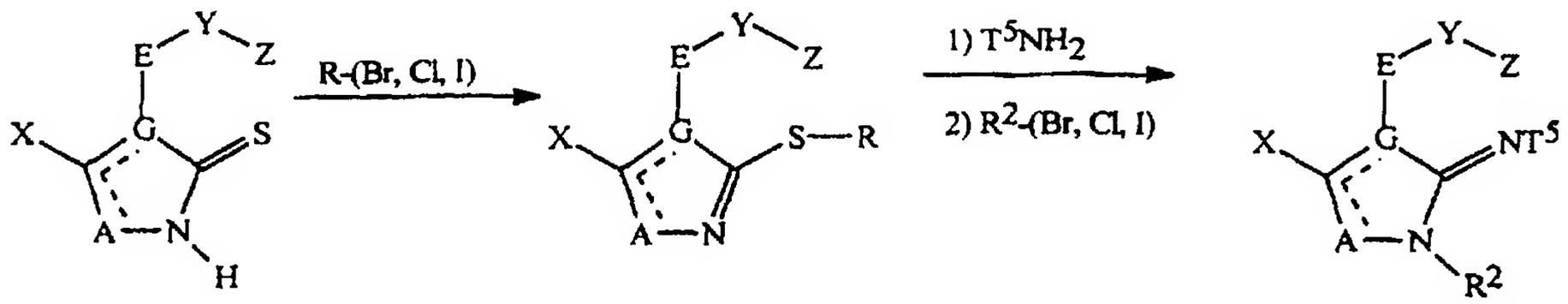
Compounds of Formula Ie, compounds of Formula I wherein W = S, can be prepared by treating compounds of Formula Id (I wherein W = O) with thionating reagents such as P_2S_5 or Lawesson's reagent (2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide) as illustrated in Scheme 20 (see *Bull. Soc. Chim. Belg.*, (1978), *87*, 229; and *Tetrahedron Lett.*, (1983), *24*, 3815).

Scheme 20



Reaction of compounds of Formula Iea with an alkyl halide in the presence of base provides compounds of Formula Ieb, which can be reacted with compounds of Formula T^5NH_2 and then alkylated with R^2-(Br, Cl, or I) to provide compounds of Formula Iec.

Scheme 20a



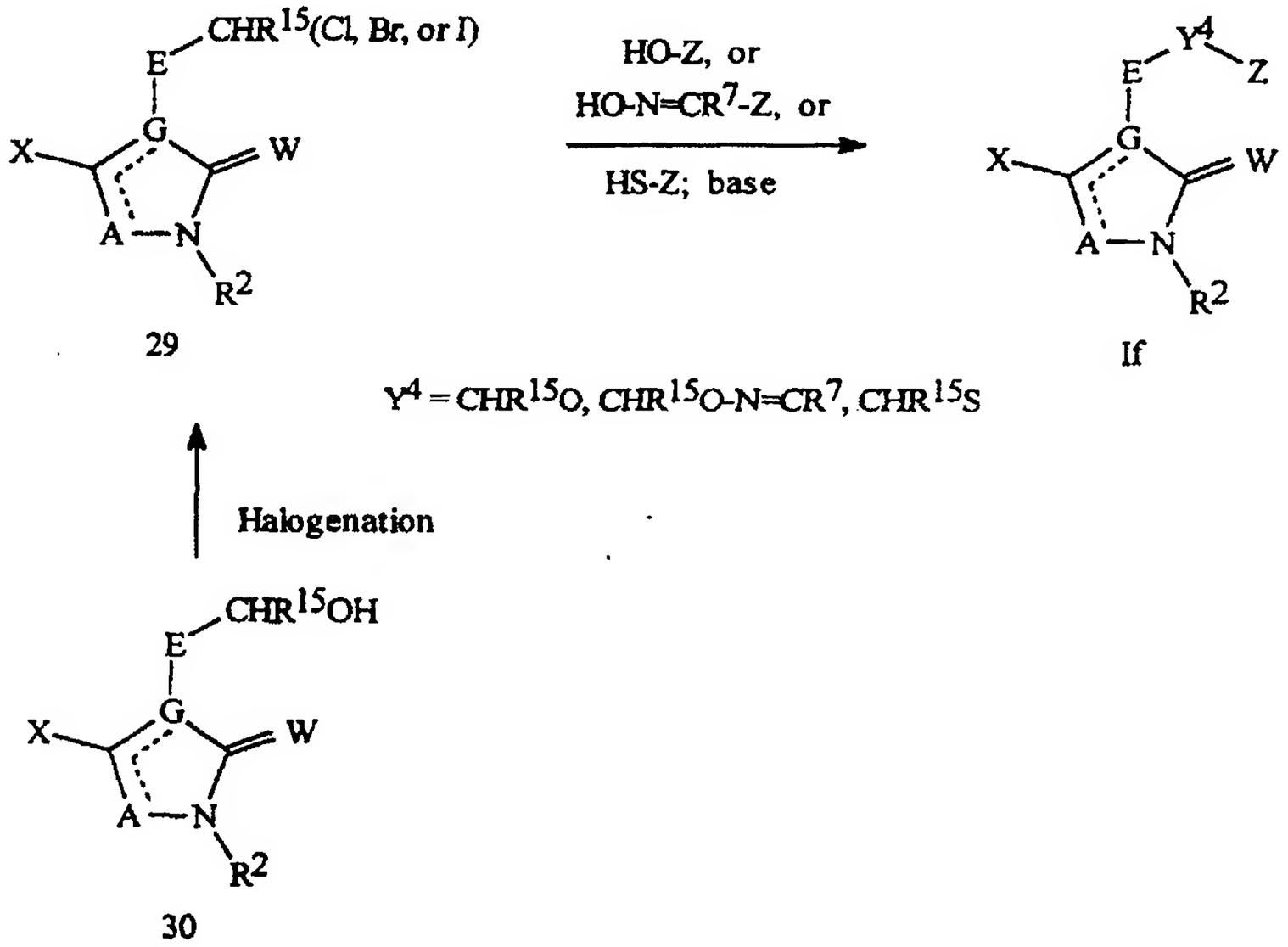
Iea Ieb Iec

R = C_1-C_4 alkyl T^5 = C_1-C_6 alkyl, C_1-C_6 alkoxy

5) Aryl Moiety (E-Y-Z) Synthesis Procedures

Compounds of Formula If (compounds of Formula I wherein Y is $CHR^{15}O$, $CHR^{15}S$, or $CHR^{15}O$-N=CR^7) can be prepared by contacting halides of Formula 29 with various nucleophiles (Scheme 21). The appropriate alcohol or thiol is treated with a base, for example sodium hydride, to form the corresponding alkoxide or thioalkoxide which acts as the nucleophile.

Scheme 21



29 If 30

Some aryl halides of Formula 29 can be prepared by radical halogenation of the corresponding alkyl compound (i.e., H instead of halogen in Formula 29), or by acidic cleavage of the corresponding methyl ether (i.e., OMe instead of halogen in

Formula 29). Other aryl halides of Formula 29 can be prepared from the appropriate alcohols of Formula 30 by well known halogenation methods in the art (see Carey, F. A.; Sundberg, R. J. *Advanced Organic Chemistry*; 3rd ed., Part B, Plenum: New York, (1990), p 122).

Compounds of Formula I wherein Y is $CR^6=CR^6$ or CHR^6-CHR^6 (Formula Ig and Ih, respectively) can be prepared as illustrated in Scheme 22. Treatment of the halides of Formula 29a with triphenylphosphine or a trialkylphosphite produces the corresponding phosphonium salt (Formula 31) or phosphonate (Formula 32), respectively. Condensation of the phosphorus compound with a base and a carbonyl compound of Formula $Z(R^6)C=O$ affords the olefin of Formula Ig.

Scheme 22

The olefins of Formula Ig can be converted to the saturated compounds of Formula Ih by hydrogenation over a metal catalyst such as palladium on carbon as is

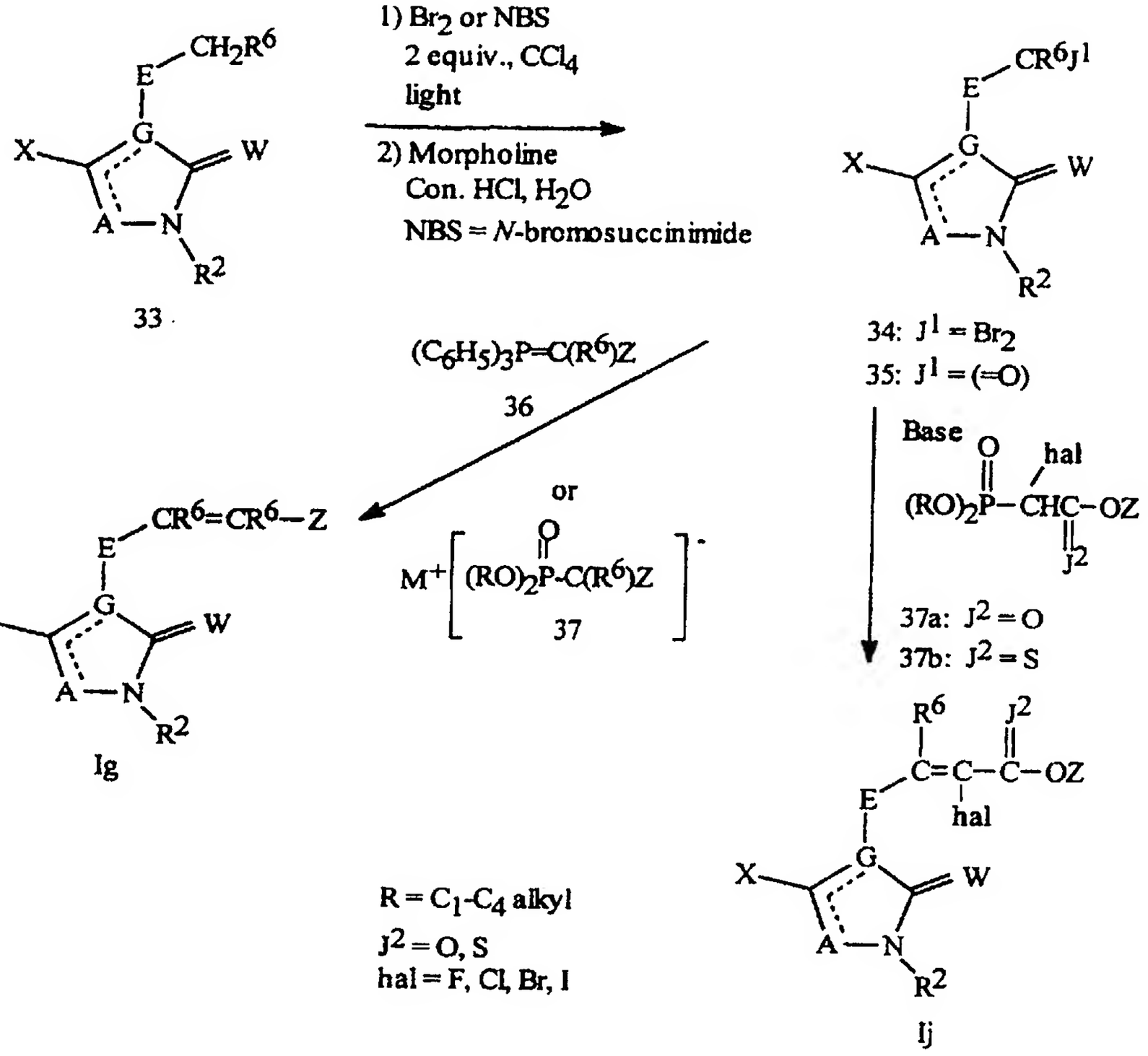
well-known in the art (Rylander, *Catalytic Hydrogenation in Organic Synthesis*; Academic: New York, 1979).

Formula Ii alkynes can be prepared by halogenation/dehalogenation of Formula Ig olefins using procedures well-known in the art (March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), p 924). Additionally, Formula Ii alkynes can be prepared by well-known reaction of aryl halides with alkyne derivatives in the presence of catalysts such as nickel or palladium (see *J. Organomet. Chem.*, (1975), *93* 253-257).

The olefin of Formula Ig can also be prepared by reversing the reactivity of the reactants in the Wittig or Horner-Emmons condensation. For example, 2-alkylaryl derivatives of Formula 33 can be converted into the corresponding dibromo-compound of Formula 34 as illustrated in Scheme 23 (see *Synthesis*, (1988), 330). The dibromo-compound can be hydrolyzed to the carbonyl compound of Formula 35, which in turn can be condensed with a phosphorus-containing nucleophile of Formula 36 or 37 to afford the olefin of Formula Ig. Additionally, compounds of Formula 35 can be prepared by oxidation of the corresponding alcohols of Formula 30.

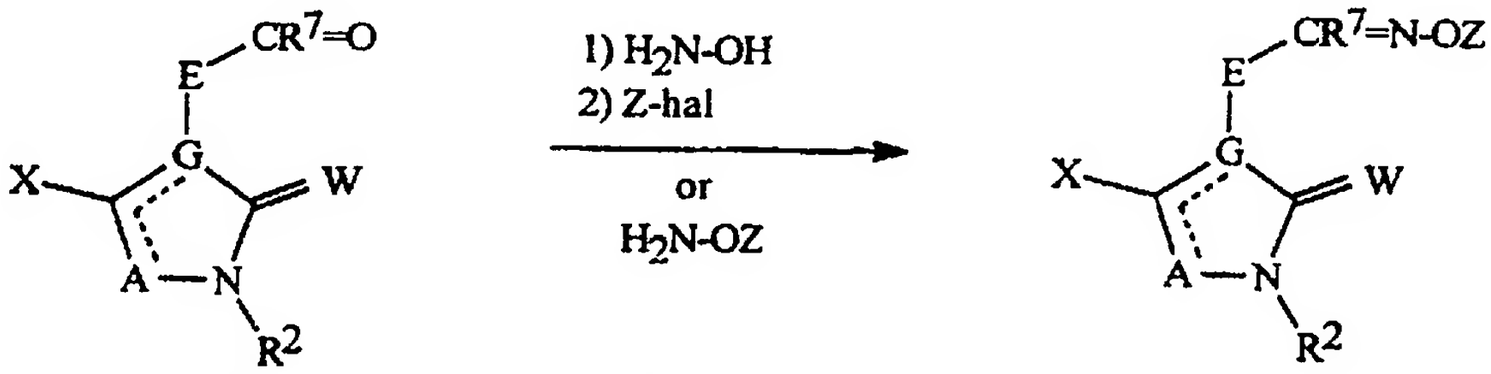
Vinyl halides of Formula Ij can be prepared by reacting phosphorus reagents of Formulae 37a or 37b with carbonyl compounds of Formula 35 (Scheme 23). The preparations of halides of Formula 37a from the appropriate diethylphosphonoacetate are described by McKenna and Khawli in *J. Org. Chem.*, (1986), *51*, 5467. The thiono esters of Formula 37b can be prepared from esters of Formula 37a by converting the carbonyl oxygen of the ester to a thiocarbonyl (see *Chem. Rev.*, (1984), *84*, 17 and *Tetrahedron Lett.*, (1984), *25*, 2639).

Scheme 23



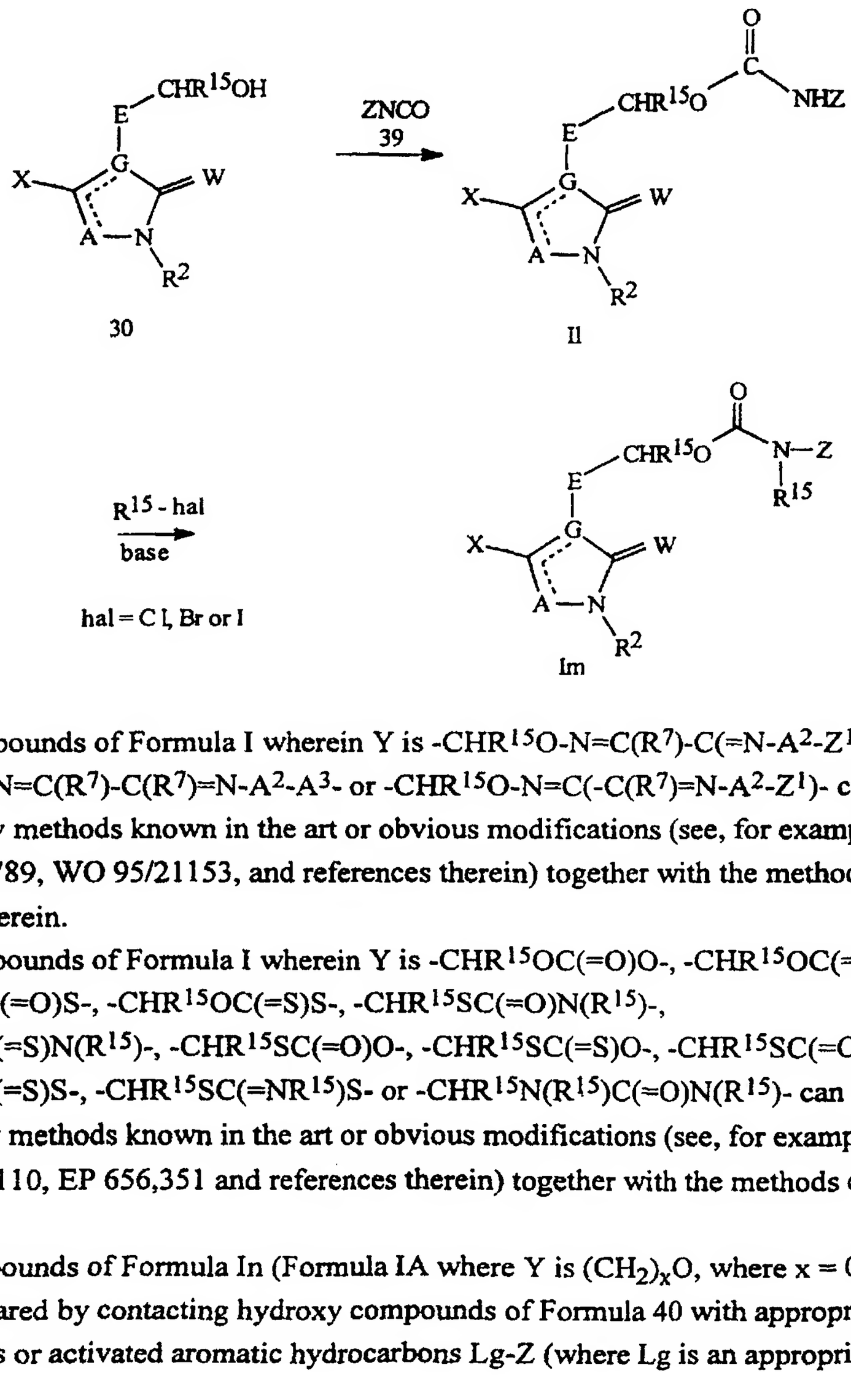
Oximes of Formula Ik (Formula I wherein Y is $C(R^7)$=N-O) can be prepared from carbonyl compounds of Formula 38 by condensation with hydroxylamine, followed by *O*-alkylation with electrophiles of Formula Z-(Cl, Br, or I) (Scheme 24). Alternatively, the *O*-substituted hydroxylamine can be condensed with the carbonyl compound of Formula 38 to yield oximes of Formula Ik directly.

Scheme 24



Carbamates of Formula II can be prepared by reacting aryl alcohols of Formula 30 with isocyanates of Formula 39 (Scheme 25). A base such as triethylamine can be added to catalyze the reaction. As shown, carbamates of Formula II can be further alkylated to provide the carbamates of Formula Im.

Scheme 25



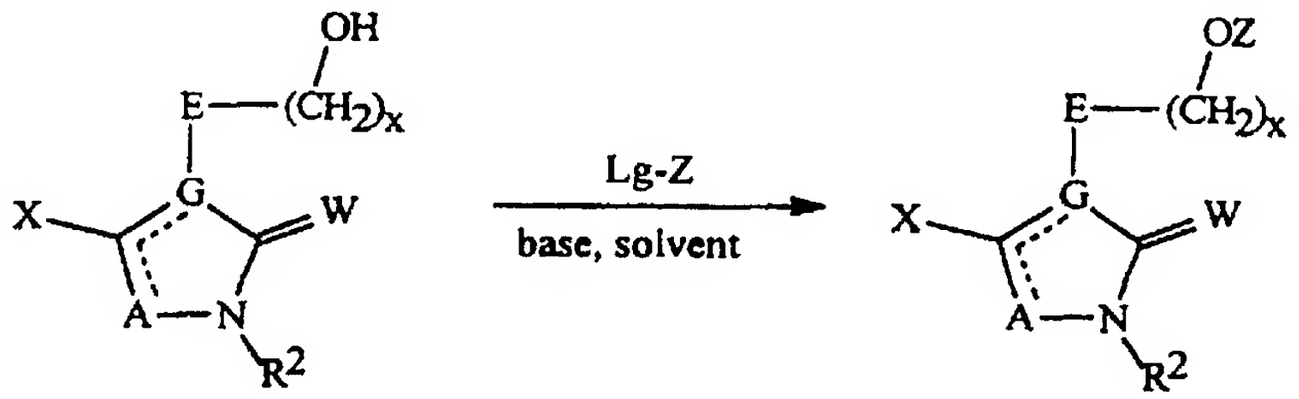
Compounds of Formula I wherein Y is $-CHR^{15}O-N=C(R^7)-C(=N-A^2-Z^1)-A^1-$, $-CHR^{15}O-N=C(R^7)-C(R^7)=N-A^2-A^3-$ or $-CHR^{15}O-N=C(-C(R^7)=N-A^2-Z^1)-$ can be prepared by methods known in the art or obvious modifications (see, for example, WO 95/18789, WO 95/21153, and references therein) together with the methods disclosed herein.

Compounds of Formula I wherein Y is $-CHR^{15}OC(=O)O-$, $-CHR^{15}OC(=S)O-$, $-CHR^{15}OC(=O)S-$, $-CHR^{15}OC(=S)S-$, $-CHR^{15}SC(=O)N(R^{15})-$, $-CHR^{15}SC(=S)N(R^{15})-$, $-CHR^{15}SC(=O)O-$, $-CHR^{15}SC(=S)O-$, $-CHR^{15}SC(=O)S-$, $-CHR^{15}SC(=S)S-$, $-CHR^{15}SC(=NR^{15})S-$ or $-CHR^{15}N(R^{15})C(=O)N(R^{15})-$ can be prepared by methods known in the art or obvious modifications (see, for example, U.S. 5,416,110, EP 656,351 and references therein) together with the methods disclosed herein.

Compounds of Formula In (Formula IA where Y is $(CH_2)_xO$, where x = 0 or 1) can be prepared by contacting hydroxy compounds of Formula 40 with appropriate heterocycles or activated aromatic hydrocarbons Lg-Z (where Lg is an appropriate

leaving group, for example, halogen or alkylsulfonyl) in the presence of suitable bases (for example, K_2CO_3, KO-*t*-Bu or NaH) in suitable solvents (for example, acetone, dimethylformamide, dimethyl sulfoxide or tetrahydrofuran) (see Scheme 26).

Scheme 26

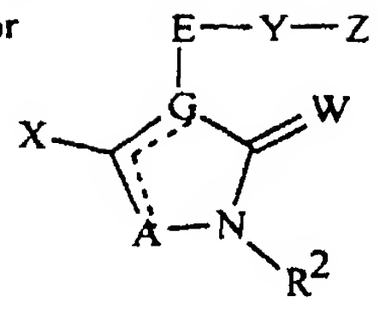


where x = 0 or 1 In

Compounds of Formula Lg-Z may be prepared according to literature procedures, for example, *Comprehensive Heterocyclic Chemistry*, Pergamon Press, vol. 6, 1984, pp 463-511 or *J. Org. Chem.* (1973), *38*, 469 or *J. Het. Chem.* (1979), 961 for the preparation of 1,2,4-thiadiazoles, U.S. 5,166,165 or *J. Chem. Soc., Perkin Trans. 1* (1983), 967 for the preparation of 1,3,4-oxadiazoles and 1,3,4-thiadiazoles, EP 446,010 or *J. Med. Chem.* (1992), *35*, 3691 for the preparation of 1,2,4-oxadiazoles.

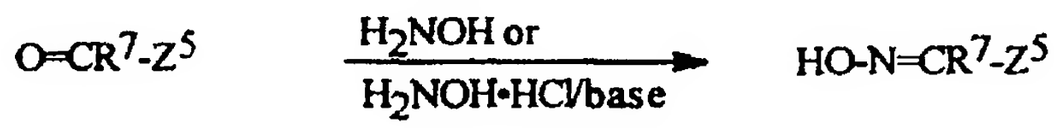
The compounds of the present invention are prepared by combinations of reactions as illustrated in the Schemes 1-26 in which Z is a moiety as described in the summary. Preparation of the compounds containing the radical Z^5 [Z as described in the summary, substituted with L (defined as any group attached to Z as depicted in each of the individual schemes)] can be accomplished by one skilled in the art by the appropriate combination of reagents and reaction sequences for a particular Z^5-L. Such reaction sequences can be developed based on known reactions available in the chemical art. For a general reference, see March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985) and references therein. See the following paragraphs and Schemes for some examples of how L is defined in individual schemes, and the preparation of representative Z^5-L examples. Note that Z^5 in the Schemes 27-41 is also taken to be the radical below, such that compounds assembled by the methods taught in Schemes 1-26 can be further modified by the chemistry illustrated to provide compounds I as described in the summary.

41

$Z^5 = Z$ or 

Compounds of Formula 42 in Scheme 27 can be prepared from compounds of Formula 41 by reaction with hydroxylamine or hydroxylamine salts. See Sandler and Karo, *Organic Functional Group Preparations*, Vol. 3 Academic Press, New York, (1972) 372-381 for a review of methods. Compounds of Formula 42 correspond to compounds of Formula 13 in Scheme 6 when Y^1 = O-N=C(R^7) and in Scheme 21, reagent HO-N=CR^7.

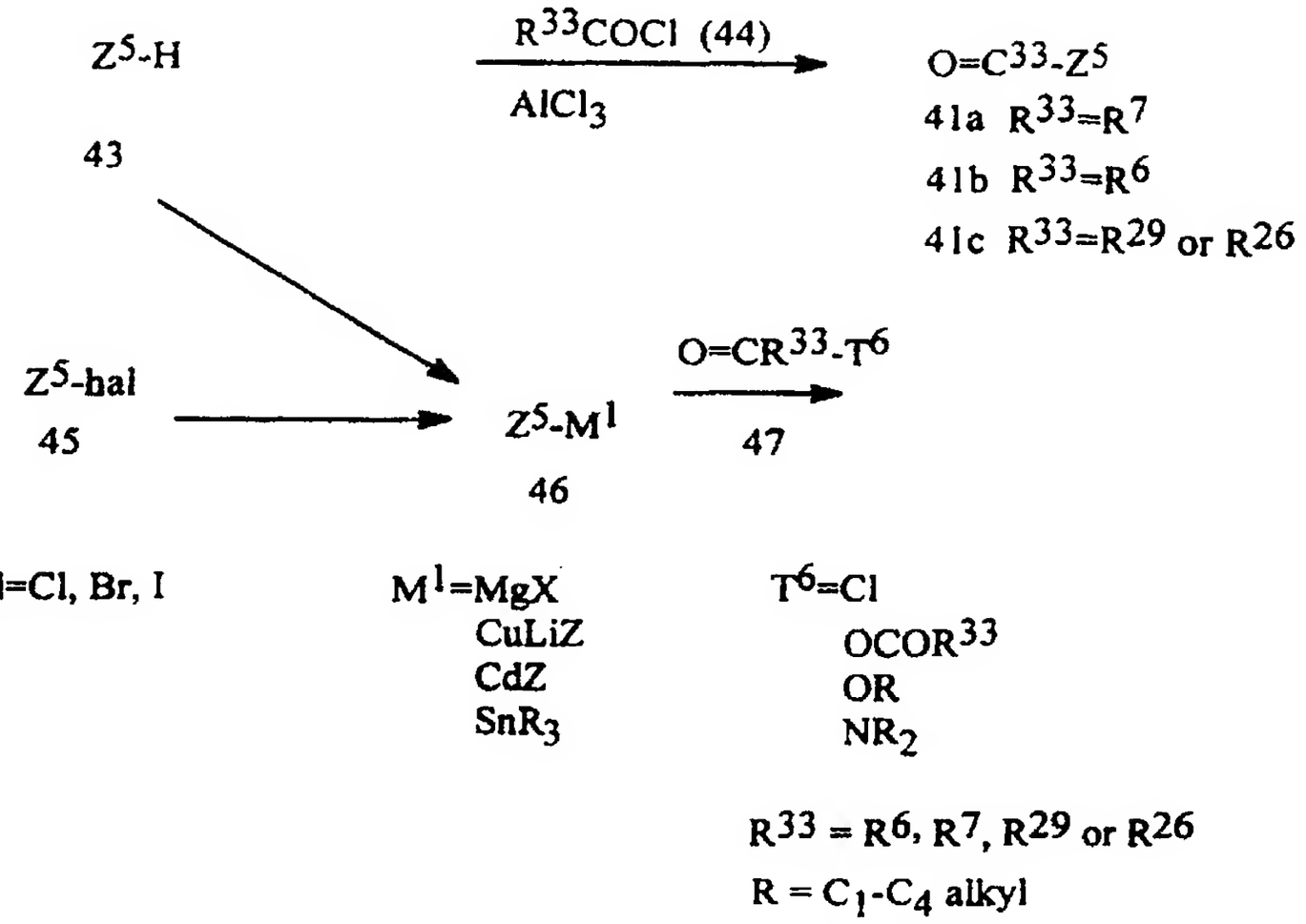
Scheme 27



41 42

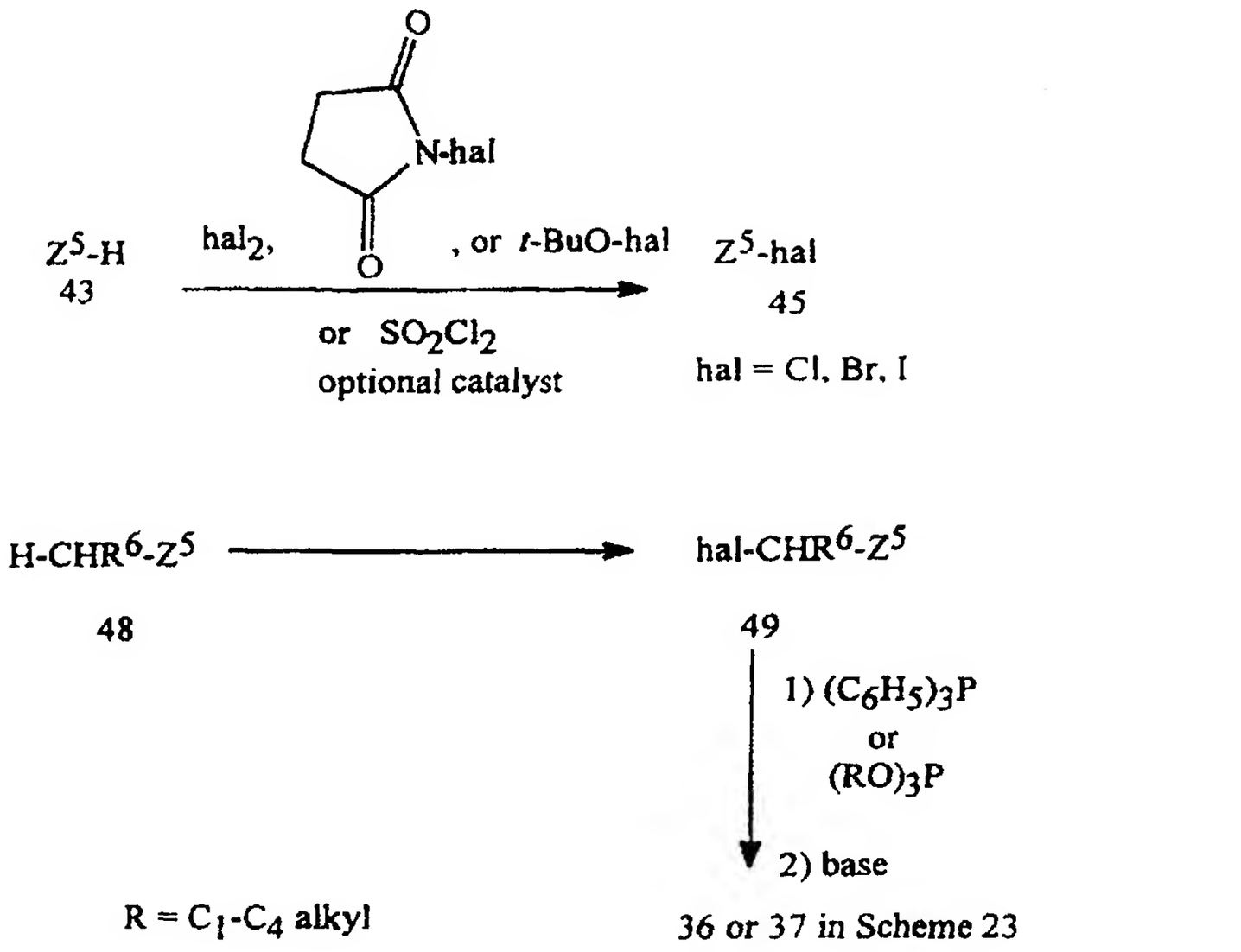
Compounds of Formula 41 can be prepared from compounds of Formula 43 (Scheme 28) by Friedel-Crafts acylation with compounds of Formula 44. (See Olah, G. "Friedel-Crafts and Related Reactions," Interscience, New York (1963-1964) for a general review). Compounds of Formula 41 may also be prepared by reaction of acyl halides, anhydrides, esters, or amides of Formula 47 with organometallic reagents of Formula 46. (See March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 433-435 and references therein.) The organometallic compounds of Formula 46 may be prepared by reductive metallation or halogen-metal exchange of a halogen-containing compound of Formula 45 using, for example, magnesium or an organolithium reagent, or by deprotonation of compounds of Formula 43 using a strong base such as a lithioamide or an organolithium reagent, followed by transmetallation. Compound 41a corresponds to Compound 14a in Scheme 8 and compound 41 in Scheme 27, while compound 41b corresponds to O=C(R^6)Z in Scheme 22 and Compound 41c corresponds to compound 93 (where T^{18} = R^{26}) in Scheme 41.

Scheme 28



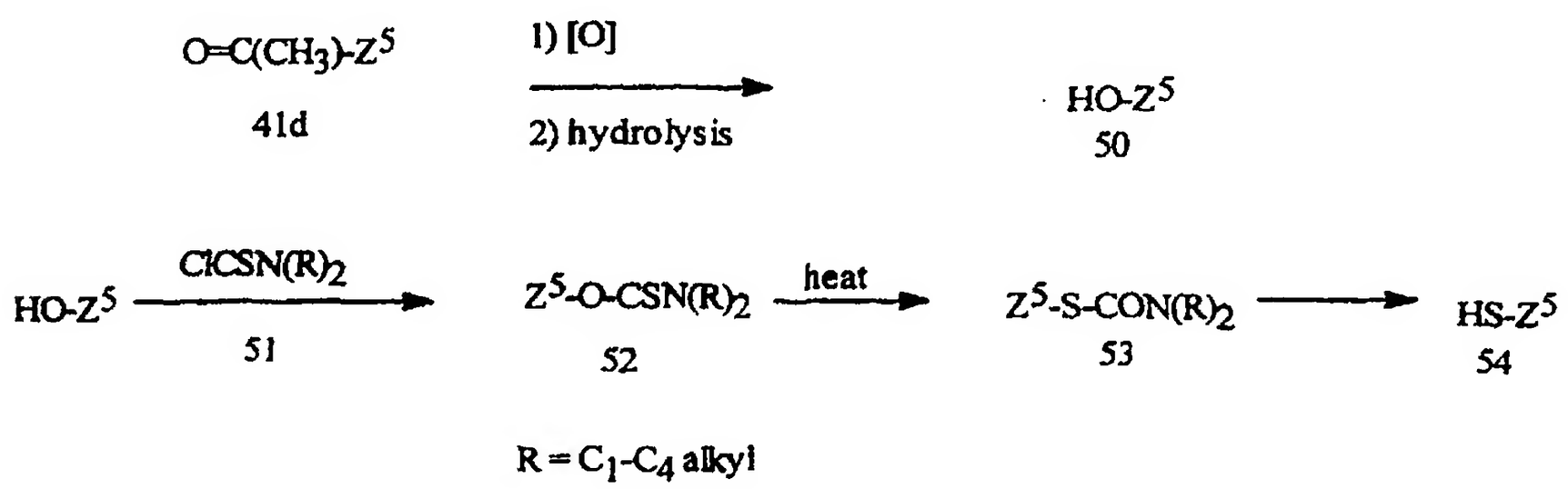
Compounds of Formula 45 may be prepared by reaction of compounds of Formula 43 (Scheme 29) with, for example, bromine or chlorine, with or without additional catalysts, under free-radical or aromatic electrophilic halogenation conditions, depending on the nature of Z. Alternative sources of halogen, such as *N*-halosuccinimides, *tert*-butyl hypohalites or SO_2Cl_2, may also be used. (See March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 476-479, 620-626, and references therein.) For a review of free-radical halogenation, see Huyser, in Patai," The Chemistry of the Carbon-Halogen Bond," Part 1, Wiley, New York (1973) pp 549-607. For electrophilic substitutions, see de la Mare, "Electrophilic Halogenation," Cambridge University Press, London (1976). Compounds of Formula 45 correspond to compounds of Formula 15 in Scheme 7 where Lg = Br, Cl, or I and reagent Z-hal in Scheme 24. Compounds of Formula 49 can be prepared from compounds of Formula 48 by similar procedures. Compounds of Formula 49 correspond to compounds of Formula 16 in Scheme 7 where Lg = Br, Cl, or I. Compounds of Formula 36 or 37 in Scheme 23 can be prepared by reaction of compounds of Formula 49 with triphenylphosphine or trialkyl phosphites, respectively, followed by deprotonation with base. See Cadogen, "Organophosphorus Reagents in Organic Synthesis," Academic Press, New York (1979) for a general treatise on these reagents.

Scheme 29



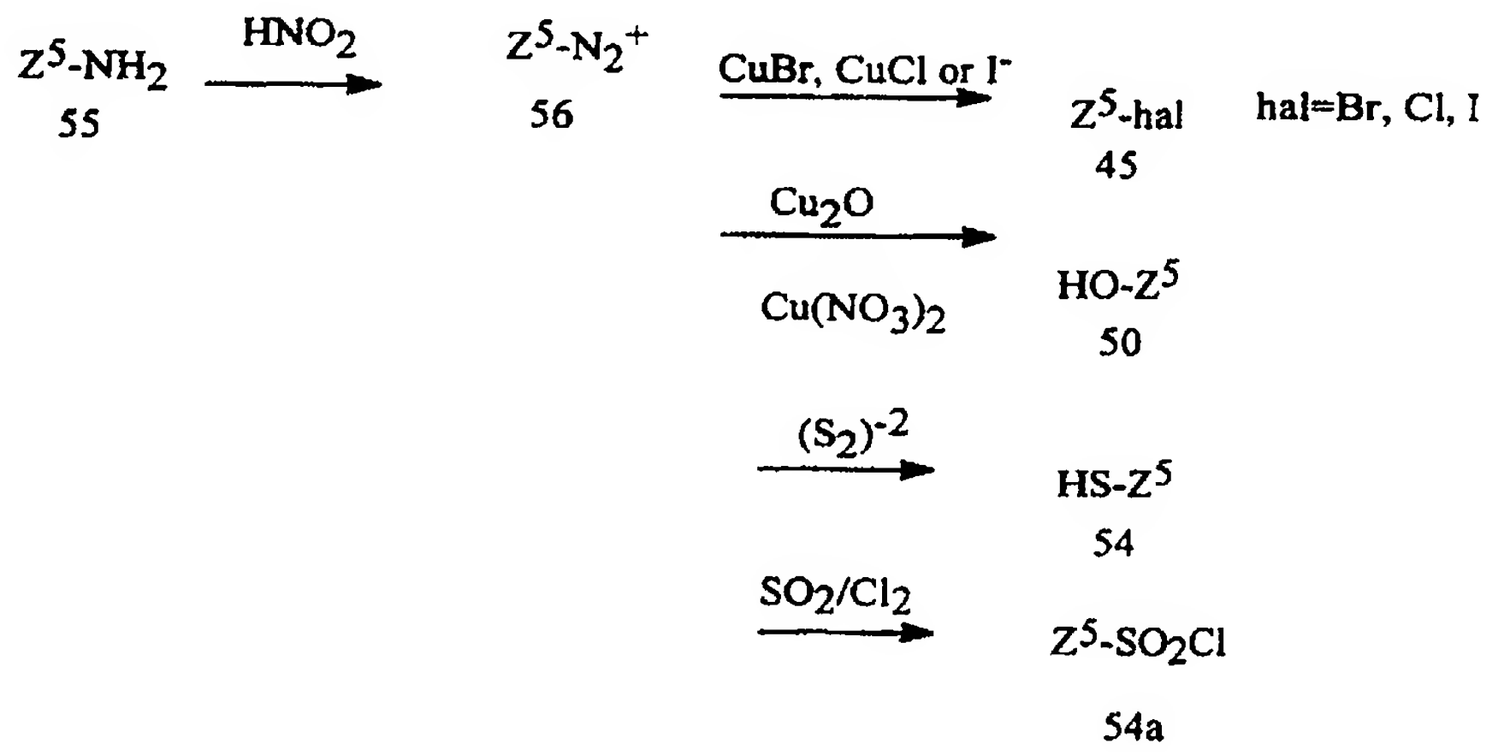
Compounds of Formula 50 can be prepared from compounds of Formula 41d by treatment with peracids such as perbenzoic or peracetic acid, or with other peroxy compounds in the presence of an acid catalysts, followed by hydrolysis of the resultant ester (Scheme 30). For a review, see Plesnicar, in Trahanovsky, "Oxidation in Organic Chemistry, pt. C, Academic Press, New York (1978) pp 254-267. Formula 50 corresponds to Formula 13 in Scheme 6 when Y^1 = O and reagent HO-Z in Scheme 21. Compounds of Formula 54 can be prepared from compounds of Formula 50 by conversion to the dialkylthiocarbamates of Formula 52 followed by rearrangement to Formula 53 and subsequent hydrolysis. See M. S. Newman and H. A. Karnes, *J. Org. Chem.* (1966), *31*, 3980-4. Formula 54 corresponds to Formula 13 in Scheme 6 when Y^1 = S and reagent HS-Z in Scheme 21.

Scheme 30



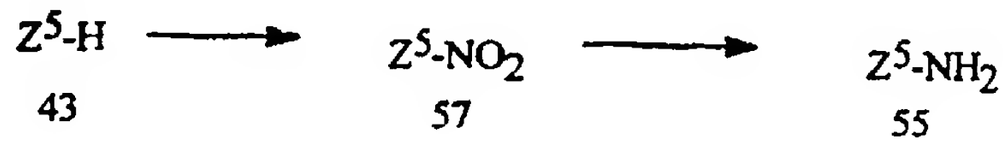
Compounds of Formula 55 can be converted to compounds of Formulae 45, 50 or 54 via the diazonium compounds 56, by treatment with nitrous acid followed by subsequent reaction (Scheme 31). See reviews by Hegarty , pt. 2, pp 511-91 and Schank, pt. 2, pp 645-657, in Patai, "The Chemistry of Diazonium and Diazo Groups," Wiley, New York (1978). Treatment of Formula 56 compounds with cuprous halides or iodide ions yield compounds of Formula 45. Treatment of Formula 56 compounds with cuprous oxide in the presence of excess cupric nitrate provides compounds of Formula 50. (Cohen, Dietz, and Miser, *J. Org. Chem.*, (1977), *42*, 2053). Treatment of Formula 56 compounds with $(S_2)^{-2}$ yields compounds of Formula 54. Treatment of Formula 56 compounds with SO_2 and Cl_2 yields compounds of Formula 54a.

Scheme 31



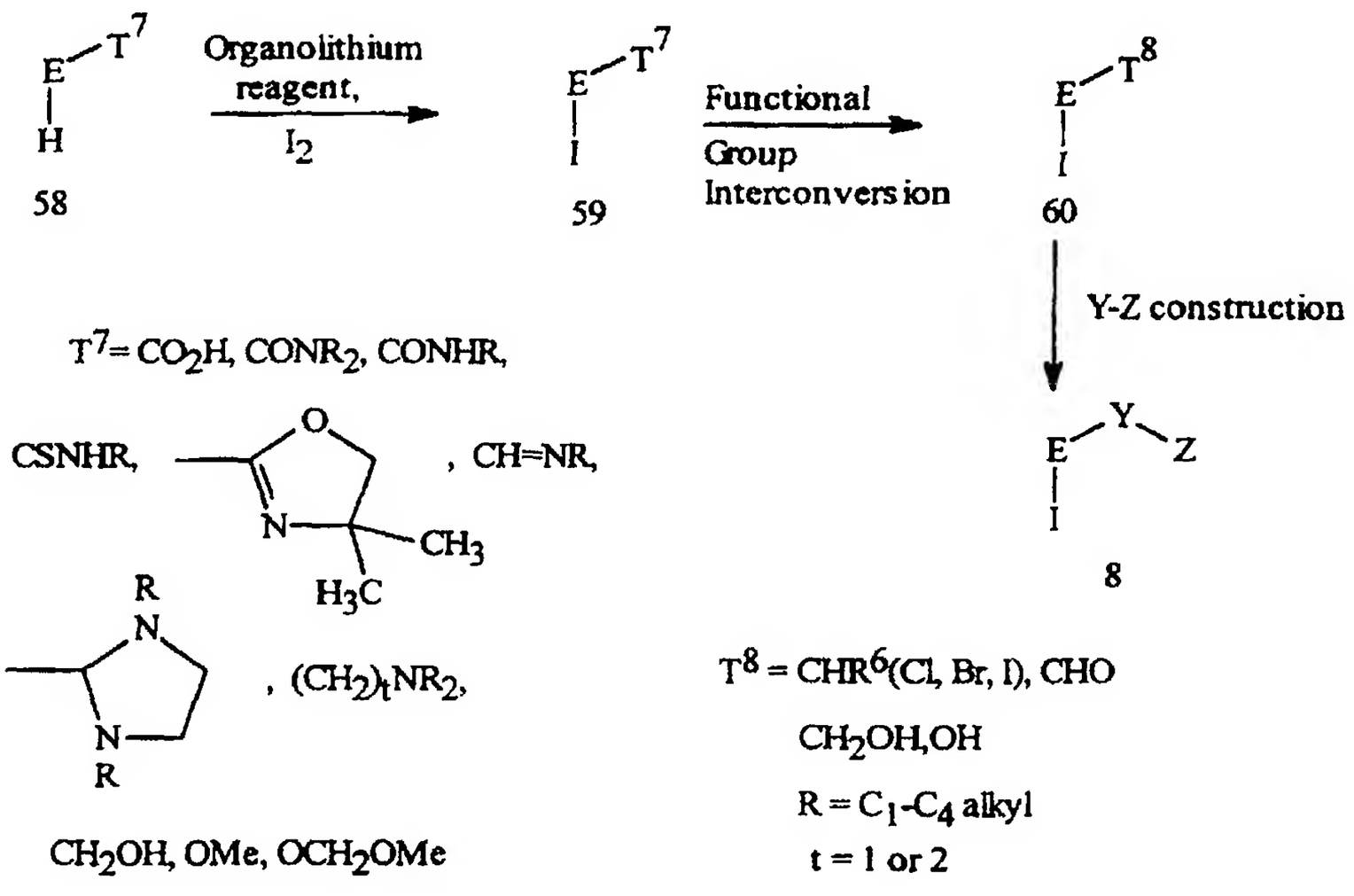
Compounds of Formula 55 can be prepared from compounds of Formula 43 by nitration, followed by reduction (Scheme 32). A wide variety of nitrating agents is available (see Schofield, " Aromatic Nitration," Cambridge University Press, Cambridge (1980)). Reduction of nitro compounds can be accomplished in a number of ways (see March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 1103-4 and references therein). Formula 55 corresponds to Formula 13 in Scheme 6 when $Y^1 = NR^{15}$ and $R^{15} = H$.

Scheme 32



Iodides of Formula 8 can be prepared from compounds of Formula 60 by the methods described above in Schemes 21-26 for various Y-Z combinations. Compounds of Formula 60 can in turn be prepared from compounds of Formula 59 by functional group interconversions which are well known to one skilled in the art. The compounds of Formula 59 can be prepared by treating compounds of Formula 58 with an organolithium reagent such as *n*-BuLi or LDA followed by trapping the intermediate with iodine (Beak, P., Snieckus, V. *Acc. Chem. Res.*, (1982), *15*, 306). Additionally, lithiation via halogen metal exchange of compounds of Formula 58, where H is replaced by Br, will produce an intermediate which can be trapped with iodine to prepare compounds of Formula 59 (Parham, W. E., Bradsher, C. K. *Acc. Chem. Res.*, (1982), *15*, 300 (Scheme 32).

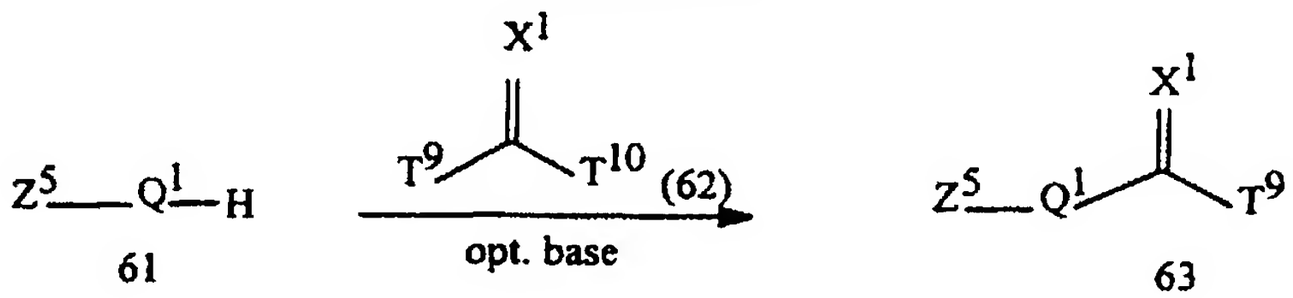
Scheme 33



Compounds of Formula 63 can be prepared by reacting compounds of formula 61 with acylating agents of Formula 62, with or without optional base. Suitable alkylating agents are, for example, alkyl chloroformates, anhydrides, carbamoyl chlorides, or carbonylimidazoles. Alternatively, compounds of Formula 61 can be reacted with compounds of Formula 24, (e.g., phosgene, diphosgene, triphosgene, thiophosgene, *N,N'*-carbonyldiimidazole, or *N,N'*-thiocarbonyldiimidazole) followed by reaction with compounds of Formula 64, with or without optional base. Compounds of Formula 68 can be prepared by reaction of compounds of Formula 66 with sulfonylating agents of Formula 67 (for example, methanesulfonyl chloride or trifluoromethanesulfonic anhydride) with or without optional base. Appropriate bases include alkali metal

alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, tertiary amines such as triethylamine and triethylenediamine, pyridine, or 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU). Suitable solvents include polar aprotic solvents such as acetonitrile, dimethylformamide, or dimethyl sulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; or halocarbons such as dichloromethane or chloroform. The reaction temperature can vary between 0 °C and 150 °C and the reaction time can be from 1 to 72 hours depending on the choice of base, solvent, temperature, and substrates.

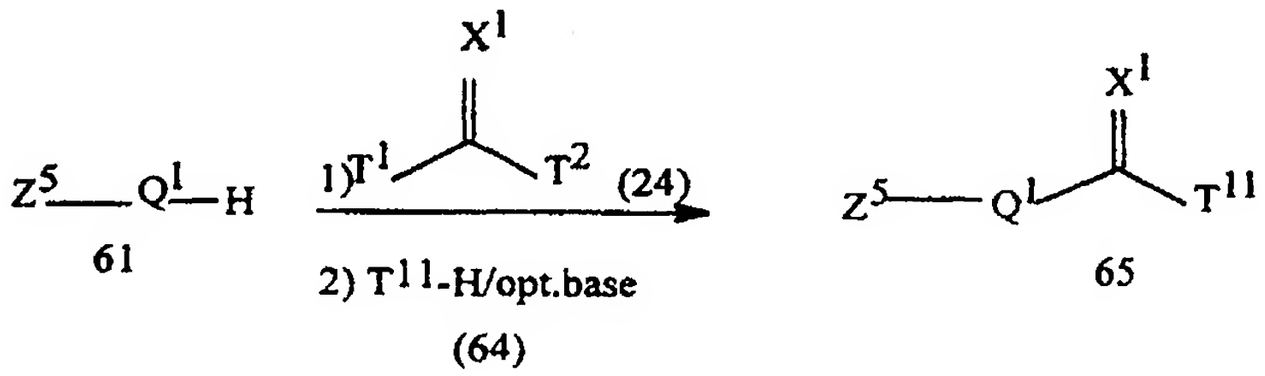
Scheme 34



$Q^1 = O, S, NR^{26}$ $X^1 = O, S$

$T^9 = Cl, OCCl_3, OCO(C_1\text{-}C_4$ alkyl), 1-imidazoyl, 1,2,4-triazodyl

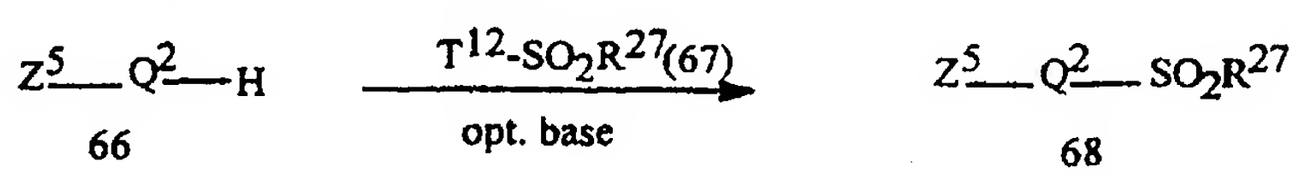
$T^{10} = R^{26}, OR^{27}, SR^{27}, N(R^{26})_2$



$X^1 = O, S$

T^1 and T^2 are independently Cl, $OCCl_3$, $O(C_1\text{-}C_4$ alkyl), 1-imidazolyl, 1,2,4-triazolyl

$T^{11} = OR^{27}, SR^{27}, N(R^{26})_2$



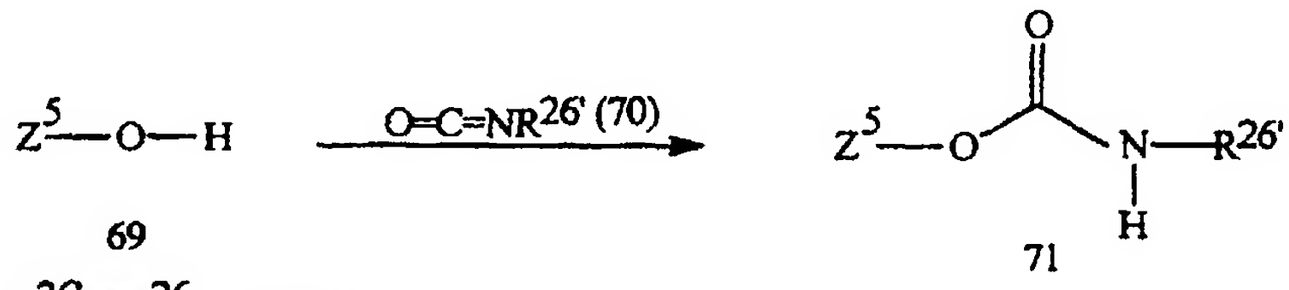
$Q^2 = O, NR^{26}$

$T^{12} = Cl, R^{27}SO_2O$

Compounds of Formula 71 can be prepared by reaction of compounds of Formula 69 with isocyanates of Formula 70. A base such as triethylamine can be added to

catalyze the reaction. Compounds of Formula 73 can be prepared by reaction of compounds of Formula 69 with silylating or germylating agents of Formulae 72a or 72b, in the presence of a base such as, but not limited to, pyridine or imidazole.

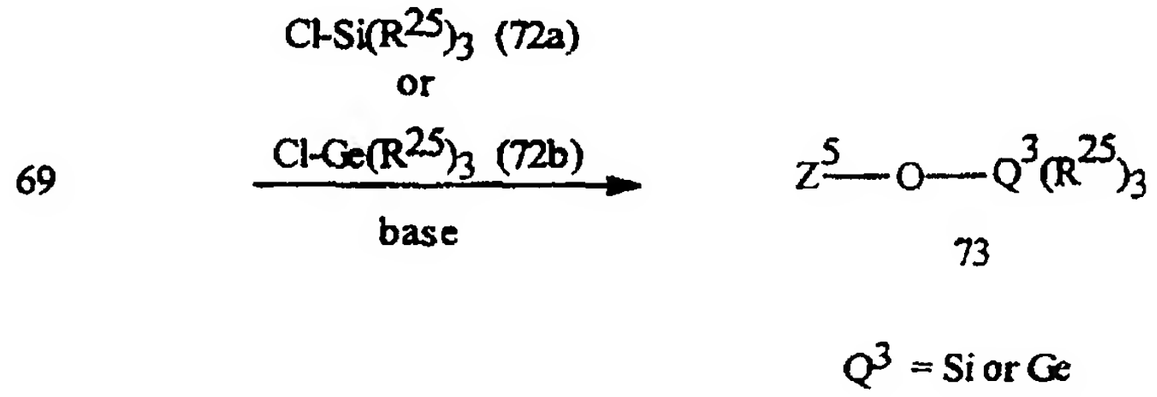
Scheme 35



69

71

$R^{26'} = R^{26}$, except H



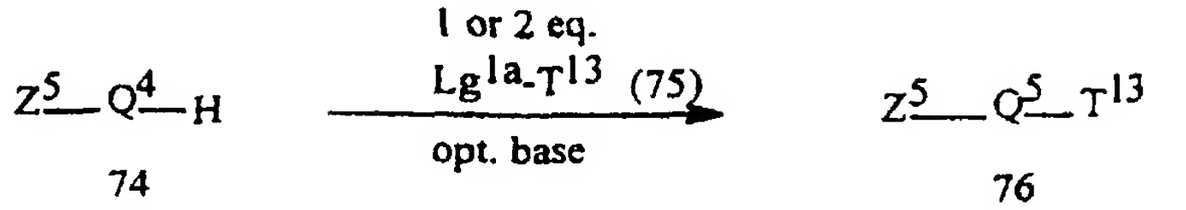
69

73

Q^3 = Si or Ge

Compounds of Formula 76 can be prepared by reaction of compounds of Formula 74 with alkylating agents of Formula 75 which include alkyl-, haloalkyl- or aryl-sulfonates such as ethyl lactate methanesulfonate, 2-methoxyethyl trifluoromethanesulfonate or cyanomethybenzenesulfonate, and alkyl halides such as benzyl bromide and propargyl bromide (Scheme 36). These alkylations can be conducted with or without additional base. Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), and triethylenediamine. Note that when Q^4 = NH, two equivalents of the same compound 75 or two different compounds 75 can be reacted sequentially.

Scheme 36



Q^4 = O, S, NH

Q^5 = O, S, NR^{28}

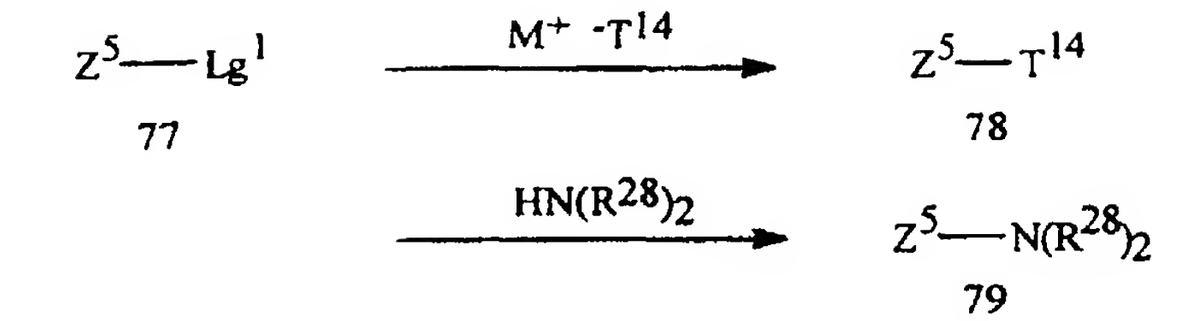
Lg^{1a} = Cl, Br, I, $=OSO_2V^2$

V^2 = C_1-C_6 alkyl, C_1-C_6 haloalkyl, phenyl, 4-MeC_6H_4-

T^{13} = C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, C_3-C_6 haloalkynyl, C_2-C_6 alkoxyalkyl, C_5-C_9 trialkylsilylalkoxyalkyl, C_2-C_6 alkylthioalkyl, C_1-C_3 alkyl substituted with cyano, $C(=O)OR^{26}$ or $C(=O)N(R^{26})_2$

Compounds of Formula 78 can be prepared from compounds of Formula 77 by nucleophilic displacement with alkali metal alkoxides, alkali metal thioalkoxides (M^+-T^{14}) (Scheme 37). Similar displacements on compounds of Formula 80 with compounds M^+-T^{15} provide compounds of Formula 81. Compounds of Formula 79 can be prepared by reaction with amine derivatives in a suitable solvent. The leaving groups Lg^1 in compounds of Formula 77 and 80 are any group known in the art to undergo a displacement reaction of this type. Examples of suitable leaving groups include chlorine, bromine, and sulfonyl and sulfonate groups. Examples of suitable inert solvents are dimethylformamide or dimethyl sulfoxide, dimethoxyethane, and methanol.

Scheme 37



M = K or Na

Lg = Cl, Br, $-SO_2V$ or OSO_2V

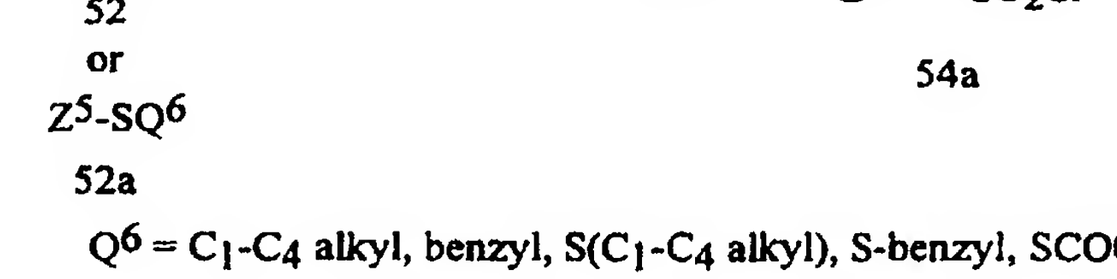
V = C_1-C_6 alkyl, C_1-C_6 haloalkyl, or 4-CH_3-C_6H_4

T^{14} = SCN, benzyloxy, phenylthio, benzylthio, pyrimidinylmethoxy, pyridinylthio, thienylthio, furanyloxy, furanylthio, pyrimidinylthio, each optionally substituted

T^{15} = benzyloxy, phenylthio, each optionally substituted

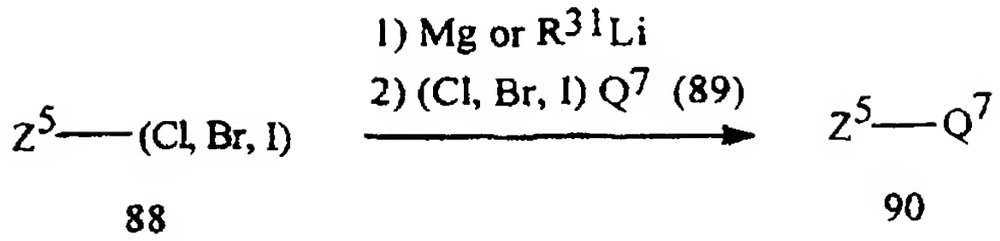
Compounds of Formula 84 can be prepared from compounds of Formula 82 by reaction with nucleophiles of Formula 83 in the presence of added base (Scheme 38). Similarly, reaction of compounds of Formula 54a with nucleophiles of Formula 85 leads to compounds of Formula 86. Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), and triethylenediamine. Acid chlorides of Formula 82 can be prepared from carboxylic acids of Formula 87 by a variety of methods (see March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 388-9 and references therein). Carboxylic acids are widely available and can be synthesized by one skilled in the art by a variety of methods. Compounds of Formula 54a can be prepared, in addition to the method described in Scheme 31, by halosulfonation of compounds of Formula 43 with chlorosulfonic acid (For a review, see Gilbert, *Sulfonation and Related Reactions,* Interscience, New York (1965) pp 62-83,87-124). Compounds of Formula 54a also can be prepared by oxidative chlorination of mercaptans of Formula 52 by chlorine and water. Sulfide, disulfide, and thioacetate derivatives of 52 (Formula 52a), among others, can be used to effect the same reaction. (For a review, see Gilbert, *Sulfonation and Related Reactions,* Interscience, New York (1965) pp 202-21).

Scheme 38



Compounds of Formula 90 (Scheme 39) can be prepared using methods well-known in the art. (For leading references on the art of preparing silyl- and germyl-substituted compounds see *The Organic Compounds of Germanium,* Michel Lesabre, Piere Mazerolles, and Jacques Satge, Dietmar Seyferth, Ed. , John Wiley & Sons, New York; C. Eaborn and K. C. Pande, *J. Chem. Soc.* (1960) 3200-3203; M. Wieber and M. Schmidt, *J. Organometal. Chem.* (1963) 93-94; and WO 94/08976). See Scheme 39 for two methods. One method is the reductive metallation or halogen-metal exchange of compounds of Formula 88 using magnesium or an organolithium reagent, followed by treatment with a silyl- or germyl-substituted halide of Formula 89. A second method is deprotonation of compounds of Formula 43 using a strong base such as a lithioamide or an organolithium reagent followed by treatment with a compound of Formula 89.

Scheme 39

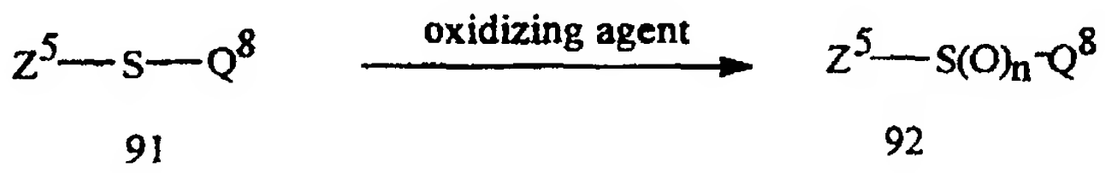


Q^7 = Si $R^{22}R^{23}R^{24}$ or Ge$R^{22}R^{23}R^{24}$

R^{31} = C_1-C_4 alkyl or Si(C_1-C_4 alkyl)$_3$

Compounds of Formula 92 can be prepared by oxidation of the corresponding thio compound of Formula 91 (Scheme 40) using well-known methods for the oxidation of sulfur (see Schrenk, K. in *The Chemistry of Sulphones and Sulphoxides*; Patai, S. et al., Eds.; Wiley: New York, 1988). Using one equivalent of oxidizing agent provides the sulfinyl moiety (n = 1) while two equivalents provides the sulfonyl moiety (n = 2). Suitable oxidizing reagents include meta-chloroperoxybenzoic acid, hydrogen peroxide and Oxone® ($KHSO_5$).

Scheme 40

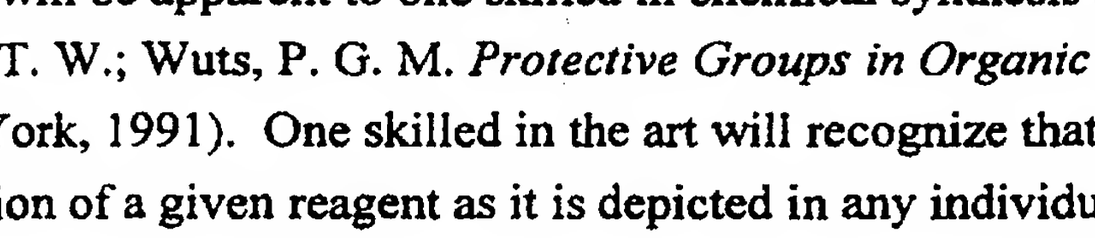


Q^8 = C_1-C_6 haloalkyl, phenyl (optionally substituted)

n = 1 or 2

Compounds of Formula 94 can be prepared by treating compounds of Formula 93 with thionating reagents such as P_2S_5 or Lawesson's reagent (2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide) as illustrated in Scheme 41 (see *Bull. Soc. Chim. Belg.*, (1978), *87*, 229; and *Tetrahedron Lett.*, (1983), *24*, 3815).

Scheme 41



93 94

$T^{18} = R^{26}, OR^{26}, SR^{26}, N(R^{26})_2$

Additionally, when Z is substituted with iodine or Lg^2 (defined in Scheme 10), certain R^9 moieties may be introduced via a palladium(0)-catalyzed cross coupling reaction with the appropriate nucleophiles containing R^9, such as arylboronic acids, aryl or alkyl zinc reagents, and substituted acetylenes.

It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula I may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula I. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence presented to prepare the compounds of Formula I.

One skilled in the art will also recognize that compounds of Formula I and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ^1H NMR spectra are reported in ppm downfield from tetramethylsilane; s = singlet, d = doublet, t = triplet, q = quartet, AB q = "AB" quartet, m = multiplet,

dd = doublet of doublets, ddd = doublet of doublets of doublets, br = broad, br s = broad singlet, br m = broad multiplet.

EXAMPLE 1

Step A: Preparation of *N*-(2-methoxyphenyl)-2,2-dimethylhydrazinecarboxamide

To a stirred solution of 15.0 g of 2-methoxyphenyl isocyanate in 100 mL of toluene at 5 °C under nitrogen was slowly added 7.65 mL of 1,1-dimethylhydrazine in 10 mL toluene. The cooling bath was then removed and the reaction was allowed to stir for an additional 10 min, and was then concentrated under reduced pressure. The resulting material was dissolved in diethyl ether and concentrated again. A solid was obtained which was triturated with hexanes to afford 21 g of the title compound of Step A as a white solid. ^{1}H NMR ($CDCl_3$) δ 8.6 (br s,1H), 8.24 (m,1H), 6.95 (m,2H), 6.85 (m,1H), 5.35 (br s,1H), 3.89 (s,3H), 2.60 (s,6H).

Step B: Preparation of 5-chloro-2,4-dihydro-4-(2-methoxyphenyl)-2-methyl-3*H*-1,2,4-triazol-3-one

To a stirred solution of 21 g of the title compound of Step A in 800 mL of dichloromethane under nitrogen was added 29.85 g of triphosgene. The reaction was heated to reflux and allowed to reflux overnight, cooled, and then concentrated under reduced pressure. The resulting residue was dissolved in ethyl acetate, washed with distilled water, and then with saturated aqueous sodium chloride solution. The organic layer was dried ($MgSO_4$), filtered, and concentrated under reduced pressure. The solid was recrystallized from dichloromethane and the resulting solid was triturated with diethyl ether to afford 10 g of the title compound of Step B as a white solid melting at 152-154 °C. ^{1}H NMR ($CDCl_3$) δ 7.45 (t,1H),7.25 (d,1H), 7.05 (m,2H), 3.84 (s,3H), 3.53 (s,3H).

Step C: Preparation of 5-chloro-2,4-dihydro-4-(2-hydroxyphenyl)-2-methyl-3*H*-1,2,4-triazol-3-one

The title compound of Step B (7.7 g) was dissolved in 65 mL of dichloromethane under nitrogen, cooled to -78 °C, and 34 mL of a 1.0 M boron tribromide solution in dichloromethane was then added over 0.5 h with stirring. After the addition, the cooling bath (dry ice/acetone) was kept in place for an additional 0.5 h and then the reaction was allowed to warm to room temperature. Ice was added to the reaction mixture which was then diluted with diethyl ether and the product was extracted using 1N aqueous sodium hydroxide solution. The aqueous layer was acidified with 6N aqueous hydrochloric acid solution and extracted with dichloromethane and then with ethyl acetate. The organic layers were combined, dried ($MgSO_4$), filtered and concentrated under reduced pressure. The resulting residue was triturated with diethyl ether to afford 5.54 g of the title compound of Step C as a white solid. ^{1}H NMR ($CDCl_3$) δ 8.18 (s,1H), 7.11 (t,2H), 6.91 (t,1H), 6.76 (d,1H), 3.56 (s,3H).

Step D: Preparation of 2,4-dihydro-4-(2-hydroxyphenyl)-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one

To a stirred solution of 5.54 g of the title compound of Step C in 50 mL of methanol and 25 mL of 1,2-dimethoxyethane under nitrogen was added 18.6 mL of 30% sodium methoxide solution in methanol. The reaction mixture was heated at reflux for 5.5 h and then cooled to room temperature. The mixture was diluted with diethyl ether and the product was extracted using 1N aqueous sodium hydroxide solution. The aqueous layer was acidified with 6N aqueous hydrochloric acid solution and extracted with dichloromethane. The organic layer was dried ($MgSO_4$), filtered, and then concentrated under reduced pressure. The resulting residue was triturated with diethyl ether to afford 3.85 g of the title compound of Step D as a white solid (85% pure). ^1H NMR ($CDCl_3$) δ 8.40 (br s,1H), 7.20 (m,2H), 7.03 (d,1H), 6.94 (t,1H), 4.00 (s,3H), 3.48 (s,3H).

Step E: Preparation of 2,4-dihydro-4-[2-[(3-iodo-1,2,4-thiadiazol-5-yl)oxy]phenyl]-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one

To a solution of the title compound of Step D (3.0 g, 13.6 mmol) in acetone (27 mL) was added potassium carbonate (2.44 g) and 3-iodo-5-(methylsulfonyl)-1,2,4-thiadiazole (*J. Org Chem.* (1973), *38*, 469) (4.33 g). The mixture was stirred at ambient temperature for 36 h before being diluted with water. The resulting mixture was extracted twice with methylene chloride and the combined extracts were dried over magnesium sulfate. The solution was concentrated to a solid which was triturated with hot ethanol to give the title compound of Step E (2.8 g, 48%). ^1H NMR ($CDCl_3$) δ 7.55 (m,2H), 7.46 (m,2H), 3.86 (s,3H), 3.40 (s,3H).

Step F: Preparation of 2,4-dihydro-5-methoxy-1-methyl-4-[2-[[3-[(2-pyridinyl)ethynyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-3*H*-1,2,4-triazol-3-one

To a solution of the title compound of Step E (307 mg, 0.71 mmol) in DMF (4 mL) was added copper(I) iodide (14 mg), triethylamine (0.347 mL), 2-ethynylpyridine (186 mg, 1.78 mmol) and bis(triphenylphosphine)palladium(II) chloride (25 mg). The mixture was stirred for 16 h at ambient temperature before being diluted with ethyl acetate and washed twice with water. The aqueous phases were extracted with ethyl acetate and the combined organic phases were dried over magnesium sulfate. The solution was concentrated and the residue was purified by column chromatography (silica gel, ethyl ether then ethyl acetate) to give the title compound of Step F, a compound of the invention. ^1H NMR ($CDCl_3$) δ 8.65 (d,1H), 7.7 (m,1H), 7.65-7.5 (m,3H), 7.5-7.4 (m,2H), 7.3 (m,1H), 3.84 (s,3H), 3.40 (s,3H).

EXAMPLE 2

Step A: Preparation of ethyl 1-(4-chlorophenyl)cyclopropanecarboximidate hydrochloride

To a solution of 1-(4-chlorophenyl)-1-cyclopropanecarbonitrile (10 g, 56.3 mmol) in ethyl ether (56 mL) is added absolute ethanol (3.4 mL). The solution is cooled to 0 °C and saturated with dry HCl gas. The reaction mixture is then left to stand at ambient temperature for 11 days after which time it is filtered under a stream of dry nitrogen to give the title compound of Step A (11.60 g) as a white solid. ^{1}H NMR (Me_2SO-d_6) δ 7.45 (s,4H), 4.47 (q,2H), 1.84 (m,2H), 1.48 (m,2H), 1.30 (t,3H).

Step B: Preparation of 1-(4-chlorophenyl)cyclopropanecarboximidamide hydrochloride

To a solution of the title compound of Step A (11.60 g, 44.6 mmol) in methanol (15 mL) is added ammonia (9.0 mL, 7N solution in methanol). This mixture was stirred for 2 days before being concentrated to give the title compound of Step B (9.78 g). ^{1}H NMR (Me_2SO-d_6) δ 9.2-9.0 (br,4H), 7.52-7.43 (m,4H), 1.52 (m,2H), 1.29 (m,2H).

Step C: Preparation of 5-chloro-3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazole

To a solution of the title compound of Step B (9.78 g, 42.3 mmol) in water (100 mL) is added methylene chloride (200 mL), benzyltriethylammonium chloride (0.79 g) and perchloromethyl mercaptan (4.62 mL, 42.3 mmol) and the mixture is cooled in an ice bath. With efficient stirring, sodium hydroxide (6.77 g) in water (100 mL) is then added dropwise such that the internal temperature does not exceed 10 °C. After the addition is complete, the cooling bath is removed and the reaction mixture is stirred for a further 1.5 h. The organic layer is then separated, dried over magnesium sulfate and concentrated. The yellow/brown tar is extracted with boiling hexane and the hot solution is filtered through a pad of silica gel. The silica gel is washed with hexane and the solution is then concentrated to give a yellow solid which is recrystallized from ethanol to give 3.97 g of the title compound of Step C as a white solid. ^{1}H NMR ($CDCl_3$) δ 7.39-7.32 (m,4H), 1.75 (m,2H), 1.42 (m,2H).

Step D: Preparation of 4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (300 mg, 1.36 mmol) in acetone (3 mL) is added freshly ground potassium carbonate (244 mg) and the title compound of Step C (368 mg, 1.36 mmol). The mixture was stirred at ambient temperature for 2 days before being diluted with water and the resulting mixture was extracted three times with methylene chloride. The combined organic layers were dried over magnesium sulfate and concentrated. The resulting residue was crystallized from

ethanol to yield the title compound of Step D, a compound of the invention, as an off white solid melting at 123-124 °C. ^{1}H NMR ($CDCl_3$) δ 7.52 (m,2H), 7.44 (m,2H), 7.36 (m,2H), 7.33 (m,2H), 3.82 (s,3H), 3.41 (s,3H), 1.65 (m,2H), 1.30 (m,2H).

EXAMPLE 3

Step A: Preparation of ethyl 1,3-benzodioxole-5-carboximidate hydrochloride

To a solution of piperonylonitrile (10 g, 68.0 mmol) in ethyl ether (68 mL) and methylene chloride (30 mL) is added absolute ethanol (3.99 mL). The solution is cooled to 0 °C and saturated with dry HCl gas. The reaction mixture is then left to stand at ambient temperature for 5 days after which time it is concentrated and the residue is triturated with ethyl ether to give the title compound of Step A (6.38 g) as a white solid. ^{1}H NMR (Me_2SO-d_6) δ 7.80 (m,2H), 7.18 (d,1H), 6.23 (s,2H), 4.61 (q,2H), 1.47 (m,3H).

Step B: Preparation of 1,3-benzodioxole-5-carboximidamide hydrochloride

To a solution of the title compound of Step A (6.38 g, 29.3 mmol) in ethanol is added ammonia (5.6 mL, 7N solution in methanol). This mixture is stirred for 6 days before being concentrated to give the title compound of Step B (5.60 g). ^{1}H NMR (Me_2SO-d_6) δ 9.30 (s,2H), 9.17 (s,2H), 7.50-7.45 (m,2H), 7.16 (d,1H), 6.20 (s,2H).

Step C: Preparation of 5-chloro-3-(1,3-benzodioxol-5-yl)-1,2,4-thiadiazole

To a solution of the title compound of Step B (5.60 g, 27.9 mmol) in water (68 mL) is added methylene chloride (136 mL), benzyltriethylammonium chloride (0.52 g) and perchloromethyl mercaptan (3.05 mL, 27.9 mmol) and the mixture is cooled in an ice bath. With efficient stirring, sodium hydroxide (68 mL, 1.66N aqueous solution) is then added dropwise such that the internal temperature does not exceed 10 °C. After the addition is complete, the cooling bath is removed and the reaction mixture is stirred for a further 1 h. The organic layer is then separated, dried over magnesium sulfate and concentrated. The yellow/brown tar is extracted with boiling hexane and the hot solution is filtered through a pad of silica gel. The silica gel is washed with hexane and the solution is then concentrated to give a yellow solid which is recrystallized from ethanol to give the title compound of Step C as a white solid. ^{1}H NMR ($CDCl_3$) δ 7.84 (d,1H), 7.70 (s,1H), 6.90 (d,1H), 6.06 (s,2H).

Step D: Preparation of 4-[2-[[3-(1,3-benzodioxol-5-yl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (300 mg, 1.36 mmol) in acetone (3 mL) was added freshly ground potassium carbonate (244 mg) and the title compound of Step C (327 mg, 1.36 mmol). The mixture was stirred at ambient temperature for 2 days before being diluted with water and the resulting mixture was extracted three times with methylene chloride. The combined organic layers were dried over magnesium sulfate and concentrated. The residue was crystallized from ethyl ether

to yield the title compound of Step D, a compound of the invention, as an off white solid melting at 168-169 °C. ^{1}H NMR ($CDCl_3$) δ 7.70 (d,1H), 7.63 (m,2H), 7.55 (m,1H), 7.48 (m,2H), 6.86 (d,1H), 6.02 (s,2H), 3.78 (s,3H), 3.37 (s,3H).

EXAMPLE 4

Step A: Preparation of 2-(methylthio)-5-(tricyclo[3.3.1.1^{3,7}]dec-1-yl)-1,3,4-oxadiazole

To a solution of 1-adamantanecarboxylic acid hydrazide (2.0 g, 10.3 mmol) in ethanol (16 mL) is added potassium hydroxide (1.08 mL, 10N aqueous solution, 10.8 mmol) and carbon disulfide (0.682 mL) in a dropwise fashion. The mixture is further diluted with ethanol (10 mL) and the mixture is heated at reflux overnight. Methyl iodide (0.705 mL) is then added and the mixture is cooled in an ice bath and stirred for a further 0.5 h. The solution is concentrated and redissolved in methylene chloride. The solution is filtered through a pad of silica gel and concentrated to give the title compound of Step A (2.15 g) as a white solid.

Step B: Preparation of 2-(methylsulfonyl)-5-(tricyclo[3.3.1.1^{3,7}]dec-1-yl)-1,3,4-oxadiazole

To a solution of the title compound of Step A (2.15 g, 7.62 mmol) in acetic acid (17 mL) was added a solution of potassium permanganate (60 mL, 0.3M aqueous solution, 16.0 mmol) in a dropwise fashion. A slight exotherm was controlled with an ice bath. On complete addition, sodium hydrosulfite (80 mL, 40% aqueous solution) was added and the resultant precipitate was filtered to give 1.84 g of the title compound of Step B. ^{1}H NMR ($CDCl_3$) δ 3.47 (s,3H), 2.2-1.6 (br m,several H).

Step C: Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[5-(tricyclo[3.3.1.1^{3,7}]dec-1-yl)-1,3,4-oxadiazol-2-yl]oxy]phenyl]-3*H*-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (0.5 g, 2.26 mmol) in acetone (5 mL) was added potassium carbonate (406 mg) and the title compound of Step B (383 mg). The mixture was stirred overnight before being diluted with methylene chloride and washed with water. The aqueous phase was re-extracted with methylene chloride and the combined organic phases were dried over magnesium sulfate and the solution was concentrated under reduced pressure. The residue was purified by column chromatography (silica gel, 80% ethyl ether in petroleum ether and then ethyl ether) to give the title compound of Step C, a compound of the invention. ^{1}H NMR ($CDCl_3$) δ 7.8 (d,1H), 7.5 (t,1H), 7.42 (m,2H), 3.86 (s,3H), 3.44 (s,3H), 2.1 (br s,3H), 2.04 (br m,6H), 1.79 (br m,6H).

EXAMPLE 5

Step A: Preparation of 3-[(2-chlorophenyl)methoxy]-5-(methylthio)-1,2,4-thiadiazole

To a solution of 3-hydroxy-5-thiomethyl-1,2,4-thiadiazole (*J. Het. Chem.*, (1979), 961) (0.8 g) in DMF (10 mL) was added potassium carbonate (1.12 g) and 2-chlorobenzyl bromide. The mixture was stirred at ambient temperature for 3 days before being diluted with ethyl acetate. The resulting mixture was washed twice with water and dried over magnesium sulfate. The solution was concentrated and the residue was purified by column chromatography (silica gel, 20% then 40% then 60% then 80% ethyl ether in petroleum ether). The early fractions were combined, concentrated and re-purified by column chromatography (silica gel, 5% then 10% ethyl ether in petroleum ether) to give the title compound of Step A. ^{1}H NMR ($CDCl_3$) δ 7.45 (m,2H), 7.3 (m,2H), 5.03 (s,2H), 2.71 (s,3H).

Step B: Preparation of 4-[2-[[3-[(2-chlorophenyl)methoxy]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one

To a solution the title compound of Step A (0.23 g) in acetic acid (1 mL) and acetic anhydride (1 mL) was added hydrogen peroxide (0.17 mL of a 30% aqueous solution) and the solution was left to stand overnight. An extra portion of hydrogen peroxide (0.085 mL) was then added and the solution left to stand for an additional 2 h before being diluted with ethyl ether. The resulting mixture was washed with Na_2SO_3 (10% aqueous solution), aqueous $NaHCO_3$ and dried over magnesium sulfate. The solution was concentrated to give 0.32 g of compound. This material was redissolved in acetone (5 mL) and potassium carbonate (0.218 g) and the title compound of Step D in Example 1 (0.232 g) were added. The mixture was stirred at ambient temperature for 2 h before being diluted with water and twice extracted with methylene chloride. The organic extracts were dried over magnesium sulfate and concentrated. Crystallization of the residue from ethyl ether gave the title compound of Step B (240 mg), a compound of the invention, as a solid melting at 107-108 °C. ^{1}H NMR ($CDCl_3$) δ 7.6-7.5 (m,3H), 7.5-7.35 (m,3H), 7.3 (m,2H), 5.49 (s,2H), 3.82 (s,3H), 3.4 (s,3H).

EXAMPLE 6

Step A: Preparation of dimethylpropanedinitrile

To a solution of malononitrile (10.0 g, 151.4 mmol) in DMF (300 mL) was added iodomethane (28.3 mL, 0.45 mol) and potassium carbonate (52.23 g, 379 mmol) and the reaction mixture was stirred overnight. The mixture was then diluted with ethyl ether, washed with water and saturated aqueous NaCl, and the organic layer was dried over magnesium sulfate. Concentration gave the title compound of Step A (4.84 g) as an oil containing 20 mol% of DMF. ^{1}H NMR ($CDCl_3$) δ 1.84 (s).

Step B: Preparation of α-cyano-α-methylpropanimidamide

(See *Tet. Lett.*, 1990, *31*, 1969). To a solution of trimethylaluminum (20.6 mL, 2M in toluene) in toluene (41 mL) at 0 °C was added ammonium chloride (2.20 g) in small portions. Upon complete addition, the cooling bath was removed and the mixture was stirred for a further 2 h. This mixture was then added to a solution of the title compound of Step A (4.84 g) in toluene (20 mL) and the mixture was heated at 85 °C overnight. The mixture was then cooled and poured onto a slurry of silica gel (200 g) in methylene chloride (300 mL). The mixture was stirred for 5 min and filtered, and the filter cake was washed with methanol. Concentration of the filtrate yielded the title compound of Step B (3.52 g). ^{1}H NMR (Me_2SO-d_6) δ 8.7-8.3 (br s,3H), 1.75 (s,6H).

Step C: Preparation of 5-chloro-α,α-dimethyl-1,2,4-thiadiazole-3-acetonitrile

To a solution of the title compound of Step B (3.52 g, 31.4 mmol) in methylene chloride (75 mL) was added perchloromethyl mercaptan (3.4 mL) and the mixture was cooled in an ice bath. Triethylamine (17.5 mL) was then added such that the internal temperature did not exceed 10 °C. Upon complete addition, the cooling bath was removed and the mixture was stirred for 1.5 h. The mixture was then washed with water, 1N HCl and dried over magnesium sulfate. The mixture was concentrated and the residue was extracted with hot hexanes, filtered through a pad of silica gel and concentrated to give the title compound of Step C (1.3 g). ^{1}H NMR ($CDCl_3$) δ 1.84 (s).

Step D: Preparation of 5-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4*H*-1,2,4-triazol-4-yl)phenoxy]-α,α-dimethyl -1,2,4-thiadiazole-3-acetonitrile

To a solution of the title compound of Step D in Example 1 (0.5 g, 2.26 mmol) in acetone (5 mL) was added potassium carbonate (406 mg) and the title compound of Step C (426 mg). The mixture was stirred overnight at reflux before being diluted with water. The mixture was extracted three times with methylene chloride and the organic extracts were dried over magnesium sulfate. The solution was concentrated and the residue was purified by column chromatography (silica gel, ethyl ether) to give the title compound of Step D (100 mg), a compound of the invention, as a brown solid. ^{1}H NMR ($CDCl_3$) δ 7.57 (m,2H), 7.48 (m,2H), 3.83 (s,3H), 3.40 (s,3H), 1.77 (s,6H).

EXAMPLE 7

Step A: Preparation of 5-(methylthio)-3-(phenylmethoxy)-1,2,4-thiadiazole

To a solution of 3-hydroxy-5-thiomethyl-1,2,4-thiadiazole (*J. Het. Chem.*, (1979), 961) (4.06 g) in DMF (50 mL) was added potassium carbonate (5.7 g) and benzyl bromide (3.56 mL). The mixture was stirred at ambient temperature for 3 days before being diluted with ethyl ether. The resulting mixture was washed twice with water and the organic layer was dried over magnesium sulfate. The solution was concentrated and the residue was purified by column chromatography (silica gel, 5% then 10% ethyl ether

in petroleum ether) to give the title compound of Step A (2.0 g). ^{1}H NMR ($CDCl_3$) δ 7.5-7.4 (m,2H), 7.45-7.3 (m,3H), 5.43 (s,2H), 2.68 (s,3H).

Step B: Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[3-(phenylmethoxy)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-3*H*-1,2,4-triazol-3-one

To a solution the title compound of Step A (2.0 g) in acetic acid (20 mL) and acetic anhydride (20 mL) was added hydrogen peroxide (4.0 mL, 30% aqueous solution) and the solution was left to stand at ambient temperature. After 6 h, the solution was diluted with ethyl ether and the resulting mixture was washed with Na_2SO_3 (10% aqueous solution), water and aqueous $NaHCO_3$. The organic layer was dried over magnesium sulfate and concentrated to give 1.95 g of compound which was used without purification. This material was dissolved in acetone (18 mL) and potassium carbonate (1.3 g) and the title compound of Step D in Example 1 (1.6 g) were added. The mixture was stirred at ambient temperature overnight before being diluted with ethyl acetate. The resulting mixture was washed twice with water and with saturated aqueous NaCl. The organic layer was dried over magnesium sulfate and concentrated to give the title compound of Step B (2.75 g), a compound of the invention. ^{1}H NMR ($CDCl_3$) δ 7.6-7.3 (m,9H), 5.37 (s,2H), 3.79 (s,3H), 3.40 (s,3H).

EXAMPLE 8

Preparation of 2,4-dihydro-4-[2-[(3-hydroxy-1,2,4-thiadiazol-5-yl)oxy]phenyl]-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one

To a solution of the title compound of Step B in Example 7 (2.68 g, 6.52 mmol) in benzene (35 mL) was added aluminum chloride (1.74 g) and the mixture was stirred at ambient temperature overnight. An additional 0.87 g of aluminum chloride was added and the mixture was stirred for an additional 4 h before being quenched with water and extracted with ethyl acetate, twice with methylene chloride and twice with 20% methanol in methylene chloride. The combined organic phases were dried over magnesium sulfate, concentrated and triturated with petroleum ether to yield the title compound of Example 8 (1.78 g), a compound of the invention, as an oil. ^{1}H NMR ($CDCl_3$) δ 7.3-7.1 (m,4H), 3.98 (s,1H), 3.89 (s,3H), 3.41 (s,3H).

EXAMPLE 9

Preparation of [5-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4*H*-1,2,4-triazol-4-yl)phenoxy]-1,2,4-thiadiazol-3-yl] trifluoromethanesulfonate

To a solution of the title compound of Example 8 (0.28 g, 0.87 mmol) in methylene chloride (4 mL) was added triethylamine (0.182 mL), a catalytic amount of dimethylaminopyridine and trifluoromethanesulfonic anhydride (0.176 mL) and the solution was left to stand overnight. The mixture was then diluted with ethyl ether, washed with 1N HCl and aqueous $NaHCO_3$. The organic layer was dried over

magnesium sulfate and concentrated. Purification of the residue by column chromatography (silica gel, 60% then 80% ethyl ether in petroleum ether) gave the title compound of Example 9, a compound of the invention, contaminated with an equal amount of the title compound of Step D in Example 1. ^{1}H NMR ($CDCl_3$) δ 7.6-7.4 (m,4H), 3.84 (s,3H), 3.41 (s,3H).

EXAMPLE 10

Step A: Preparation of 2,2-diethoxyethanimidamide hydrochloride

To a solution of diethoxyacetonitrile (6.46 g, 50.0 mmol) in methanol (50 mL) was added sodium methoxide (2.7 g, 50 mmol) and the mixture was stirred at ambient temperature for 24 h. Ammonium chloride (5.35 g, 0.1 mol) was then added and the mixture was stirred for a further 24 h at ambient temperature before being concentrated to give the title compound of Step A contaminated with sodium chloride (13.14 g) as a white solid. ^{1}H NMR (Me_2SO-d_6) δ 9.0-8.4 (br s,4H), 5.32 (s,1H), 3.62 (q,4H), 1.19 (t,6H).

Step B: Preparation of 5-chloro-3-(diethoxymethyl)-1,2,4-thiadiazole

To a solution of the title compound of Step A (13.14 mmol) in water (120 mL) is added methylene chloride (240 mL), benzyltriethylammonium chloride (0.5 g) and perchloromethyl mercaptan (5.46 mL) and the mixture is cooled in an ice bath. With efficient stirring, sodium hydroxide (120 mL, 1.66N aqueous solution) is then added dropwise such that the internal temperature does not exceed 10 °C. After the addition is complete, the cooling bath is removed and the reaction mixture is stirred for a further 0.5 h. The organic layer is then separated, dried over magnesium sulfate and concentrated. The yellow/brown tar is extracted with boiling hexane and the hot solution is filtered through a pad of silica gel. The silica gel is washed with 5% ethyl ether in hexanes and the solution is then concentrated to give the title compound of Step B. ^{1}H NMR ($CDCl_3$) δ 5.68 (s,1H), 3.8-3.65 (q,4H), 1.28 (t,6H).

Step C: Preparation of 4-[2-[[3-(diethoxymethyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (345 mg, 1.53 mmol) in acetone was added freshly ground potassium carbonate (278 mg) and the title compound of Step B (345 mg, 1.53 mmol). The mixture was stirred at ambient temperature for 16 h before being diluted with water and the resulting mixture was extracted three times with methylene chloride. The combined organic layers were dried over magnesium sulfate and concentrated. Purification of the material by column chromatography (silica gel, 80% ethyl ether in petroleum ether then ethyl ether) gave the title compound of Step C, a compound of the invention. ^{1}H NMR ($CDCl_3$) δ 7.6-7.4 (m,4H), 5.53 (s,1H), 3.81 (s,3H), 3.8-3.65 (m,4H), 3.40 (s,3H), 1.23 (t,6H).

EXAMPLE 11

Step A: Preparation of 1-methoxy-3-(2-nitrophenoxy)benzene

3-Methoxyphenol (11.52 g, 95.2 mmol) was added to a suspension of potassium carbonate (13.1 g, 95.2 mmol) in 100 mL of dry *N*,*N*-dimethylformamide at room temperature after which the reaction was stirred at room temperature for 10 min. Then 2-fluoronitrobenzene (12.2 g, 86.5 mmol) was added. The reaction was stirred at room temperature for 16 h. The reaction mixture was diluted with ice-water and the solids were filtered. The filter cake was washed with water and suction-dried to yield 15.3 g of the title compound of Step A as a solid melting at 50-52 °C. ^{1}H NMR ($CDCl_3$; 300 MHz) δ 3.80 (s,3H), 6.60 (m,2H), 6.75 (m,1H), 7.05 (m,1H), 7.2-7.3 (m,2H), 7.5 (m,1H), 8.0 (m,1H).

Step B: Preparation of 2-(3-methoxyphenoxy)benzenamine

A solution of 1-methoxy-3-(2-nitrophenoxy)benzene (15.0 g, 61.2 mmol) and 15 mL of water in 150 mL of acetic acid was heated on a steam bath to 65 °C and, at this temperature, iron powder (11.3 g, 202 mmol) was added portionwise noting the exotherm after each addition. The reaction temperature was kept between 65-85 °C by the addition rate and by a water cooling bath. After stirring for an additional 10 min at 85 °C, the reaction mixture was cooled to room temperature, diluted with methylene chloride and filtered through Celite®. The filtrate was washed once with water, then once with saturated sodium bicarbonate, and dried over magnesium sulfate. The solvent was then removed under reduced pressure to yield 12.1 g of the title compound of Step B as an oil. ^{1}H NMR ($CDCl_3$; 300 MHz) δ 3.8 (s,5H total), 6.6-6.7 (m,3H), 6.7 (m,1H), 6.81 (dd,J=1.5,7.8 Hz,1H), 6.89 (d,J=7.9 Hz,1H), 7.0 (m,1H), 7.2 (m,1H).

Step C: Preparation of 2,2-dimethyl-*N*-[2-(3-methoxyphenoxy)phenyl]hydrazinecarboxamide

The title compound of Step B (11.8 g, 55.0 mmol) was dissolved in 120 mL of dry toluene and to this solution was added diphosgene (10.8 g, 55.0 mmol). The mixture was then refluxed with a water scrubber in place for 4 h. The reaction mixture was cooled to room temperature, concentrated under reduced pressure to an oil which was then dissolved in dry tetrahydrofuran (100 mL). To this solution was added 1,1-dimethylhydrazine (4.0 g, 66 mmol) at room temperature and the reaction was subsequently stirred at room temperature for 16 h. The reaction mixture was then concentrated under reduced pressure to solids which were then washed with water and suction-dried to yield 16.5 g of the title compound of Step C as a solid melting at 93-95 °C. ^{1}H NMR ($CDCl_3$; 300 MHz) δ 2.40 (s,6H), 3.80 (s,3H), 5.2 (s,1H), 6.7-6.8 (m,2H), 6.85 (m,1H), 7.0 (m,2H), 7.1-7.3 (m,2H), 8.29 (d,J=7.9 Hz,1H), 8.6 (s,1H).

Step D: Preparation of 5-chloro-2,4-dihydro-4-[2-(3-methoxyphenoxy)phenyl]-2-methyl-3*H*-1,2,4-triazol-3-one

The title compound of Step C was dissolved in 600 mL of methylene chloride and cooled to 0 °C at which temperature triphosgene (15.9 g, 53.5 mmol) was added. The reaction mixture was refluxed for 16 h, cooled to room temperature and washed once with water. The organic layer was then dried over magnesium sulfate and concentrated under reduced pressure to yield a crude oil which was purified by silica gel chromatography using 3:2 hexanes:ethyl acetate as the eluent to yield 14.7 g of the title compound of Step D as an oil. ^{1}H NMR ($CDCl_3$; 300 MHz) δ 3.47 (s,3H), 3.77 (s,3H), 6.61 (m,2H), 6.70 (m,1H), 7.01 (dd,J=1.2,8.2 Hz,1H), 7.2-7.3 (m,2H), 7.34-7.42 (m,2H).

Step E: Preparation of 5-chloro-2,4-dihydro-4-[2-(3-hydroxyphenoxy)phenyl]-2-methyl-3*H*-1,2,4-triazol-3-one

The title compound of Step D (12.6 g, 38.0 mmol) was dissolved in 300 mL of dry toluene and to this solution was added aluminum chloride (30 g, 228 mmol) at room temperature with a slight exotherm to 35 °C. The reaction mixture was subsequently refluxed for 4 h, cooled to room temperature and carefully added to crushed ice. The crude slurry was then extracted twice with diethyl ether, and the combined extracts were washed once with saturated aqueous NaCl solution and dried over magnesium sulfate. The solvent was removed under reduced pressure to yield an oil which was subsequently purified by silica gel chromatography using 3:2 hexanes:ethyl acetate as the eluent to yield 9.50 g of the title compound of Step E, a compound of the invention, as a solid melting at 135-138 °C. ^{1}H NMR ($CDCl_3$; 300 MHz) δ 3.46 (s,3H), 6.46 (t,J=2.2 Hz,1H), 6.50-6.59 (m,3H total), 6.99 (dd,J=1.3,8.3 Hz,1H), 7.11 (t,J=8.1 Hz,1H), 7.20 (dd,J=1.2,7.6 Hz,1H), 7.32-7.40 (m,2H).

EXAMPLE 12

Preparation of 2,4-dihydro-4-[2-(3-hydroxyphenoxy)phenyl]-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one

The title compound of Step E in Example 11 (8.7 g, 27.4 mmol) was dissolved in 300 mL of methanol and to this solution was added sodium methoxide (7.4 g, 137 mmol) at room temperature with a slight exotherm noted. The reaction mixture was then refluxed for 16 h, cooled to room temperature and concentrated under reduced pressure to semi-solids. The semi-solids were diluted with 1*N* HCl and extracted twice with diethyl ether, washed with saturated aqueous NaCl solution, and dried over magnesium sulfate. The solvent was removed under reduced pressure to yield crude solids which were subsequently purified by silica gel chromatography using 1:1 hexanes:ethyl acetate as the eluent to yield 5.80 g of the title compound of Example 12, a compound of the invention, as a solid melting at 153-155 °C. ^{1}H NMR ($CDCl_3$; 300

MHz) δ 3.37 (s,3H), 3.87 (s,3H), 6.4-6.5 (m,2H), 6.55 (m,1H), 6.9 (br s,1H), 7.0 (m,1H), 7.1-7.2 (m,2H), 7.3-7.4 (m,2H).

EXAMPLE 13

Preparation of 4-[2-[3-[(2-chlorophenyl)methoxy]phenoxy]phenyl]-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one

The title compound of Example 12 (0.30 g, 0.95 mmol), 2-chlorobenzyl bromide (0.21 g, 1 mmol), and potassium carbonate (0.14 g, 1 mmol) were combined at room temperature in 10 mL of dry acetonitrile and the resulting mixture was stirred at room temperature for 16 h. The reaction mixture was filtered and the filtrate was concentrated under reduced pressure to solids which were triturated with hexanes and suction-dried to yield 0.32 g of the title compound of Example 13, a compound of the invention, as a solid melting at 112-114 °C. ^{1}H NMR ($CDCl_3$; 300 MHz) δ 3.38 (s,3H), 3.85 (s,3H), 5.13 (s,2H), 6.6-6.8 (m,3H), 7.0 (m,1H), 7.2-7.4 (m,7H), 7.5 (m,1H).

EXAMPLE 14

Step A: Preparation of 1-(4-chlorophenyl)cyclopropanecarboximidamide hydrochloride

Ammonium chloride (3.01 g, 56.3 mmol) was added in small portions to a solution of trimethylaluminum (56.3 mmol) in toluene (70 mL) at 0 °C. After the addition was complete, the mixture was warmed to room temperature, stirred for 1.5 h and then a solution of 1-(4-chlorophenyl)-1-cyclopropanecarbonitrile (10.0 g, 56.3 mmol) in toluene (30 mL) was added dropwise. The mixture was heated to 80 °C for 15 h, cooled to room temperature and stirred overnight. The reaction mixture was then poured into a slurry of silica gel (250 g) and dichloromethane (300 mL). The resulting mixture was stirred for 10 min and filtered, and the silica gel was washed with methanol (300 mL). The combined filtrates were concentrated to provide 11.1 g of the title compound of Step A as a white solid. ^{1}H NMR (Me_2SO-d_6) δ 9.08 (m,2H), 7.40 (m,5H), 1.77 (m,1H), 1.52 (m,2H), 1.27 (m,1H).

Step B: Preparation of 5-chloro-3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazole

The title compound of Step A (11.1 g, 48.1 mmol) was dissolved in water (100 mL) and combined with a solution of perchloromethyl mercaptan (8.94 g, 48.1 mmol) and benzyltriethylammonium chloride (0.55 g, 2.4 mmol) in dichloromethane (200 mL). The resulting biphasic mixture was stirred vigorously, cooled to 0 °C and treated with a solution of sodium hydroxide (7.70 g, 193 mmol) in water (100 mL) by dropwise addition maintaining a reaction temperature below 12 °C. The mixture was then warmed to room temperature and stirring was continued for 1 h. The layers were separated and the organic phase was washed with water, dried over $MgSO_4$, filtered and concentrated. The residual oil was purified by flash column

chromatography on silica gel and eluted with 2% ethyl acetate/hexane to afford 3.68 g of the title compound of Step B as a pale yellow solid. ^{1}H NMR (Me_2SO-d_6) δ 7.41 (m,4H), 1.62 (m,2H), 1.42 (m,2H).

Step C: Preparation of *N*-(2-methoxy-6-methylphenyl)-2,2-dimethylhydrazinecarboxamide

To a stirred solution of phosgene (108 g, 1.09 moles) in ethyl acetate (750 mL) at 0 °C was added dropwise 2-methoxy-6-methylaniline (125.0 g, 911 mmol) dissolved in ethyl acetate (250 mL) over 20 min. The reaction mixture was slowly warmed to room temperature and was then heated at reflux for 1 h. The solution was cooled to room temperature and was concentrated under reduced pressure to provide the crude isocyanate as a dark red liquid which was redissolved in ethyl acetate (1 L) and cooled to 0 °C. 1,1-Dimethylhydrazine (55.0 g, 911 mmol) was added dropwise over 30 min and then the mixture was allowed to warm to room temperature and stir overnight. The mixture was cooled, filtered, and the solid was washed with ethyl acetate and dried to provide 200.0 g of the title compound of Step C as a white solid melting at 151-153 °C. ^{1}H NMR ($CDCl_3$) δ 7.58 (br s,1H), 7.10 (t,1H), 6.84 (d,1H), 6.74 (d,1H), 5.22 (br s,1H), 3.80 (s,3H), 2.63 (s,6H), 2.31 (s,3H).

Step D: Preparation of 5-chloro-2,4-dihydro-4-(2-methoxy-6-methylphenyl)-2-methyl-3*H*-1,2,4-triazol-3-one

The title compound of Step C (100.0 g, 447.9 mmol) was suspended in ethyl acetate (1 L) and added dropwise, via mechanical pump, over 3.5 h to a stirring solution of phosgene (177 g, 1.79 moles) in ethyl acetate (1.5 L) which was heated at reflux. After the addition was complete, the mixture was heated at reflux for a further 3 h, cooled to room temperature and stirred overnight. The solution was concentrated under reduced pressure and the residue was dissolved in ethyl acetate and water and extracted four times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried ($MgSO_4$), filtered and concentrated to afford 111.4 g of the title compound of Step D as a pale yellow solid melting at 132-134 °C. ^{1}H NMR ($CDCl_3$) δ 7.34 (t,1H), 6.93 (d,1H), 6.85 (d,1H), 3.79 (s,3H), 3.54 (s,3H), 2.20 (s,3H).

Step E: Preparation of 5-chloro-2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-2-methyl-3*H*-1,2,4-triazol-3-one

To a stirring solution of the title compound of Step D (15.0 g, 59.3 mmol) in benzene (200 mL) at 0 °C was added aluminum chloride (23.7 g, 178 mmol) in small portions. The mixture was warmed to room temperature and stirred for 2 days. The mixture was poured over ice and water and then extracted four times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried ($MgSO_4$), filtered and concentrated to an oil that was purified by flash column chromatography on silica gel to provide 13.6 g of the title compound of Step E as a pale

orange solid melting at 175-178 °C. ^{1}H NMR ($CDCl_3$) δ 8.11 (s,1H), 6.92 (t,1H), 6.71 (d,1H), 6.41 (d,1H), 3.56 (s,3H), 2.12 (s,3H).

Step F: Preparation of 2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one

To a stirred solution of the title compound of Step E (133.5 g, 557.0 mmol) in tetrahydrofuran (1.5 L) was added dropwise sodium methoxide (25% by weight in methanol, 382 mL, 1.67 moles). The mixture was heated at reflux for 3 h, cooled to room temperature and then diluted with aqueous ammonium chloride and ethyl acetate. The aqueous layer was acidified (pH 4-5) with 1N HCl and extracted three times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried ($MgSO_4$), filtered and concentrated to a dark brown solid which was triturated with ethyl acetate to afford 75.0 g of the title compound of Step F as a white solid melting at 194-196 °C. ^{1}H NMR (Me_2SO-d_6) δ 9.91 (s,1H), 7.17 (t,1H), 6.78 (m,2H), 3.84 (s,3H), 3.30 (s,3H), 2.03 (s,3H).

Step G: Preparation of 4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one

Potassium carbonate (1.41 g, 10.2 mmol) was added to a solution of the title compound of Step B (2.30 g, 8.50 mmol) and the title compound of Step F (2.00 g, 8.50 mmol) in *N*,*N*-dimethylformamide (100 mL). The mixture was stirred for 16 h at room temperature and was then diluted with water and extracted three times with ethyl acetate. The combined organic extracts were washed with saturated aqueous NaCl, dried over $MgSO_4$, filtered and concentrated. The residual oil was purified by flash column chromatography on silica gel and eluted with 40% ethyl acetate/hexane to provide 2.99 g of the title compound of Step G, a compound of the invention, as a pale yellow solid melting at 119-121 °C. ^{1}H NMR ($CDCl_3$) δ 7.34 (m,7H), 3.82 (s,3H), 3.42 (s,3H), 2.28 (s,3H), 1.65 (m,2H), 1.31 (m,2H).

EXAMPLE 15

Step A: Preparation of *N*-[2-(bromomethyl)phenyl]-2,2-dimethylhydrazinecarboxamide

A solution of *o*-tolyl isocyanate (50.4 g) and 75.2 g of *N*-bromosuccinimide in 800 mL of carbon tetrachloride was heated to reflux. Benzoyl peroxide (1.1 g) was added and the mixture was heated to reflux for 1.5 hours. The solution was cooled to room temperature and the precipitate was removed by filtration. The filtrate was concentrated *in vacuo* and redissolved in 500 mL of toluene and cooled to 5 °C. 1,1-Dimethyl hydrazine (30 mL) in 20 mL of toluene was added dropwise. The reaction mixture was stirred at room temperature overnight. The precipitated solid was collected by filtration and redissolved in 1 L of dichloromethane. The organic solution was

washed with 500 mL of water and then with 500 mL of saturated aqueous sodium chloride solution. The organic phase was dried ($MgSO_4$), filtered and concentrated to give 58 g (56% yield) of the title compound of Step A as a beige solid. ^{1}NMR ($CDCl_3$) δ 8.6 (br s,1H), 8.00 (d,1H), 7.30 (m,2H), 7.04 (t,1H), 5.70 (br s,1H), 4.52 (s,2H), 2.67 (s,6H). The material was used in the next step without further characterization.

Step B: Preparation of 5-chloro-4-[2-(chloromethyl)phenyl]-2,4-dihydro-2-methyl-3*H*-1,2,4-triazol-3-one

The title compound of Step A (58 g) was dissolved in 800 mL of dichloromethane and 86 g of triphosgene was added in one portion. A slight exotherm was observed, and then the mixture was heated to reflux overnight. The reaction mixture was cooled and the solvent was removed *in vacuo*. The resulting solid was dissolved in 1 L of ethyl acetate and washed with 500 mL of water, 500 mL of saturated aqueous sodium bicarbonate, and then 500 mL of saturated aqueous sodium chloride solution. The organic phase was dried ($MgSO_4$), filtered and concentrated to give a dark oil which solidified on standing. The solid was triturated in 2:1 hexane:*n*-butyl chloride to yield 32 g of a beige solid. Recrystallization of this solid from 150 mL of hot methanol yielded 21 g of the title compound of Step B as a white, fluffy solid melting at 122-124 °C. A second crop was obtained from recrystallization of the mother liquors. ^{1}NMR ($CDCl_3$) δ 7.45-7.6 (m,3H), 7.25 (m,1H), 4.68 (d,1H), 4.46 (d,1H), 3.56 (s,3H). Approximately 10% of 4-[2-(bromomethyl)phenyl]-5-chloro-2,4-dihydro-2-methyl-3*H*-1,2,4-triazol-3-one was observed in the ^{1}NMR spectrum.

Step C: Preparation of 1-(3-hydroxyphenyl)ethanone oxime

To a solution of 6.8g of 3'-hydroxyacetophenone in 50 mL of pyridine under a nitrogen atmosphere was added 3.5 g of hydroxylamine hydrochloride. The solution was refluxed for 5 h, and then the solvent was removed *in vacuo*. The residue was taken up in 1N aqueous HCl and extracted twice with 50 mL of ethyl acetate. The combined organic phases were dried ($MgSO_4$), filtered and concentrated *in vacuo* to provide 7.8 g of the title compound of Step C as a pale amber oil. ^{1}H NMR ($CDCl_3$) δ 7.25 (d,1H), 7.23 (s,1H), 7.2 (m,2H), 7.10 (d plus fine coupling,1H), 6.84 (ddd,1H), 2.24 (s,3H).

Step D: Preparation of 5-chloro-2,4-dihydro-4-[2-[[[[1-(3-hydroxyphenyl)ethylidene]amino]oxy]methyl]phenyl]-2-methyl-3*H*-1,2,4-triazol-3-one

To a solution of the title compound of Step C (3.9 g, 25.8 mmol) and the title compound of Step B (6.6 g, 25.8 mmol) in acetonitrile (100 mL) was added K_2CO_3 (7 g, 51 mmol). The mixture was heated to reflux for 4 h and then stirred at room temperature overnight. After additional heating (7 h) and standing at room temperature (72 h), the mixture was diluted with water and extracted with ethyl acetate (3x50 mL). The combined organic phases were dried ($MgSO_4$) and concentrated under reduced

pressure to afford an oil. Chromatography of this oil on silica gel (3:2 hexanes:ethyl acetate as eluent) afforded 5.47 g of the title compound of Step D, a compound of the invention, as an oil. ^{1}H NMR ($CDCl_3$) δ 8.09 (br s,1H), 7.62 (d,1H), 7.51 (m,2H), 7.22 (m,4H), 6.9 (d,1H), 5.08 (q,2H), 3.44 (s,3H), 2.25 (m,4H). This material was used in Example 16 without further characterization.

EXAMPLE 16

Preparation of 2,4-dihydro-4-[2-[[[[1-(3-hydroxyphenyl)ethylidene]amino]oxy]methyl]phenyl]-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 15 (5.4 g, 14.5 mmol) in tetrahydrofuran (350 mL) was added sodium methoxide as a 30% solution in methanol (6.8 mL, 36 mmol) and the resulting mixture was stirred at room temperature overnight. The mixture was diluted with 1N HCl in diethyl ether (20 mL) and concentrated under reduced pressure to afford an semisolid. The residue was taken up in ethyl acetate and the insoluble portion removed by filtration. The organic phase was concentrated under reduced pressure to afford 4.36 g of the title compound of Example 16, a compound of the invention, as an oil. ^{1}H NMR ($CDCl_3$) δ 7.6 (dd,1H), 7.45 (m,2H), 7.25 (m,3H), 7.19 (m,2H), 6.85 (dd,1H), 5.08 (AB q,2H), 3.92 (s,2H), 3.39 (s,3H), 2.24 (s,3H). This material was used in Example 17 without further characterization.

EXAMPLE 17

Preparation of 1,1-dimethylethyl [3-[1-[[[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4*H*-1,2,4-triazol-4-yl)phenyl]methoxy]imino]ethyl]phenoxy]acetate

To a solution of the title compound of Example 16 (260 mg, 0.7 mmol) in tetrahydrofuran (20 mL) was added sodium hydride as a 60% oil dispersion (35 mg) followed by *t*-butyl bromoacetate (0.10 mL, 0.7 mmol). The mixture was stirred at room temperature for 2 h and then was diluted with water and extracted with three 20 mL portions of ethyl acetate. The combined organic phases were dried ($MgSO_4$) and concentrated under reduced pressure to afford 290 mg of the title compound of Example 17, a compound of the invention, as an oil. ^{1}H NMR ($CDCl_3$) δ 7.6 (m,1H), 7.45 (m,2H), 7.23 (m,4H), 6.87 (m,1H) 5.09 (AB q,2H), 4.63 (s,2H), 3.91 (s,3H), 3.39 (s,3H), 2.28 (s,3H), 1.48 (s,9H).

EXAMPLE 18

Step A: Preparation of methyl (2-bromomethyl)benzeneacetate

Methyl *o*-tolylacetate (24 g), *N*-bromosuccinimide (27.2 g) and benzoyl peroxide (about 50 mg) were mixed in 200 mL of carbon tetrachloride and heated to reflux with a high-intensity light source for 1.5 h. After cooling, the precipitate was removed by filtration and the filtrate was concentrated *in vacuo* to yield 36 g (about 100% yield) of

the title compound of Step A as an amber oil. ^{1}H NMR ($CDCl_3$) δ 7.34 (m,1H), 7.26 (m,2H), 7.16 (m,1H), 4.57 (s,2H), 3.80 (s,2H), 3.69 (s,3H).

Step B: Preparation of methyl 2-[[(benzoylamino)oxy]methyl]benzeneacetate

Benzohydroxamic acid (17 g) and potassium carbonate (18.7 g) were suspended in 200 mL of acetonitrile and the mixture was stirred at 60 °C for 30 min. A solution of 28 g of the title compound of Step A in 100 mL of acetonitrile was added dropwise over 0.5 h. The mixture was stirred at 60 °C for 3 h and then cooled to room temperature overnight. Heating was resumed for an additional 4 h. The mixture was cooled and filtered. The filtrate was concentrated *in vacuo*. The residue was taken up in 200 mL of ethyl acetate and washed with 100 mL of 6% aqueous potassium carbonate solution. The aqueous wash was extracted with 100 mL of ethyl acetate. The combined organic phases were washed with 100 mL of water. The organic phase was dried ($MgSO_4$), filtered and concentrated *in vacuo* to yield 31.5 g (93% yield) of the title compound of Step B as an orange oil. ^{1}H NMR ($CDCl_3$) δ 9.09 (br s,1H), 7.60 (m,2H), 7.47 (m,1H), 7.37 (m,3H), 7.29 (m,3H), 5.14 (s,2H), 3.88 (s,2H), 3.71 (s,3H).

Step C: Preparation of methyl 2-[(aminooxy)methyl]benzeneacetate hydrochloride

To a solution of HCl in methanol (prepared by adding 20 mL of acetyl chloride slowly to 200 mL of methanol) was added the title compound of Step B (31.5 g). The mixture was heated to 60 °C for 1.5 h. The solvent was removed *in vacuo*. The residue was taken up in 100 mL of diethyl ether and stirred at room temperature for 30 min. The ether was decanted off and the solid was taken up in 100 mL of tetrahydrofuran and heated to about 50 °C. The mixture was then cooled in an ice water bath and the solid was collected by filtration to provide 11.5 g (47% yield) of the title compound of Step C as a white solid melting at 169-170°C.

Step D: Preparation of methyl 2-[[[[1-(4-hydroxyphenyl)ethylidene]amino]oxy]methyl]benzeneacetate

4'-Hydroxyacetophenone (817 mg) and the title compound of Step C (1.39 g) were dissolved in 40 mL of pyridine. The solution was heated to 90 °C overnight and then cooled to room temperature. The pyridine was removed *in vacuo* and the residue was taken up in 40 mL of 1N HCl solution and extracted with ethyl acetate (3 x 50 mL) The combined organic layers were dried ($MgSO_4$), filtered and concentrated *in vacuo* to yield 1.96 g of the title compound of Step D as an amber oil. ^{1}H NMR ($CDCl_3$) δ 7.51 (d,2H), 7.45 (m,1H), 7.28 (m,3H), 6.78 (d,2H), 5.25 (s,2H), 3.82 (s,2H), 3.68 (s,3H), 2.19 (s,3H). Approximately 20% of the *Z*-isomer was also observed. This material was used in subsequent steps without further purification.

Step E: Preparation of dimethyl [2-[[[[1-(4-hydroxyphenyl)ethylidene]amino]oxy]methyl]phenyl]propanedioate

The title compound of Step D (1.87 g , 6 mmol) was dissolved in 10 mL of dimethyl carbonate. A slurry of 480 mg of sodium hydride (60% oil dispersion) in 10 mL of tetrahydrofuran was added and the mixture was heated to reflux for 1 h. The mixture was cooled to room temperature overnight, quenched with 15 mL of 1N HCl solution and extracted with ethyl acetate (3 x 25 mL). The combined organic layers were dried ($MgSO_4$), filtered and concentrated *in vacuo* to yield 2.33 g of crude product, the title compound of Step E, as an amber oil. ^1H NMR ($CDCl_3$) δ 7.5 (m,3H), 7.4 (m,3H), 6.79 (d, 2H), 5.25 (s,2H), 5.10 (s,1H), 3.68 (s,6H), 2.18 (s,3H). This material was used in subsequent steps without further purification.

Step F: Preparation of 4-[2-[[[[1-(4-hydroxyphenyl)ethylidene]amino]oxy]methyl]phenyl]-5-methoxy-2-methyl-3(2*H*)-isoxazolone

N-methylhydroxylamine hydrochloride (1.5 g) was dissolved in 25 mL of methanol. A solution of 2.0 g of potassium hydroxide dissolved in 25 mL of methanol was added with ice bath cooling. After 15 min, the precipitated potassium chloride was removed by filtration. To the filtrate was added a solution of 2.2 g of the title compound of Step E in 10 mL of methanol. The resulting mixture was stirred at room temperature overnight. The mixture was diluted with water, acidified with HCl and extracted with methylene chloride (3 x 30 mL). The combined organic layers were dried ($MgSO_4$), filtered and concentrated *in vacuo* to yield 1.95 g of amber oil which was dissolved in 30 mL of toluene and 3 mL of methanol. A 10% solution of trimethylsilyldiazomethane in hexane (3 mL) was added dropwise and the solution was stirred at room temperature for 2 h. The solvents were removed *in vacuo* and the residue was purified by flash chromatography (1:1 hexane:ethyl acetate as eluant). The third-eluting component was collected to yield 200 mg of the title compound of Step F, a compound of the invention, as an amber oil. ^1H NMR ($CDCl_3$) δ 7.52 (d,1H), 7.42 (m,2H), 7.32 (m,3H), 6.72 (m,3H), 5.24 (AB q,2H), 3.94 (s,3H), 3.44 (s,3H), 2.16 (s,3H). A minor amount of the *Z*-isomer was also observed.

EXAMPLE 19

Preparation of [3-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4*H*-1,2,4-triazol-4-yl)phenoxy]phenyl] trifluoromethanesulfonate

To a solution of the title compound of Example 12 (313 mg, 1 mmol) in dichloromethane (10 mL) was added trifluoromethanesulfonic anhydride (0.17 mL, 1 mmol) and pyridine (0.08 mL, 1 mmol). The mixture was stirred at room temperature overnight, diluted with water, acidified with 1N HCl and extracted with three 20 mL portions of dichloromethane. The combined organic phases were dried ($MgSO_4$),

filtered and concentrated *in vacuo* to afford an oil which was purified by flash chromatography (1:1 hexane:ethyl acetate as eluant). The first-eluting component was collected to yield 100 mg of the title compound of Example 19, a compound of the invention, as an amber oil. ^1H NMR ($CDCl_3$) δ 7.42 (m,3H), 7.3 (m,1H), 7.04 (m,3H), 6.96 (t,1H), 3.83 (s,3H), 3.38 (s,3H).

EXAMPLE 20

Step A: Preparation of 2-(3-bromophenyl)-2-methyl-1,3-dioxolane

The compound 1-(4-bromophenyl)ethanone (60.6 g, 0.3 mole), ethylene glycol (83.7 mL, 1.5 mole), and *p*-toluenesulfonic acid (0.15 g) were dissolved in benzene (250 mL) and heated to reflux overnight using a Dean-Stark apparatus. Water and some ethylene glycol had separated and the cooled (room temperature) mixture was poured into water (300 mL) and the resulting mixture was extracted with 1-chlorobutane (2x100 mL). The combined organic phases were dried ($MgSO_4$) and concentrated to give the crude product as a yellow oil. The oil was purified by vacuum distillation (64-74 °C/ 19 Pa (0.14 mm Hg)) to give 70.1 g of the title compound of Step A as a colorless oil (96% yield).

Step B: Preparation of 1-[3-[tris(trifluoromethyl)germyl]phenyl]ethanone

A 250 mL 4-neck flask was charged with a suspension of magnesium pieces (0.61 g, 0.025 mole) in 5 mL of THF. A solution of the title compound of Step A dissolved in 35 mL of THF was added dropwise; a few crystals of iodine were added to the mixture after a small portion of the solution had been added. Heating to reflux was required to initiate the reaction; the reaction was then refluxed for 2 hours following completion of the addition of the title compound of Step A. After cooling the mixture to 63 °C, a solution of tris(trifluoromethyl)germanium iodide (3.9 mL, 0.02 mole) dissolved in THF (20 mL) was added in small aliquots, allowing the exotherm from each addition to keep the temperature between 62-69 °C. The mixture was refluxed an additional 3 hours, and then was stirred at room temperature overnight. The mixture was poured into a saturated ammonium chloride solution (100 mL). Following removal of the organic layer and extraction with diethyl ether, the combined organic phases were dried ($MgSO_4$) and concentrated to give 8.9 g of dark colored oil. This oil was then dissolved in acetone (400 mL) to which was added 1N HCl (3 mL). The resulting solution was refluxed overnight. The mixture was concentrated, followed by a second addition of acetone (300 mL) and 1N HCl (2 mL), and then was refluxed for 6 hours. The mixture was concentrated, and the residue was then dissolved in diethyl ether and washed with saturated $NaHCO_3$. The organic layer was then dried ($MgSO_4$) and concentrated. The resulting dark brown oil was purified by filtering through a 1.5 inch column of silica gel, eluting with 15% ethyl acetate/hexanes to give 2.95 g (37% for

both steps) of the title compound of Step B as a colorless oil. ^{1}H NMR ($CDCl_3$) δ 8.284 (s,1H), 7.9-8.0 (m,2H), 7.2 (t,1H), 2.586 (s,3H).

Step C: Preparation of 1-[3-[tris(trifluoromethyl)germyl]phenyl]ethanone oxime

Sodium acetate trihydrate (1.22 g, 9 mmol) was added to a solution of hydroxylamine hydrochloride (0.62 g, 9 mmol) in water (7 mL), and this solution was added to a solution of the title compound of Step B (2.95 g, 7.4 mmol) in methanol (20 mL). The mixture was then refluxed overnight and concentrated in vacuo. The mixture was treated with water and then extracted with methylene chloride (3 x 120 mL). The combined organic layers were dried ($MgSO_4$) and concentrated to yield 2.74 g of a brown oil. The oil was chromatographed eluting with 15% ethyl acetate/hexanes to yield 1.72 g (56% yield) of the title compound of Step C as a colorless oil. This oil crystallized on standing to give a solid melting at 69-72 °C.

Step D: Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[[[1-[3-[tris(trifluoromethyl)germyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-3*H*-1,2,4-triazol-3-one

In a 125 mL 4-neck flask, sodium hydride (0.18 g, 4.5 mmol, 60% mineral oil dispersion) was suspended in 8 mL of dry THF. The title compound of Step C (2.0 g, 6.9 mmol) was dissolved in dry THF (5 mL) and added dropwise causing gas evolution. The mixture was stirred at room temperature for 20 min, and then a solution of the title compound of Step B in Example 15 (0.39 g, 1.5 mmol) dissolved in dry THF (5 mL) was added dropwise. Thickening required that additional dry THF (3 mL) be added. The mixture was heated to reflux overnight, and then cooled to room temperature. Additional sodium hydride (0.18 g, 4.5 mmol) was added and reflux was reinstated for 6 hours. The mixture was cooled, and then stirred at room temperature overnight. Sodium hydride (0.06 g, 1.5 mmol) was again added followed by dry methanol (0.5 mL, 1.5 mmol) which was added cautiously dropwise causing gas evolution. The mixture was refluxed for 3 hours and then allowed to cool to room temperature. A few drops of 2-propanol were added, then the mixture was concentrated until only a small amount of liquid remained. Hexanes (100 mL) were added, and then the mixture was filtered through a 1 inch column of silica gel eluting with a 1:1 mixture of methylene chloride/ethyl acetate (500 mL) to give 0.72 g of a yellow oil after concentration. This crude oil was purified by medium pressure liquid chromatography (MPLC) using 20% ethyl acetate/hexanes to yield the title compound of Step D, a compound of the invention as an oil (0.27 g, 28%). ^{1}H NMR ($CDCl_3$) δ 7.941 (s,1H), 7.7 (d,1H), 7.55 (m,2H), 7.4-7.5 (m,2H), 7.4-7.5 (m,2H), 7.1 (t,2H), 5.2-5.4 (q,2H), 3.889 (s,3H), 3.413 (s,3H), 2.152 (s,3H).

EXAMPLE 21

Step A: Preparation of 1-[3-[dimethyl(3,3,3-trifluoropropyl)silyl]phenyl]ethanone

A 125 mL 4-neck flask was charged with a suspension of magnesium pieces (1.09 g, 0.041 mole) in 8 mL of THF. A solution of the title compound of Step A in Example 20 dissolved in THF (20 mL) was added dropwise; a few crystals of iodine were added to the mixture after a small portion of the solution had been added. Heating to reflux was required to initiate the reaction; the reaction was then refluxed for 3 hours following completion of the addition of the title compound of Step A. After cooling the mixture to 48, a solution of 3,3,3-trifluoropropyldimethylchlorosilane (7.82 g, 0.041 mole) dissolved in THF (8 mL) was added in small aliquots, allowing the exotherm from each addition to keep the temperature between 48-64 °C. The mixture was refluxed an additional 5 hours, then cooled and poured into a saturated ammonium chloride solution (200 mL). Following removal of the organic layer and extraction with diethyl ether, the combined organic phases were dried ($MgSO_4$) and concentrated to give 12.27 g of yellow oil. This oil was then dissolved in acetone (500 mL) to which was added 1N HCl (6 mL). The resulting solution was refluxed overnight. Concentration, followed by partitioning between water and diethyl ether, and then drying ($MgSO_4$) of the organic phase yielded 10.79 g (94% overall for both steps) the title compound of Step A as a yellow oil. ^1H NMR ($CDCl_3$) δ 8.078 (s,1H), 7.9 (d,1H), 7.7 (d,1H), 7.484 (t,1H), 2.625 (s,3H), 1.9-2.1 (m,2H), 1.0 (m,2H), 0.359 (s,6H).

Step B: Preparation of 1-[3-[dimethyl(3,3,3-trifluoropropyl)silyl]phenyl]ethanone oxime

Sodium acetate trihydrate (7.76 g, 0.057 mole) was added to a solution of hydroxylamine hydrochloride (3.96 g, 0.057 mole) in water (59 mL), and this solution was added to a solution of the title compound of Step A (10.7 g, 0.039 mole) in methanol (78 mL). The mixture was then refluxed overnight and concentrated *in vacuo*. The mixture was treated with water and then extracted with methylene chloride (3 x 120 mL). The combined organic layers were dried (MgSO) and concentrated to yield 11.34 g of a yellow oil. The oil was chromatographed eluting with 10% ethyl acetate/hexanes to yield 9.41 g (83% yield) of the title compound of Step B as a colorless oil. ^1H NMR ($CDCl_3$) δ 9.0 (s,1H), 7.748 (s,1H), 7.6 (d,1H), 7.5 (d,1H), 7.4 (t,1H), 2.310 (s,3H), 2.0 (m,2H), 1.0 (m,2H), 0.338 (s,5H).

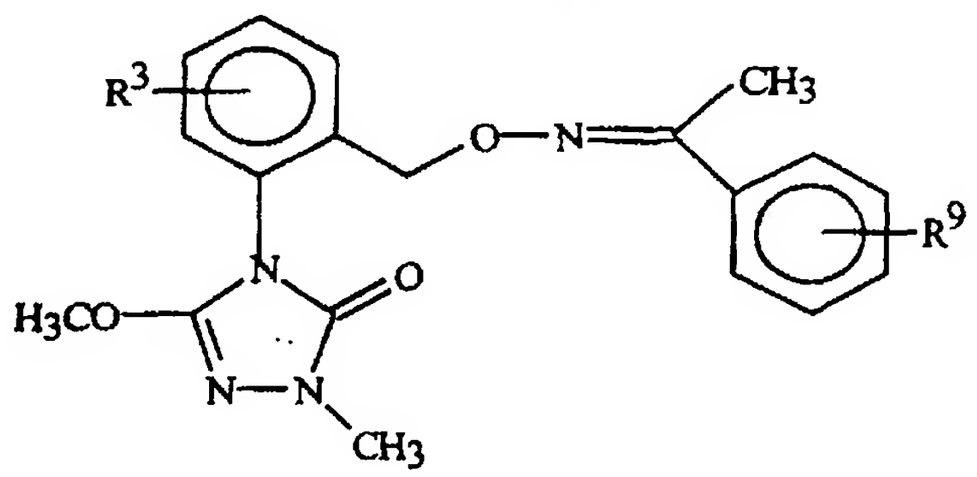
Step C: Preparation of 4-[2-[[[[1-[3-[dimethyl-(3,3,3-trifluoropropyl)silyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one

In a 250 mL 4-neck flask, sodium hydride (0.84 g, 0.021 mole, 60% mineral oil dispersion) was suspended in 50 mL of dry THF. The title compound of Step B (2.0 g,

6.9 mmol) was dissolved in dry THF (15 mL) and added dropwise causing gas evolution. The mixture was stirred at room temperature for one hour, and then a solution of the title compound of Step B in Example 15 (1.78 g, 6.9 mmol) dissolved in dry THF (15 mL) was added dropwise. The mixture was heated to 35 °C overnight, and then methanol (2.2 mL, 55 mmol) was added cautiously dropwise causing gas evolution. The mixture was refluxed overnight. A few drops of 2-propanol were added, and then the mixture was concentrated until only a small amount of liquid remained. Hexanes (100 mL) were added then the mixture was filtered through a 1 inch column of silica gel eluting with a 1:1 mixture of methylene chloride/ethyl acetate (1500 mL) to give 3.35 g of an orange oil. This crude oil was purified by MPLC using 1.2% methanol/methylene chloride to yield the title compound of Step C (1.31 g, 37%), a compound of the invention, as an oil. ^{1}H NMR ($CDCl_3$) δ 7.7 (s,1H), 7.6 (m,2H), 7.4-7.5 (m,3H), 7.4 (t,1H), 7.2 (d,2H), 5.2-5.3 (q,2H), 3.882 (s,3H), 3.401 (s,3H), 2.201 (s,3H), 2.0 (m,2H), 1.0 (m,2H), 0.32 (s,5.5H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 7 can be prepared. The following abbreviations are used in the Tables which follow: *t* = tertiary, *n* = normal, *i* = iso, *c* = cyclo, Me = methyl, Et = ethyl, Pr = propyl, *i*-Pr = isopropyl, Bu = butyl, Hex = hexyl, Ph = phenyl, OMe = methoxy, OEt = ethoxy, SMe = methylthio, CN = cyano, SCN = thiocyanato, NO_2 = nitro, TMS = trimethylsilyl, Bzl = benzyl, ada = 1-adamantyl, TMG = trimethylgermyl, and THP = 2-tetrahydropyranyl.

Table 1



R^3 = H, and

R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH{=}CH_2$	3-$S(O)CF_2CF_3$
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF{=}CF_2$
3-SC≡CEt	3-$SCH_2C{\equiv}C$-I	3-SCH_2OMe	3-SCH_2SEt

3-OGe(Me)$_2$Ph	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-C(=S)SCHF$_2$	2-C(=O)N(Me)$_2$	2-C(=S)N(Et)$_2$
4-CH$_2$CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-SC(=O)OCH$_2$CF$_3$	2-SC(=O)SMe	4-S(O)$_2$OCH$_2$CF$_3$	4-S(O)$_2$N(Me)$_2$
4-(NHSO$_2$(4-Me-Ph))	4-(OCH$_2$(4-TMS-Ph))	2-CH$_2$OCH$_2$(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-S(O)$_2$Ph	3-(SCH$_2$(3-Me-Ph))	3-(4-pyridinyl-CH$_2$)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH$_2$)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH$_2$)	2-(2-pyrimidinyl-S)
4-OC≡CCH$_3$	4-OCH$_2$CH$_2$OMe	3-OCH$_2$SMe	3-S(O)$_2$CH$_2$CH$_2$CF$_3$
3-S(O)$_2$CF$_3$	2-NH$_2$	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-OS(O)$_2$CH$_3$	3-((4-CN-Ph)-OCH$_2$)
3-(2-furanyl-CH$_2$)	3-(2-thiazoyl-CH$_2$)	3-((3-CF$_3$-4-pyridinyl-OCH$_2$)	

<u>R^3 = 3-Me, and</u>

<u>R^9</u>	<u>R^9</u>	<u>R^9</u>	<u>R^9</u>
3-CH$_2$OCH$_3$	3-OCH$_2$OCH$_2$TMS	4-SCH$_2$CH=CH$_2$	3-S(O)CF$_2$CF$_3$
3-C≡C-OCH$_3$	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-Ge(Me)$_2$CF$_3$	3-OSi(Me)$_2$Ph	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-Si(Me)$_2$CF$_3$	2-OH	3-C≡C-OTHP
3-CH$_2$S-*n*-Pr	3-Ge(CF$_3$)$_3$	2-N(Me)Bzl	3-OCH$_2$CF=CF$_2$
3-SC≡CEt	3-SCH$_2$C≡C-I	3-SCH$_2$OMe	3-SCH$_2$SEt
3-OGe(Me)$_2$Ph	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-C(=S)SCHF$_2$	2-C(=O)N(Me)$_2$	2-C(=S)N(Et)$_2$
4-CH$_2$CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-SC(=O)OCH$_2$CF$_3$	2-SC(=O)SMe	4-S(O)$_2$OCH$_2$CF$_3$	4-S(O)$_2$N(Me)$_2$
4-(NHSO$_2$(4-Me-Ph))	4-(OCH$_2$(4-TMS-Ph))	2-CH$_2$OCH$_2$(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-S(O)$_2$Ph	3-(SCH$_2$(3-Me-Ph))	3-(4-pyridinyl-CH$_2$)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH$_2$)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH$_2$)	2-(2-pyrimidinyl-S)
4-OC≡CCH$_3$	4-OCH$_2$CH$_2$OMe	3-OCH$_2$SMe	3-S(O)$_2$CH$_2$CH$_2$CF$_3$
3-S(O)$_2$CF$_3$	2-NH$_2$	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-OS(O)$_2$CH$_3$	3-((4-CN-Ph)-OCH$_2$)
3-(2-furanyl-CH$_2$)	3-(2-thiazoyl-CH$_2$)	3-((3-CF$_3$-4-pyridinyl-OCH$_2$)	

R^3 = 6-Me, and

R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH{=}CH_2$	3-$S(O)CF_2CF_3$
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF{=}CF_2$
3-SC≡CEt	3-$SCH_2C{\equiv}C$-I	3-SCH_2OMe	3-SCH_2SEt
3-$OGe(Me)_2Ph$	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-$C(=S)SCHF_2$	2-$C(=O)N(Me)_2$	2-$C(=S)N(Et)_2$
4-CH_2CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-$SC(=O)OCH_2CF_3$	2-SC(=O)SMe	4-$S(O)_2OCH_2CF_3$	4-$S(O)_2N(Me)_2$
4-($NHSO_2$(4-Me-Ph))	4-(OCH_2(4-TMS-Ph))	2-CH_2OCH_2(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-$S(O)_2Ph$	3-(SCH_2(3-Me-Ph))	3-(4-pyridinyl-CH_2)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH_2)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH_2)	2-(2-pyrimidinyl-S)
4-$OC{\equiv}CCH_3$	4-OCH_2CH_2OMe	3-OCH_2SMe	3-$S(O)_2CH_2CH_2CF_3$
3-$S(O)_2CF_3$	2-NH_2	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-$OS(O)_2CH_3$	3-((4-CN-Ph)-OCH_2)
3-(2-furanyl-CH_2)	3-(2-thiazoyl-CH_2)	3-(($3\text{-}CF_3$-4-pyridinyl-OCH_2)	

R^3 = 6-TMG, and

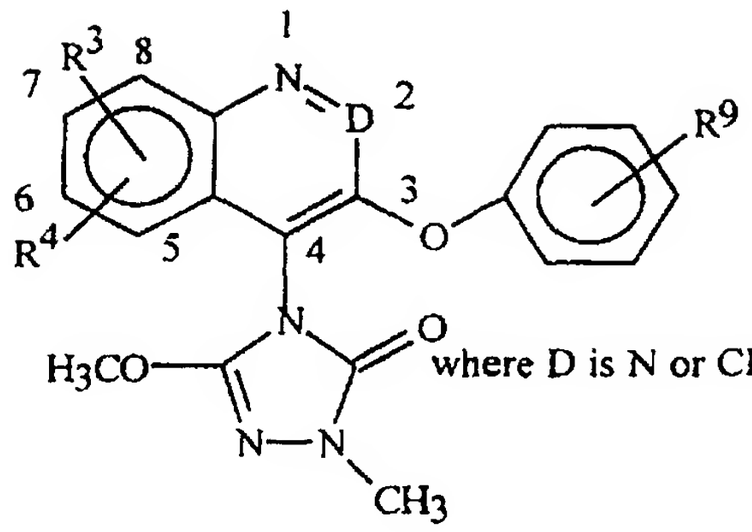
R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH{=}CH_2$	3-$S(O)CF_2CF_3$
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF{=}CF_2$
3-SC≡CEt	3-$SCH_2C{\equiv}C$-I	3-SCH_2OMe	3-SCH_2SEt
3-$OGe(Me)_2Ph$	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-$C(=S)SCHF_2$	2-$C(=O)N(Me)_2$	2-$C(=S)N(Et)_2$
4-CH_2CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-$SC(=O)OCH_2CF_3$	2-SC(=O)SMe	4-$S(O)_2OCH_2CF_3$	4-$S(O)_2N(Me)_2$
4-($NHSO_2$(4-Me-Ph))	4-(OCH_2(4-TMS-Ph))	2-CH_2OCH_2(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-$S(O)_2Ph$	3-(SCH_2(3-Me-Ph))	3-(4-pyridinyl-CH_2)

3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH_2)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH_2)	2-(2-pyrimidinyl-S)
4-OC≡CCH_3	4-OCH_2CH_2OMe	3-OCH_2SMe	3-$S(O)_2CH_2CH_2CF_3$
3-$S(O)_2CF_3$	2-NH_2	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-$OS(O)_2CH_3$	3-((4-CN-Ph)-OCH_2)
3-(2-furanyl-CH_2)	3-(2-thiazoyl-CH_2)	3-((3-CF_3-4-pyridinyl-OCH_2)	

<u>R^3 = 4-$S(O)_2CH_3$, and</u>

<u>R^9</u>	<u>R^9</u>	<u>R^9</u>	<u>R^9</u>
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH=CH_2$	3-$S(O)CF_2CF_3$
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF=CF_2$
3-SC≡CEt	3-$SCH_2C≡C$-I	3-SCH_2OMe	3-SCH_2SEt
3-$OGe(Me)_2Ph$	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-$C(=S)SCHF_2$	2-$C(=O)N(Me)_2$	2-$C(=S)N(Et)_2$
4-CH_2CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-$SC(=O)OCH_2CF_3$	2-SC(=O)SMe	4-$S(O)_2OCH_2CF_3$	4-$S(O)_2N(Me)_2$
4-($NHSO_2$(4-Me-Ph))	4-(OCH_2(4-TMS-Ph))	2-CH_2OCH_2(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-$S(O)_2Ph$	3-(SCH_2(3-Me-Ph))	3-(4-pyridinyl-CH_2)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH_2)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH_2)	2-(2-pyrimidinyl-S)
4-OC≡CCH_3	4-OCH_2CH_2OMe	3-OCH_2SMe	3-$S(O)_2CH_2CH_2CF_3$
3-$S(O)_2CF_3$	2-NH_2	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-$OS(O)_2CH_3$	3-((4-CN-Ph)-OCH_2)
3-(2-furanyl-CH_2)	3-(2-thiazoyl-CH_2)	3-((3-CF_3-4-pyridinyl-OCH_2)	

Table 2



where D is N or CH .

D = CH, R^3 = 7-Cl, R^4 = H, and

R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH{=}CH_2$	3-$S(O)CF_2CF_3$
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF{=}CF_2$
3-SC≡CEt	3-$SCH_2C{\equiv}C$-I	3-SCH_2OMe	3-SCH_2SEt
3-$OGe(Me)_2Ph$	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-$C(=S)SCHF_2$	2-$C(=O)N(Me)_2$	2-$C(=S)N(Et)_2$
4-CH_2CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-$SC(=O)OCH_2CF_3$	2-SC(=O)SMe	4-$S(O)_2OCH_2CF_3$	4-$S(O)_2N(Me)_2$
4-($NHSO_2$(4-Me-Ph))	4-(OCH_2(4-TMS-Ph))	2-CH_2OCH_2(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-$S(O)_2Ph$	3-(SCH_2(3-Me-Ph))	3-(4-pyridinyl-CH_2)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH_2)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH_2)	2-(2-pyrimidinyl-S)
4-OC ≡ CCH_3	4-OCH_2CH_2OMe	3-OCH_2SMe	3-$S(O)_2CH_2CH_2CF_3$
3-$S(O)_2CF_3$	2-NH_2	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-$OS(O)_2CH_3$	3-((4-CN-Ph)-OCH_2)
3-(2-furanyl-CH_2)	3-(2-thiazoyl-CH_2)	3-(($3-CF_3$-4-pyridinyl-OCH_2)	

D = N, R^3 = 7-I, R^4 = 5-Cl, and

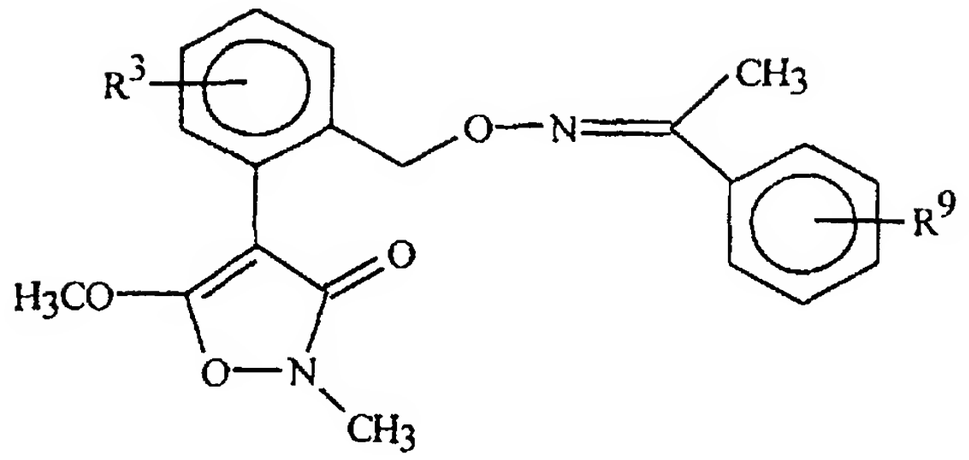
R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH{=}CH_2$	3-$S(O)CF_2CF_3$
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP

3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF{=}CF_2$
3-SC≡CEt	3-$SCH_2C{\equiv}C$-I	3-SCH_2OMe	3-SCH_2SEt
3-$OGe(Me)_2Ph$	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-$C(=S)SCHF_2$	2-$C(=O)N(Me)_2$	2-$C(=S)N(Et)_2$
4-CH_2CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-$SC(=O)OCH_2CF_3$	2-SC(=O)SMe	4-$S(O)_2OCH_2CF_3$	4-$S(O)_2N(Me)_2$
4-($NHSO_2$(4-Me-Ph))	4-(OCH_2(4-TMS-Ph))	2-CH_2OCH_2(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-$S(O)_2Ph$	3-(SCH_2(3-Me-Ph))	3-(4-pyridinyl-CH_2)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH_2)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH_2)	2-(2-pyrimidinyl-S)
4-$OC{\equiv}CCH_3$	4-OCH_2CH_2OMe	3-OCH_2SMe	3-$S(O)_2CH_2CH_2CF_3$
3-$S(O)_2CF_3$	2-NH_2	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-$OS(O)_2CH_3$	3-((4-CN-Ph)-OCH_2)
3-(2-furanyl-CH_2)	3-(2-thiazoyl-CH_2)	3-((3-CF_3-4-pyridinyl-OCH_2)	

D = N, R^3 = 6-I, R^4 = H, and

R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH{=}CH_2$	3-$S(O)CF_2CF_3$
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF{=}CF_2$
3-SC≡CEt	3-$SCH_2C{\equiv}C$-I	3-SCH_2OMe	3-SCH_2SEt
3-$OGe(Me)_2Ph$	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-$C(=S)SCHF_2$	2-$C(=O)N(Me)_2$	2-$C(=S)N(Et)_2$
4-CH_2CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-$SC(=O)OCH_2CF_3$	2-SC(=O)SMe	4-$S(O)_2OCH_2CF_3$	4-$S(O)_2N(Me)_2$
4-($NHSO_2$(4-Me-Ph))	4-(OCH_2(4-TMS-Ph))	2-CH_2OCH_2(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-$S(O)_2Ph$	3-(SCH_2(3-Me-Ph))	3-(4-pyridinyl-CH_2)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH_2)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH_2)	2-(2-pyrimidinyl-S)
4-$OC{\equiv}CCH_3$	4-OCH_2CH_2OMe	3-OCH_2SMe	3-$S(O)_2CH_2CH_2CF_3$
3-$S(O)_2CF_3$	2-NH_2	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-$OS(O)_2CH_3$	3-((4-CN-Ph)-OCH_2)
3-(2-furanyl-CH_2)	3-(2-thiazoyl-CH_2)	3-((3-CF_3-4-pyridinyl-OCH_2)	

Table 3



R^3 = H, and

R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH{=}CH_2$	3-$S(O)CF_2CF_3$
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF{=}CF_2$
3-SC≡CEt	3-$SCH_2C{\equiv}C$-I	3-SCH_2OMe	3-SCH_2SEt
3-$OGe(Me)_2Ph$	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-$C(=S)SCHF_2$	2-$C(=O)N(Me)_2$	2-$C(=S)N(Et)_2$
4-CH_2CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-$SC(=O)OCH_2CF_3$	2-SC(=O)SMe	4-$S(O)_2OCH_2CF_3$	4-$S(O)_2N(Me)_2$
4-($NHSO_2$(4-Me-Ph))	4-(OCH_2(4-TMS-Ph))	2-CH_2OCH_2(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-$S(O)_2Ph$	3-(SCH_2(3-Me-Ph))	3-(4-pyridinyl-CH_2)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH_2)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH_2)	2-(2-pyrimidinyl-S)
4-$OC{\equiv}CCH_3$	4-OCH_2CH_2OMe	3-OCH_2SMe	3-$S(O)_2CH_2CH_2CF_3$
3-$S(O)_2CF_3$	2-NH_2	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-$OS(O)_3CH_3$	3-((4-CN-Ph)-OCH_2)
3-(2-furanyl-CH_2)	3-(2-thiazoyl-CH_2)	3-(($3-CF_3$-4-pyridinyl-OCH_2)	

R^3 = 6-C≡C-$Si(Me)_3$, and

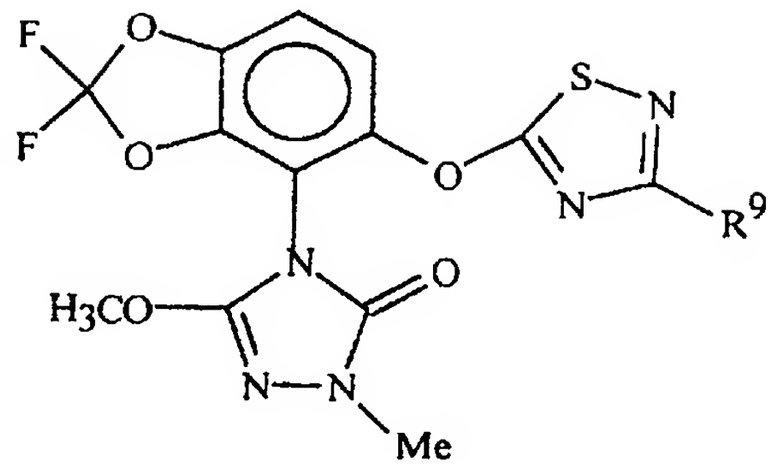
R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH{=}CH_2$	3-$S(O)CF_2CF_3$
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF{=}CF_2$

3-SC≡CEt	3-SCH_2C≡C-I	3-SCH_2OMe	3-SCH_2SEt
3-OGe(Me)$_2$Ph	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-C(=S)$SCHF_2$	2-C(=O)N(Me)$_2$	2-C(=S)N(Et)$_2$
4-CH_2CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-SC(=O)OCH_2CF_3	2-SC(=O)SMe	4-S(O)$_2OCH_2CF_3$	4-S(O)$_2$N(Me)$_2$
4-(NHSO_2(4-Me-Ph))	4-(OCH_2(4-TMS-Ph))	2-CH_2OCH_2(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-S(O)$_2$Ph	3-(SCH_2(3-Me-Ph))	3-(4-pyridinyl-CH_2)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH_2)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH_2)	2-(2-pyrimidinyl-S)
4-OC≡CCH_3	4-OCH_2CH_2OMe	3-OCH_2SMe	3-S(O)$_2CH_2CH_2CF_3$
3-S(O)$_2CF_3$	2-NH_2	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-OS(O)$_2CH_3$	3-((4-CN-Ph)-OCH_2)
3-(2-furanyl-CH_2)	3-(2-thiazoyl-CH_2)	3-((3-CF_3-4-pyridinyl-OCH_2)	

R^3 = 3-(2-CN-Ph-C≡C-), and

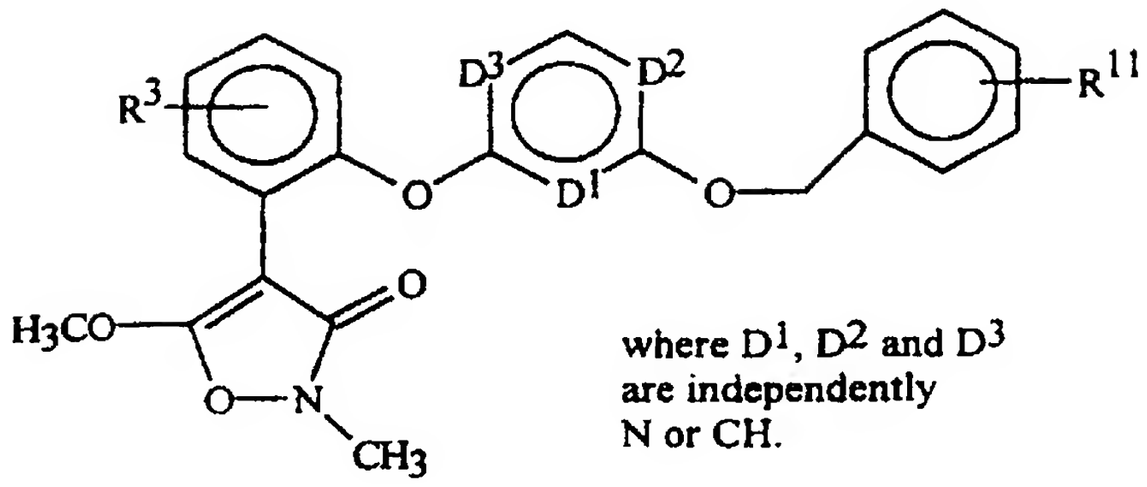
R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH=CH_2$	3-S(O)CF_2CF_3
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-Ge(Me)$_2CF_3$	3-OSi(Me)$_2$Ph	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-Si(Me)$_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-Ge(CF_3)$_3$	2-N(Me)Bzl	3-$OCH_2CF=CF_2$
3-SC≡CEt	3-SCH_2C≡C-I	3-SCH_2OMe	3-SCH_2SEt
3-OGe(Me)$_2$Ph	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-C(=S)$SCHF_2$	2-C(=O)N(Me)$_2$	2-C(=S)N(Et)$_2$
4-CH_2CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-SC(=O)OCH_2CF_3	2-SC(=O)SMe	4-S(O)$_2OCH_2CF_3$	4-S(O)$_2$N(Me)$_2$
4-(NHSO_2(4-Me-Ph))	4-(OCH_2(4-TMS-Ph))	2-CH_2OCH_2(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-S(O)$_2$Ph	3-(SCH_2(3-Me-Ph))	3-(4-pyridinyl-CH_2)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH_2)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH_2)	2-(2-pyrimidinyl-S)
4-OC ≡ CCH_3	4-OCH_2CH_2OMe	3-OCH_2SMe	3-S(O)$_2CH_2CH_2CF_3$
3-S(O)$_2CF_3$	2-NH_2	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-OS(O)$_2CH_3$	3-((4-CN-Ph)-OCH_2)
3-(2-furanyl-CH_2)	3-(2-thiazoyl-CH_2)	3-((3-CF_3-4-pyridinyl-OCH_2)	

Table 4



R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH{=}CH_2$	3-$S(O)CF_2CF_3$
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF{=}CF_2$
3-SC≡CEt	3-$SCH_2C{\equiv}C$-I	3-SCH_2OMe	3-SCH_2SEt
3-$OGe(Me)_2Ph$	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-$C(=S)SCHF_2$	2-$C(=O)N(Me)_2$	2-$C(=S)N(Et)_2$
4-CH_2CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-$SC(=O)OCH_2CF_3$	2-SC(=O)SMe	4-$S(O)_2OCH_2CF_3$	4-$S(O)_2N(Me)_2$
4-($NHSO_2$(4-Me-Ph))	4-(OCH_2(4-TMS-Ph))	2-CH_2OCH_2(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-$S(O)_2Ph$	3-(SCH_2(3-Me-Ph))	3-(4-pyridinyl-CH_2)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH_2)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH_2)	2-(2-pyrimidinyl-S)
4-$OC{\equiv}CCH_3$	4-OCH_2CH_2OMe	3-OCH_2SMe	3-$S(O)_2CH_2CH_2CF_3$
3-$S(O)_2CF_3$	2-NH_2	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-$OS(O)_2CH_3$	3-((4-CN-Ph)-OCH_2)
3-(2-furanyl-CH_2)	3-(2-thiazoyl-CH_2)	3-((3-CF_3-4-pyridinyl-OCH_2)	

Table 5



where D^1, D^2 and D^3 are independently N or CH.

D^3= CH, D^2 = CH, D^1 = CH, R^3 = H, and

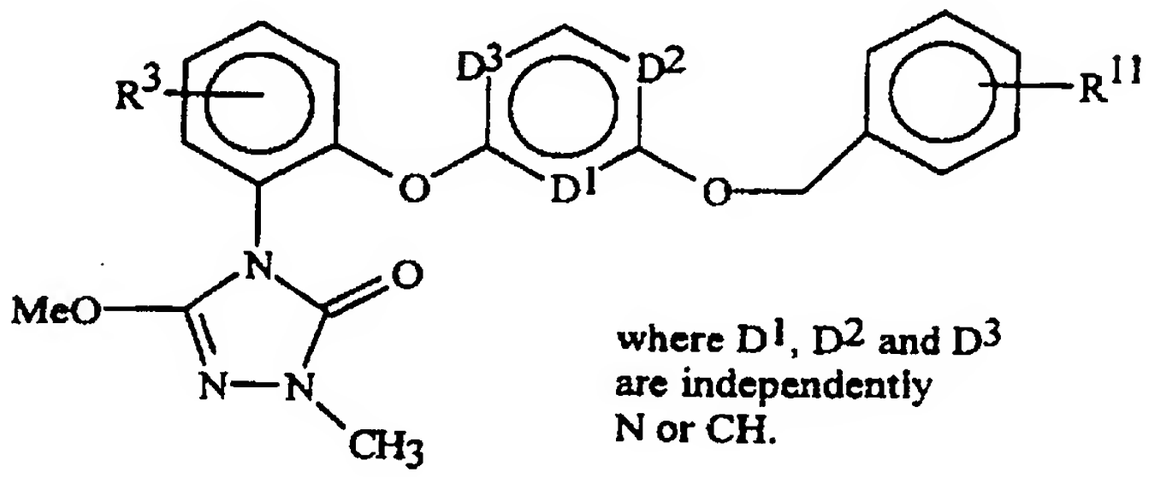
R^{11}	R^{11}	R^{11}	R^{11}
4-Cl	2,4-Di-Cl	4-C≡C-I	4-C≡C-O-THP
3-F	2-Me	3-CH_2OEt	3-CH_2OBzl
2-I	3-CF_3	4-CH_2SMe	3-O-*n*-Pr
4-CH=CH_2	3-C≡CH	3-C≡C-OMe	4-OCH_2CF_3
4-$S(O)_2CF_3$	2-CN	2-NO_2	4-SCN
4-SF_5	3-TMS	3-TMG	3-C≡C-TMS
3-O-Ge(*i*-Pr)$_3$	4-C(=O)Me	4-C(=S)Me	3-C(=O)OBzl
4-$C(=O)N(Me)_2$	4-$C(=S)N(Me)_2$	3-OC(=O)Ph	3-OC(=S)Ph
4-$NHC(=O)CH_3$	4-NHC(=S)Me	3-OC(=O)-O-*t*-Bu	3-$OC(=O)N(Me)_2$
2-$OS(O)_2CF_3$	4-$N(Me)S(O)_2CH_3$	2-Ph	2-(2-CN-Ph)
3-((3-CF_3-Ph)-CH_2O)	3-$S(O)_2$Ph	3-C≡C-Ph	4-(4-pyridinyl-C≡C)
4-$OCH_2CH=CH_2$	4-SEt	3-C(=O)SMe	2-(2-CN-Bzl)
4-$OCH_2CF=CF_2$	4-OH	3-SC(=O)-*n*-pentyl	3-$SCHF_2$
3-OCH_2OCH_2-TMS	3-O-Si(*i*-Pr)$_3$	3-$S(O)_2OCH_2CF_3$	3-$S(O)CH_3$
3-$S(O)CHF_2$	3-$S(O)_2CH_3$	3-$N(Me)_2$	3-C(=S)SMe
3-SC(=S)Bzl	2-$S(O)_2N(Me)_2$	3-((2-F-Ph)-O)	3-$CH_2CH=C(Cl)_2$

D^3 = N, D^2 = N, D^1 = CH, R^3 = 3-Me, and

R^{11}	R^{11}	R^{11}	R^{11}
4-Cl	2,4-Di-Cl	4-C≡C-I	4-C≡C-O-THP
3-F	2-Me	3-CH_2OEt	3-CH_2OBzl
2-I	3-CF_3	4-CH_2SMe	3-O-*n*-Pr
4-CH=CH_2	3-C≡CH	3-C≡C-OMe	4-OCH_2CF_3
4-$S(O)_2CF_3$	2-CN	2-NO_2	4-SCN
4-SF_5	3-TMS	3-TMG	3-C≡C-TMS
3-O-Ge(*i*-Pr)$_3$	4-C(=O)Me	4-C(=S)Me	3-C(=O)OBzl
4-$C(=O)N(Me)_2$	4-$C(=S)N(Me)_2$	3-OC(=O)Ph	3-OC(=S)Ph

4-NHC(=O)CH_3	4-NHC(=S)Me	3-OC(=O)-O-*t*-Bu	3-OC(=O)$N(Me)_2$
2-$OS(O)_2CF_3$	4-N(Me)$S(O)_2CH_3$	2-Ph	2-(2-CN-Ph)
3-((3-CF_3-Ph)-CH_2O)	3-$S(O)_2$Ph	3-C≡C-Ph	4-(4-pyridinyl-C≡C)
4-$OCH_2CH{=}CH_2$	4-SEt	3-C(=O)SMe	2-(2-CN-Bzl)
4-$OCH_2CF{=}CF_2$	4-OH	3-SC(=O)-*n*-pentyl	3-$SCHF_2$
3-OCH_2OCH_2-TMS	3-O-Si(*i*-Pr)$_3$	3-$S(O)_2OCH_2CF_3$	3-$S(O)CH_3$
3-$S(O)CHF_2$	3-$S(O)_2CH_3$	3-$N(Me)_2$	3-C(=S)SMe
3-SC(=S)Bzl	2-$S(O)_2N(Me)_2$	3-((2-F-Ph)-O)	3-$CH_2CH{=}C(Cl)_2$

Table 6



where D^1, D^2 and D^3 are independently N or CH.

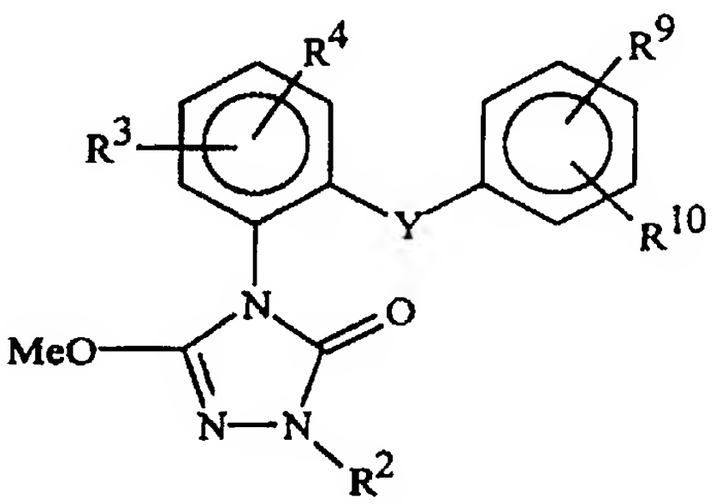
D^3= CH, D^2 = CH, D^1 = CH, R^3 = H, and

R^{11}	R^{11}	R^{11}	R^{11}
4-Cl	2,4-Di-Cl	4-C≡C-I	4-C≡C-O-THP
3-F	2-Me	3-CH_2OEt	3-CH_2OBzl
2-I	3-CF_3	4-CH_2SMe	3-O-*n*-Pr
4-$CH{=}CH_2$	3-C≡CH	3-C≡C-OMe	4-OCH_2CF_3
4-$S(O)_2CF_3$	2-CN	2-NO_2	4-SCN
4-SF_5	3-TMS	3-TMG	3-C≡C-TMS
3-O-Ge(*i*-Pr)$_3$	4-C(=O)Me	4-C(=S)Me	3-C(=O)OBzl
4-C(=O)$N(Me)_2$	4-C(=S)$N(Me)_2$	3-OC(=O)Ph	3-OC(=S)Ph
4-NHC(=O)CH_3	4-NHC(=S)Me	3-OC(=O)-O-*t*-Bu	3-OC(=O)$N(Me)_2$
2-$OS(O)_2CF_3$	4-N(Me)$S(O)_2CH_3$	2-Ph	2-(2-CN-Ph)
3-((3-CF_3-Ph)-CH_2O)	3-$S(O)_2$Ph	3-C≡C-Ph	4-(4-pyridinyl-C≡C)
4-$OCH_2CH{=}CH_2$	4-SEt	3-C(=O)SMe	2-(2-CN-Bzl)
4-$OCH_2CF{=}CF_2$	4-OH	3-SC(=O)-*n*-pentyl	3-$SCHF_2$
3-OCH_2OCH_2-TMS	3-O-Si(*i*-Pr)$_3$	3-$S(O)_2OCH_2CF_3$	3-$S(O)CH_3$
3-$S(O)CHF_2$	3-$S(O)_2CH_3$	3-$N(Me)_2$	3-C(=S)SMe
3-SC(=S)Bzl	2-$S(O)_2N(Me)_2$	3-((2-F-Ph)-O)	3-$CH_2CH{=}C(Cl)_2$

D^3 = N, D^2 = N, D^1 = CH, R^3 = 3-Me, and

R^{11}	R^{11}	R^{11}	R^{11}
4-Cl	2,4-Di-Cl	4-C≡C-I	4-C≡C-O-THP
3-F	2-Me	3-CH_2OEt	3-CH_2OBzl
2-I	3-CF_3	4-CH_2SMe	3-O-*n*-Pr
4-$CH=CH_2$	3-C≡CH	3-C≡C-OMe	4-OCH_2CF_3
4-$S(O)_2CF_3$	2-CN	2-NO_2	4-SCN
4-SF_5	3-TMS	3-TMG	3-C≡C-TMS
3-O-Ge(*i*-Pr)$_3$	4-C(=O)Me	4-C(=S)Me	3-C(=O)OBzl
4-C(=O)N(Me)$_2$	4-C(=S)N(Me)$_2$	3-OC(=O)Ph	3-OC(=S)Ph
4-NHC(=O)CH_3	4-NHC(=S)Me	3-OC(=O)-O-*t*-Bu	3-OC(=O)N(Me)$_2$
2-$OS(O)_2CF_3$	4-N(Me)$S(O)_2CH_3$	2-Ph	2-(2-CN-Ph)
3-((3-CF_3-Ph)-CH_2O)	3-$S(O)_2$Ph	3-C≡C-Ph	4-(4-pyridinyl-C≡C)
4-$OCH_2CH=CH_2$	4-SEt	3-C(=O)SMe	2-(2-CN-Bzl)
4-$OCH_2CF=CF_2$	4-OH	3-SC(=O)-*n*-pentyl	3-$SCHF_2$
3-OCH_2OCH_2-TMS	3-O-Si(*i*-Pr)$_3$	3-$S(O)_2OCH_2CF_3$	3-$S(O)CH_3$
3-$S(O)CHF_2$	3-$S(O)_2CH_3$	3-N(Me)$_2$	3-C(=S)SMe
3-SC(=S)Bzl	2-$S(O)_2N(Me)_2$	3-((2-F-Ph)-O)	3-$CH_2CH=C(Cl)_2$

Table 7



R^2 = OH, R^3 = 6-Me, R^4 = H, R^{10} = H, Y = $CH_2SC(=S)NH$-, and

R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH=CH_2$	3-$S(O)CF_2CF_3$
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-Ge(Me)$_2CF_3$	3-OSi(Me)$_2$Ph	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-Si(Me)$_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-Ge(CF$_3$)$_3$	2-N(Me)Bzl	3-$OCH_2CF=CF_2$
3-SC≡CEt	3-SCH_2C≡C-I	3-SCH_2OMe	3-SCH_2SEt
3-OGe(Me)$_2$Ph	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))

4-C(=S)OEt	4-C(=S)SCHF$_2$	2-C(=O)N(Me)$_2$	2-C(=S)N(Et)$_2$
4-CH$_2$CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-SC(=O)OCH$_2$CF$_3$	2-SC(=O)SMe	4-S(O)$_2$OCH$_2$CF$_3$	4-S(O)$_2$N(Me)$_2$
4-(NHSO$_2$(4-Me-Ph))	4-(OCH$_2$(4-TMS-Ph))	2-CH$_2$OCH$_2$(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-S(O)$_2$Ph	3-(SCH$_2$(3-Me-Ph))	3-(4-pyridinyl-CH$_2$)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH$_2$)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH$_2$)	2-(2-pyrimidinyl-S)
4-OC≡CCH$_3$	4-OCH$_2$CH$_2$OMe	3-OCH$_2$SMe	3-S(O)$_2$CH$_2$CH$_2$CF$_3$
3-S(O)$_2$CF$_3$	2-NH$_2$	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-OS(O)$_2$CH$_3$	3-((4-CN-Ph)-OCH$_2$)
3-(2-furanyl-CH$_2$)	3-(2-thiazoyl-CH$_2$)	3-((3-CF$_3$-4-pyridinyl-OCH$_2$)	

R^2 = OMe, R^3 = 3-OMe, R^4 = H, R^{10} = H, Y = CH_2O-N=C(H)OCH_2-, and

R^9	R^9	R^9	R^9
3-CH$_2$OCH$_3$	3-OCH$_2$OCH$_2$TMS	4-SCH$_2$CH=CH$_2$	3-S(O)CF$_2$CF$_3$
3-C≡C-OCH$_3$	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-Ge(Me)$_2$CF$_3$	3-OSi(Me)$_2$Ph	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-Si(Me)$_2$CF$_3$	2-OH	3-C≡C-OTHP
3-CH$_2$S-*n*-Pr	3-Ge(CF$_3$)$_3$	2-N(Me)Bzl	3-OCH$_2$CF=CF$_2$
3-SC≡CEt	3-SCH$_2$C≡C-I	3-SCH$_2$OMe	3-SCH$_2$SEt
3-OGe(Me)$_2$Ph	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-C(=S)SCHF$_2$	2-C(=O)N(Me)$_2$	2-C(=S)N(Et)$_2$
4-CH$_2$CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-SC(=O)OCH$_2$CF$_3$	2-SC(=O)SMe	4-S(O)$_2$OCH$_2$CF$_3$	4-S(O)$_2$N(Me)$_2$
4-(NHSO$_2$(4-Me-Ph))	4-(OCH$_2$(4-TMS-Ph))	2-CH$_2$OCH$_2$(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-S(O)$_2$Ph	3-(SCH$_2$(3-Me-Ph))	3-(4-pyridinyl-CH$_2$)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH$_2$)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH$_2$)	2-(2-pyrimidinyl-S)
4-OC≡CCH$_3$	4-OCH$_2$CH$_2$OMe	3-OCH$_2$SMe	3-S(O)$_2$CH$_2$CH$_2$CF$_3$
3-S(O)$_2$CF$_3$	2-NH$_2$	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-OS(O)$_2$CH$_3$	3-((4-CN-Ph)-OCH$_2$)
3-(2-furanyl-CH$_2$)	3-(2-thiazoyl-CH$_2$)	3-((3-CF$_3$-4-pyridinyl-OCH$_2$)	

R^2 = Et, R^3 = 5-NO_2, R^4 = H, R^{10} = H, Y = CH_2O-N=C(CH_3)-C(=N-O-C(=O)(4-CF_3-2-pyridinyl))-, and

R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH=CH_2$	3-$S(O)CF_2CF_3$
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF=CF_2$
3-SC≡CEt	3-SCH_2C≡C-I	3-SCH_2OMe	3-SCH_2SEt
3-$OGe(Me)_2Ph$	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-$C(=S)SCHF_2$	2-$C(=O)N(Me)_2$	2-$C(=S)N(Et)_2$
4-CH_2CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-$SC(=O)OCH_2CF_3$	2-SC(=O)SMe	4-$S(O)_2OCH_2CF_3$	4-$S(O)_2N(Me)_2$
4-($NHSO_2$(4-Me-Ph))	4-(OCH_2(4-TMS-Ph))	2-CH_2OCH_2(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-$S(O)_2Ph$	3-(SCH_2(3-Me-Ph))	3-(4-pyridinyl-CH_2)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH_2)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH_2)	2-(2-pyrimidinyl-S)
4-OC≡CCH_3	4-OCH_2CH_2OMe	3-OCH_2SMe	3-$S(O)_2CH_2CH_2CF_3$
3-$S(O)_2CF_3$	2-NH_2	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-$OS(O)_2CH_3$	3-((4-CN-Ph)-OCH_2)
3-(2-furanyl-CH_2)	3-(2-thiazoyl-CH_2)	3-((CF_3-4-pyridinyl-OCH_2)	

R^2 = Me, R^3 = H, R^4 = H, R^{10} = H, Y = $CH(CH_3)S$-C(Et)=N-, and

R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH=CH_2$	3-$S(O)CF_2CF_3$
3-C≡C-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF=CF_2$
3-SC≡CEt	3-SCH_2C≡C-I	3-SCH_2OMe	3-SCH_2SEt
3-$OGe(Me)_2Ph$	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-$C(=S)SCHF_2$	2-$C(=O)N(Me)_2$	2-$C(=S)N(Et)_2$
4-CH_2CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-$SC(=O)OCH_2CF_3$	2-SC(=O)SMe	4-$S(O)_2OCH_2CF_3$	4-$S(O)_2N(Me)_2$
4-($NHSO_2$(4-Me-Ph))	4-(OCH_2(4-TMS-Ph))	2-CH_2OCH_2(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-$S(O)_2Ph$	3-(SCH_2(3-Me-Ph))	3-(4-pyridinyl-CH_2)

3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH_2)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH_2)	2-(2-pyrimidinyl-S)
4-$OC{\equiv}CCH_3$	4-OCH_2CH_2OMe	3-OCH_2SMe	3-$S(O)_2CH_2CH_2CF_3$
3-$S(O)_2CF_3$	2-NH_2	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-$OS(O)_2CH_3$	3-((4-CN-Ph)-OCH_2)
3-(2-furanyl-CH_2)	3-(2-thiazoyl-CH_2)	3-((3-CF_3-4-pyridinyl-OCH_2)	

R^2 = Me, R^3 = 3-Me, R^4 = 6-Me, R^{10} = H, Y = -O-, and

R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH{=}CH_2$	3-$S(O)CF_2CF_3$
3-$C{\equiv}C$-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF{=}CF_2$
3-SC≡CEt	3-$SCH_2C{\equiv}C$-I	3-SCH_2OMe	3-SCH_2SEt
3-$OGe(Me)_2Ph$	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-C(=S)$SCHF_2$	2-$C(=O)N(Me)_2$	2-$C(=S)N(Et)_2$
4-CH_2CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-$SC(=O)OCH_2CF_3$	2-SC(=O)SMe	4-$S(O)_2OCH_2CF_3$	4-$S(O)_2N(Me)_2$
4-($NHSO_2$(4-Me-Ph))	4-(OCH_2(4-TMS-Ph))	2-CH_2OCH_2(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-$S(O)_2Ph$	3-(SCH_2(3-Me-Ph))	3-(4-pyridinyl-CH_2)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH_2)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH_2)	2-(2-pyrimidinyl-S)
4-$OC{\equiv}CCH_3$	4-OCH_2CH_2OMe	3-OCH_2SMe	3-$S(O)_2CH_2CH_2CF_3$
3-$S(O)_2CF_3$	2-NH_2	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-$OS(O)_2CH_3$	3-((4-CN-Ph)-OCH_2)
3-(2-furanyl-CH_2)	3-(2-thiazoyl-CH_2)	3-((3-CF_3-4-pyridinyl-OCH_2)	

R^2 = Me, R^3 = 6-Me, R^4 = H, R^{10} = 2-Me, Y = -O-, and

R^9	R^9	R^9	R^9
3-CH_2OCH_3	3-OCH_2OCH_2TMS	4-$SCH_2CH{=}CH_2$	3-$S(O)CF_2CF_3$
3-$C{\equiv}C$-OCH_3	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-$Ge(Me)_2CF_3$	3-$OSi(Me)_2Ph$	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-$Si(Me)_2CF_3$	2-OH	3-C≡C-OTHP
3-CH_2S-*n*-Pr	3-$Ge(CF_3)_3$	2-N(Me)Bzl	3-$OCH_2CF{=}CF_2$
3-SC≡CEt	3-$SCH_2C{\equiv}C$-I	3-SCH_2OMe	3-SCH_2SEt
3-$OGe(Me)_2Ph$	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))

4-C(=S)OEt	4-C(=S)SCHF$_2$	2-C(=O)N(Me)$_2$	2-C(=S)N(Et)$_2$
4-CH$_2$CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-SC(=O)OCH$_2$CF$_3$	2-SC(=O)SMe	4-S(O)$_2$OCH$_2$CF$_3$	4-S(O)$_2$N(Me)$_2$
4-(NHSO$_2$(4-Me-Ph))	4-(OCH$_2$(4-TMS-Ph))	2-CH$_2$OCH$_2$(2,4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-S(O)$_2$Ph	3-(SCH$_2$(3-Me-Ph))	3-(4-pyridinyl-CH$_2$)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH$_2$)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH$_2$)	2-(2-pyrimidinyl-S)
4-OC≡CCH$_3$	4-OCH$_2$CH$_2$OMe	3-OCH$_2$SMe	3-S(O)$_2$CH$_2$CH$_2$CF$_3$
3-S(O)$_2$CF$_3$	2-NH$_2$	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-OS(O)$_2$CH$_3$	3-((4-CN-Ph)-OCH$_2$)
3-(2-furanyl-CH$_2$)	3-(2-thiazoyl-CH$_2$)	3-((3-CF$_3$-4-pyridinyl-OCH$_2$)	

R^2 = Me, R^3 = H, R^4 = H, R^{10}= H, Y = CH$_2$N(COCH$_3$)-N=C(CH$_3$)-, and

R^9	R^9	R^9	R^9
3-CH$_2$OCH$_3$	3-OCH$_2$OCH$_2$TMS	4-SCH$_2$CH=CH$_2$	3-S(O)CF$_2$CF$_3$
3-C≡C-OCH$_3$	4-SCN	3-C≡C-TMS	3-(1-Ph-2,2-Di-Cl-*c*-Pr)
3-C≡C-I	3-Ge(Me)$_2$CF$_3$	3-OSi(Me)$_2$Ph	3-(2-Me-4-Ph-*c*-Hex)
3-ada	3-Si(Me)$_2$CF$_3$	2-OH	3-C≡C-OTHP
3-CH$_2$S-*n*-Pr	3-Ge(CF$_3$)$_3$	2-N(Me)Bzl	3-OCH$_2$CF=CF$_2$
3-SC≡CEt	3-SCH$_2$C≡C-I	3-SCH$_2$OMe	3-SCH$_2$SEt
3-OGe(Me)$_2$Ph	3-(C(=O)(3-Me-Bzl))	4-C(=S)Me	4-((4-F-Bzl)-OC(=O))
4-C(=S)OEt	4-C(=S)SCHF$_2$	2-C(=O)N(Me)$_2$	2-C(=S)N(Et)$_2$
4-CH$_2$CN	3-OC(=O)Me	3-OC(=S)Me	4-SC(=O)Me
4-NHC(=O)Me	4-NHC(=S)Ph	4-OC(=O)O-*c*-Hex	4-OC(=O)S-*n*-Pr
3-SC(=O)OCH$_2$CF$_3$	2-SC(=O)SMe	4-S(O)$_2$OCH$_2$CF$_3$	4-S(O)$_2$N(Me)$_2$
4-(NHSO$_2$(4-Me-Ph))	4-(OCH$_2$(4-TMS-Ph))	2-CH$_2$OCH$_2$(2.4-Di-F-Ph)	4-((4-TMS-Ph)-C≡C)
3-(S-(4-TMG-Ph))	4-S(O)$_2$Ph	3-(SCH$_2$(3-Me-Ph))	3-(4-pyridinyl-CH$_2$)
3-(4-pyridinyl-C≡C)	3-(2-pyridinyl-S)	4-(2-thienyl-CH$_2$)	4-(2-thienyl-S)
4-(2-furanyl-O)	4-(3-furanyl-S)	2-(4-pyrimidinyl-CH$_2$)	2-(2-pyrimidinyl-S)
4-OC≡CCH$_3$	4-OCH$_2$CH$_2$OMe	3-OCH$_2$SMe	3-S(O)$_2$CH$_2$CH$_2$CF$_3$
3-S(O)$_2$CF$_3$	2-NH$_2$	4-C(=S)OEt	2-C(=NH)OMe
4-SC(=S)-*i*-Pr	2-OC(=O)N(Me)Ph	3-OS(O)$_2$CH$_3$	3-((4-CN-Ph)-OCH$_2$)
3-(2-furanyl-CH$_2$)	3-(2-thiazoyl-CH$_2$)	3-((3-CF$_3$-4-pyridinyl-OCH$_2$)	

Formulation/Utility

Compounds of this invention will generally be used as a formulation or composition with an agriculturally suitable carrier comprising at least one of a liquid

diluent, a solid diluent or a surfactant. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature. Useful formulations include liquids such as solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like which optionally can be thickened into gels. Useful formulations further include solids such as dusts, powders, granules, pellets, tablets, films, and the like which can be water-dispersible ("wettable") or water-soluble. Active ingredient can be (micro)encapsulated and further formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or "overcoated"). Encapsulation can control or delay release of the active ingredient. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High-strength compositions are primarily used as intermediates for further formulation.

The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 percent by weight.

	Weight Percent		
	Active Ingredient	Diluent	Surfactant
Water-Dispersible and Water-soluble Granules, Tablets and Powders.	5–90	0–94	1–15
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	5–50	40–95	0–15
Dusts	1–25	70–99	0–5
Granules and Pellets	0.01–99	5–99.99	0–15
High Strength Compositions	90–99	0–10	0–2

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth and the like, or thickeners to increase viscosity.

Surfactants include, for example, polyethoxylated alcohols, polyethoxylated alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl

sulfates, alkylbenzene sulfonates, organosilicones, *N,N*-dialkyltaurates, lignin sulfonates, naphthalene sulfonate formaldehyde condensates, polycarboxylates, and polyoxyethylene/polyoxypropylene block copolymers. Solid diluents include, for example, clays such as bentonite, montmorillonite, attapulgite and kaolin, starch, sugar, silica, talc, diatomaceous earth, urea, calcium carbonate, sodium carbonate and bicarbonate, and sodium sulfate. Liquid diluents include, for example, water, *N,N*-dimethylformamide, dimethyl sulfoxide, *N*-alkylpyrrolidone, ethylene glycol, polypropylene glycol, paraffins, alkylbenzenes, alkylnaphthalenes, oils of olive, castor, linseed, tung, sesame, corn, peanut, cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. Dusts and powders can be prepared by blending and, usually, grinding as in a hammer mill or fluid-energy mill. Suspensions are usually prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10-41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A-C.

Example A

Wettable Powder

Compound 39	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%.

Example B

Granule

Compound 39	10.0%
attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25–50 sieves)	90.0%.

Example C

Extruded Pellet

Compound 39	25.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkylnaphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%.

Example D

Emulsifiable Concentrate

Compound 39	20.0%
blend of oil soluble sulfonates and polyoxyethylene ethers	10.0%
isophorone	70.0%.

The compounds of this invention are useful as plant disease control agents. The present invention therefore further comprises a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof to be protected, or to the plant seed or seedling to be protected, an effective amount of a compound of the invention or a fungicidal composition containing said compound. The compounds and compositions of this invention provide control of diseases caused by a broad spectrum of fungal plant pathogens in the Basidiomycete, Ascomycete, Oomycete and Deuteromycete classes. They are effective in controlling a broad spectrum of plant diseases, particularly foliar pathogens of ornamental, vegetable, field, cereal, and fruit crops. These pathogens include *Plasmopara viticola, Phytophthora infestans, Peronospora tabacina, Pseudoperonospora cubensis, Pythium aphanidermatum, Alternaria brassicae, Septoria nodorum, Septoria tritici, Cercosporidium personatum, Cercospora arachidicola, Pseudocercosporella herpotrichoides, Cercospora beticola,*

Botrytis cinerea, Monilinia fructicola, Pyricularia oryzae, Podosphaera leucotricha, Venturia inaequalis, Erysiphe graminis, Uncinula necatur, Puccinia recondita, Puccinia graminis, Hemileia vastatrix, Puccinia striiformis, Puccinia arachidis, Rhizoctonia solani, Sphaerotheca fuliginea, Fusarium oxysporum, Verticillium dahliae, Pythium aphanidermatum, Phytophthora megasperma, Sclerotinia sclerotiorum, Sclerotium rolfsii, Erysiphe polygoni, Pyrenophora teres, Gaeumannomyces graminis, Rynchosporium secalis, Fusarium roseum, Bremia lactucae and other generea and species closely related to these pathogens.

The compounds of this invention also exhibit activity against a wide spectrum of foliar-feeding, fruit-feeding, stem or root feeding, seed-feeding, aquatic and soil-inhabiting arthropods (term "arthropods" includes insects, mites and nematodes) which are pests of growing and stored agronomic crops, forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health. Those skilled in the art will appreciate that not all compounds are equally effective against all growth stages of all pests. Nevertheless, all of the compounds of this invention display activity against pests that include: eggs, larvae and adults of the Order Lepidoptera; eggs, foliar-feeding, fruit-feeding, root-feeding, seed-feeding larvae and adults of the Order Coleoptera; eggs, immatures and adults of the Orders Hemiptera and Homoptera; eggs, larvae, nymphs and adults of the Order Acari; eggs, immatures and adults of the Orders Thysanoptera, Orthoptera and Dermaptera; eggs, immatures and adults of the Order Diptera; and eggs, juveniles and adults of the Phylum Nematoda. The compounds of this invention are also active against pests of the Orders Hymenoptera, Isoptera, Siphonaptera, Blattaria, Thysanura and Psocoptera; pests belonging to the Class Arachnida and Phylum Platyhelminthes. Specifically, the compounds are active against southern corn rootworm (Diabrotica undecimpunctata howardi), aster leafhopper (Mascrosteles fascifrons), boll weevil (Anthonomus grandis), two-spotted spider mite (Tetranychus urticae), fall armyworm (Spodoptera frugiperda), black bean aphid (Aphis fabae), green peach aphid (Myzus persica), cotton aphid (Aphis gossypii), Russian wheat aphid (Diuraphis noxia), English grain aphid (Sitobion avenae), tobacco budworm (Heliothis virescens), rice water weevil (Lissorhoptrus oryzophilus), rice leaf beetle (Oulema oryzae), whitebacked planthopper (Sogatella furcifera), green leafhopper (Nephotettix cincticeps), brown planthopper (Nilaparvata lugens), small brown planthopper (Laodelphax striatellus), rice stem borer (Chilo suppressalis), rice leafroller (Cnaphalocrocis medinalis), black rice stink bug (Scotinophara lurida), rice stink bug (Oebalus pugnax), rice bug (Leptocorisa chinensis), slender rice bug (Cletus puntiger), and southern green stink bug (Nezara viridula). The compounds are active on mites, demonstrating ovicidal, larvicidal and chemosterilant activity against such families as Tetranychidae including

Tetranychus urticae, Tetranychus cinnabarinus, Tetranychus mcdanieli, Tetranychus pacificus, Tetranychus turkestani, Byrobia rubrioculus, Panonychus ulmi, Panonychus citri, Eotetranychus carpini borealis, Eotetranychus, hicoriae, Eotetranychus sexmaculatus, Eotetranychus yumensis, Eotetranychus banksi and Oligonychus pratensis; Tenuipalpidae including Brevipalpus lewisi, Brevipalpus phoenicis, Brevipalpus californicus and Brevipalpus obovatus; Eriophyidae including Phyllocoptruta oleivora, Eriophyes sheldoni, Aculus cornutus, Epitrimerus pyri and Eriophyes mangiferae. See WO 90/10623 and WO 92/00673 for more detailed pest descriptions.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorpyrifos, chlorpyrifos-methyl, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, esfenvalerate, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flucythrinate, tau-fluvalinate, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, methyl 7-chloro-2,5-dihydro-2-[[*N*-(methoxycarbonyl)-*N*-[4-(trifluoromethoxy)phenyl]amino]carbonyl]indeno[1,2-*e*][1,3,4]oxadiazine-4a(3*H*)-carboxylate (DPX-JW062), monocrotophos, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as azoxystrobin (ICIA5504), benomyl, blasticidin-S, Bordeaux mixture (tribasic copper sulfate), bromuconazole, captafol, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil, cyproconazole, cyprodinil (CGA 219417), diclomezine, dicloran, difenoconazole, dimethomorph, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole (BAS 480F), fenarimol, fenbuconazole, fenpiclonil, fenpropidin, fenpropimorph, fluazinam, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, kresoxim-methyl (BAS 490F), mancozeb, maneb, mepronil, metalaxyl, metconazole, *S*-methyl 7-benzothiazolecarbothioate (CGA 245704), 5-methyl-5-(4-phenoxyphenyl)-3-phenylamino-2,4-oxazolidinedione (DPX-JE874), myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl,

penconazole, pencycuron, probenazole, prochloraz, propiconazole, pyrifenox, pyroquilon, sulfur, tebuconazole, tetraconazole, thiabendazole, thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, triticonazole, validamycin and vinclozolin; nematocides such as aldoxycarb and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi.

In certain instances, combinations with other fungicides or arthropodicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Preferred for better control of plant diseases caused by fungal plant pathogens (e.g., lower use rate or broader spectrum of plant pathogens controlled) or resistance management are mixtures of a compound of this invention with a fungicide selected from the group cyproconazole, cyprodinil (CGA 219417), epoxiconazole (BAS 480F), fenpropidin, fenpropimorph, flusilazole and tebuconazole. Specifically preferred mixtures (compound numbers refer to compounds in Index Tables A-C) are selected from the group: compound 9 and cyproconazole; compound 9 and cyprodinil (CGA 219417); compound 9 and epoxiconazole (BAS 480F); compound 9 and fenpropidin; compound 9 and fenpropimorph; compound 9 and flusilazole; compound 9 and tebuconazole; compound 12 and cyproconazole; compound 12 and cyprodinil (CGA 219417); compound 12 and epoxiconazole (BAS 480F); compound 12 and fenpropidin; compound 12 and fenpropimorph; compound 12 and flusilazole; compound 12 and tebuconazole; compound 39 and cyproconazole; compound 39 and cyprodinil (CGA 219417); compound 39 and epoxiconazole (BAS 480F); compound 39 and fenpropidin; compound 39 and fenpropimorph; compound 39 and flusilazole; compound 39 and tebuconazole; compound 45 and cyproconazole; compound 45 and cyprodinil (CGA 219417); compound 45 and epoxiconazole (BAS 480F); compound 45 and fenpropidin; compound 45 and fenpropimorph; compound 45 and flusilazole; compound 45 and tebuconazole; compound 53 and cyproconazole; compound 53 and cyprodinil (CGA 219417); compound 53 and epoxiconazole (BAS 480F); compound 53 and fenpropidin; compound 53 and fenpropimorph; compound 53 and flusilazole; compound 53 and tebuconazole; compound 54 and cyproconazole; compound 54 and cyprodinil (CGA 219417); compound 54 and epoxiconazole (BAS 480F); compound 54 and fenpropidin; compound 54 and fenpropimorph; compound 54 and flusilazole; compound 54 and tebuconazole; compound 103 and cyproconazole; compound 103 and cyprodinil (CGA 219417); compound 103 and epoxiconazole (BAS 480F);

compound 103 and fenpropidin; compound 103 and fenpropimorph; compound 103 and flusilazole; and compound 103 and tebuconazole.

Plant disease control is ordinarily accomplished by applying an effective amount of a compound of this invention either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling.

For plant disease control, rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than 1 g/ha to 5,000 g/ha of active ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from 0.1 to 10 g per kilogram of seed.

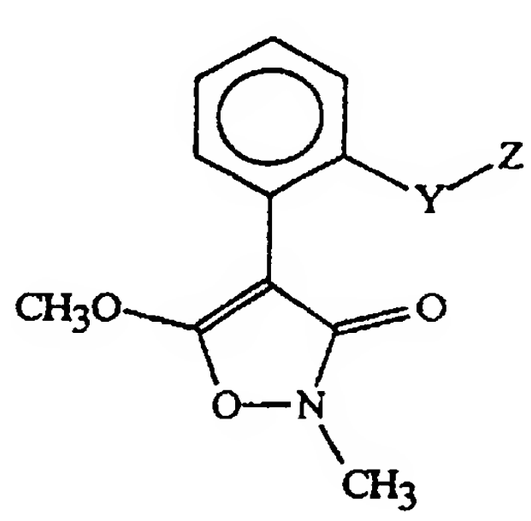
Arthropod pests are controlled and protection of agronomic, horticultural and specialty crops, animal and human health is achieved by applying one or more of the compounds of this invention, in an effective amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. Thus, the present invention further comprises a method for the control of foliar and soil inhabiting arthropods and nematode pests and protection of agronomic and/or nonagronomic crops, comprising applying one or more of the compounds of the invention, or compositions containing at least one such compound, in an effective amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. A preferred method of application is by spraying. Alternatively, granular formulations of these compounds can be applied to the plant foliage or the soil. Other methods of application include direct and residual sprays, aerial sprays, seed coats, microencapsulations, systemic uptake, baits, eartags, boluses, foggers, fumigants, aerosols, dusts and many others. The compounds can be incorporated into baits that are consumed by the arthropods or in devices such as traps and the like.

For the control of arthropod pests, the compounds of this invention can be applied in their pure state, but most often application will be of a formulation comprising one or more compounds with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. A preferred method of application involves spraying a water dispersion or refined oil solution of the compounds. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy.

The rate of application required for effective control will depend on such factors as the species of arthropod to be controlled, the pest's life cycle, life stage, its size, location, time of year, host crop or animal, feeding behavior, mating behavior, ambient moisture, temperature, and the like. Under normal circumstances, application rates of about 0.01 to 2 kg of active ingredient per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.001 kg/hectare may be sufficient or as much as 8 kg hectare may be required. For nonagronomic applications, effective use rates will range from about 1.0 to 50 mg/square meter but as little as 0.1 mg/square meter may be sufficient or as much as 150 mg/square meter may be required.

The following TESTS demonstrate the control efficacy of compounds of this invention on specific pathogens and arthropod pests. For the tests on arthropod pests, "control efficacy" represents inhibition of arthropod development (including mortality) that causes significantly reduced feeding. The pathogen and arthropod pest control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-C for compound descriptions. The following abbreviations are used in the Index Tables which follow: *t* = tertiary, *c* = cyclo, Me = methyl, Et = ethyl, Bu = butyl, Ph = phenyl, MeO and OMe = methoxy, EtO = ethoxy, PhO = phenoxy, PhS = phenylthio, CN = cyano, NO_2 = nitro, Me_3Si = trimethylsilyl, and CHO = formyl. The abbreviation "dec" indicates that the compound appeared to decompose on melting. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

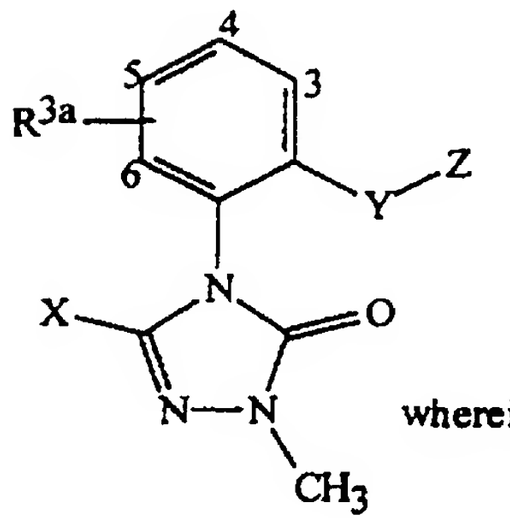
INDEX TABLE A



Cmpd No.	Y	Z	m.p. (°C)
1 Ex. 18	$CH_2ON=C(Me)$	4-HO-Ph	oil*

*See Index Table C for ^1H NMR data.

INDEX TABLE B



wherein R^{3a} is H or R^3

Cmpd No.	X	R^{3a}	Y	Z	m.p. (°C)
2	MeO	H	CH_2S	3-(MeOC(=NH))-6-(MeC(=O))-2-pyridinyl	190 (dec)
3	MeO	H	CH_2S	3-CN-6-(MeC(=O))-2-pyridinyl	105-110
4	MeO	H	CH_2S	3-CN-6-(MeC(OMe)$_2$)-2-pyridinyl	190 (dec)
5	Cl	H	CH_2S	3-CN-6-(MeC(=O))-2-pyridinyl	143-148
6	Cl	H	CH_2S	3-CN-6-(CH_3C(OMe)$_2$)-2-pyridinyl	117-123
7 Ex. 11	Cl	H	O	3-HO-Ph	135-138
8 Ex. 12	MeO	H	O	3-HO-Ph	153-155
9 Ex. 3	MeO	H	O	3-(1,3-benzodioxol-5-yl)-1,2,4-thiadiazol-5-yl	168-169
10	MeO	H	O	2-NH_2-3-(2-Me-PhO)-Ph	72-75
11 Ex. 4	MeO	H	O	5-(1-adamantyl)-1,3,4-oxadiazol-2-yl	*
12 Ex. 21	MeO	H	CH_2ON=C(Me)	3-($CF_3CH_2CH_2SiMe_2$)-Ph	oil*
13	MeO	H	CH_2ON=C(Me)	3-(4-Me_3Si-PhCH_2O)-Ph	oil*
14	Cl	H	CH_2	3,5-diMe-4-(2-pyrimidinyl-S)-1*H*-pyrazol-1-yl	154-156
15	Cl	H	CH_2ON=C(Me)	3-(4-Me_3Si-PhCH_2O)-Ph	oil*
16	MeO	H	O	3-(PhCH_2O)-Ph	98-100
17	MeO	H	O	3-(*c*-hexyl-O)-Ph	oil*
18	MeO	H	CH_2ON=C(Me)	4-(PhCH_2OC(=O))-2-pyridinyl	130-132
19	MeO	H	O	3-NH_2-6-Cl-2-pyridinyl	163-166
20	MeO	H	O	3-PhS-Ph	oil*
21	MeO	H	O	3-(4-Cl-PhCH_2O)-Ph	oil*
22	MeO	H	O	3-(2,4-diCl-PhCH_2O)-Ph	oil*
23	MeO	H	O	3-(2-CF_3-PhCH_2O)-Ph	oil*
24	MeO	H	O	3-(4-CF_3-PhCH_2O)-Ph	oil*
25	MeO	H	O	3-(2,5-diMe-PhCH_2O)-Ph	oil*

Cmpd No.		X	R^{3a}	Y	Z	m.p. (°C)
26		MeO	H	O	3-(2,6-diF-PhCH_2O)-Ph	oil*
27		MeO	H	O	3-(3-MeO-PhCH_2O)-Ph	128-131
28		MeO	H	O	3-(4-F-PhCH_2O)-Ph	oil*
29		MeO	H	CH_2ON=C(Me)	4-(Me_3Si-C≡C)-2-pyridinyl	oil*
30		MeO	H	O	3-(2-Me-PhCH_2O)-Ph	104-105
31		MeO	H	O	3-(3-Me-PhCH_2O)-Ph	oil*
32		MeO	H	O	3-(4-Me-PhCH_2O)-Ph	oil*
33		MeO	H	O	3-(2-CN-PhCH_2O)-Ph	97-98
34		MeO	H	O	3-(2-NO_2-PhCH_2O)-Ph	135-136
35		MeO	H	O	3-(3,5-diF-PhCH_2O)-Ph	oil*
36		MeO	H	O	3-(2-F-PhCH_2O)-Ph	oil*
37		MeO	H	O	3-(3-F-PhCH_2O)-Ph	oil*
38		MeO	H	O	3-(3-CF_3-PhCH_2O)-Ph	oil*
39	Ex. 13	MeO	H	O	3-(2-Cl-PhCH_2O)-Ph	112-114
40		MeO	H	O	3-(3-Cl-PhCH_2O)-Ph	oil*
41		MeO	H	O	3-(3,5-diCl-PhCH_2O)-Ph	oil*
42		MeO	H	O	3-(2-pyridinyl-CH_2O)-Ph	oil*
43		MeO	H	O	3-(4-pyridinyl-CH_2O)-Ph	oil*
44		MeO	H	O	3-(3,3-diF-2-MeO-1-cyclobuten-1-yl)-1,2,4-thiadiazol-5-yl	oil*
45	Ex. 2	MeO	H	O	3-[1-(4-Cl-Ph)-cyclopropyl]-1,2,4-thiadiazol-5-yl	123-124
46		MeO	H	O	3-(1-Ph-cyclopropyl)-1,2,4-thiadiazol-5-yl	solid*
47	Ex. 10	MeO	H	O	3-($(EtO)_2CH$)-1,2,4-thiadiazol-5-yl	*
48		MeO	H	O	3-(1,2,3,4-tetrahydro-1-naphthalenyl-O)-Ph	oil*
49		MeO	H	O	4-Cl-5-CHO-2-thiazolyl	98-101
50		MeO	6-Me	O	3-(2-F-PhS)-Ph	oil*
51		MeO	H	O	3-(4-F-Ph-C≡C)-1,2,4-thiadiazol-5-yl	*
52	Ex. 1	MeO	H	O	3-(2-pyridinyl-C≡C)-1,2,4-thiadiazol-5-yl	*
53	Ex. 20	MeO	H	CH_2ON=C(Me)	3-($(CF_3)_3Ge$)-Ph	oil*
54	Ex. 14	MeO	6-Me	O	3-[1-(4-Cl-Ph)-cyclopropyl]-1,2,4-thiadiazol-5-yl	119-121
55		MeO	4-MeO	O	3-[1-(4-Cl-Ph)-cyclopropyl]-1,2,4-thiadiazol-5-yl	150-151

Cmpd No.		X	R^{3a}	Y	Z	m.p. (°C)
56		MeO	6-Me	O	3-(1-Ph-cyclopropyl)-1,2,4-thiadiazol-5-yl	138-141
57		MeO	4-MeO	O	3-(1-Ph-cyclopropyl)-1,2,4-thiadiazol-5-yl	oil*
58		MeO	H	O	3-(2-Cl-4-F-$PhCH_2O$)-Ph	oil*
59		MeO	H	O	3-(2,5-diF-$PhCH_2O$)-Ph	83-86
60		MeO	H	O	3-(2,3-diF-$PhCH_2O$)-Ph	oil*
61		MeO	H	O	3-(3,5-diCl-$PhOCH_2$)-Ph	oil*
62		MeO	H	O	3-(4-thiomorpholinyl-CH_2)-Ph	oil*
63		MeO	H	O	3-(2-naphthalenyl-CH_2)-1,2,4-thiadiazol-5-yl	*
64		MeO	H	O	4-Cl-5-$C(O)NH_2$-2-thiazolyl	solid*
65		MeO	H	O	3-(*t*-BuC(=O)O)-1,2,4-thiadiazol-5-yl	137-138
66	Ex. 5	MeO	H	O	3-(2-Cl-$PhCH_2O$)-1,2,4-thiadiazol-5-yl	107-108
67	Ex. 19	MeO	H	O	3-($CF_3S(O)_2O$)-Ph	oil*
68		MeO	H	$CH_2ON=C(Me)$	3-($PhCH_2O$)-Ph	oil*
69		MeO	H	O	4-(3-CF_3-Ph)-5-CO_2H-2-thiazolyl	204-205 (dec)
70		MeO	H	$CH_2ON=C(Me)$	3-($HC\equiv CCH_2O$)-Ph	oil*
71	Ex. 17	MeO	H	$CH_2ON=C(Me)$	3-(*t*-BuOC(=O)CH_2O)-Ph	oil*
72		MeO	H	O	3-[3,5-($CF_3S(O)_2O)_2$-Ph]-1,2,4-thiadiazol-5-yl	*
73		MeO	H	$CH_2ON=C(Me)$	3-(MeOC(=O)CH_2O)-Ph	oil*
74		MeO	H	O	3-($(EtO)_2CHC\equiv C$)-Ph	oil*
75		MeO	H	O	4-(3,5-diCF_3-Ph)-5-CHO-2-thiazolyl	solid*
76		MeO	H	O	3-($(EtO)_2CHC\equiv C$)-1,2,4-thiadiazol-5-yl	55-58
77		MeO	H	O	3-((*t*-BuO)$_2$CHC≡C)-1,2,4-thiadiazol-5-yl	115-116
78	Ex. 9	MeO	H	O	3-($CF_3S(O)_2O$)-1,2,4-thiadiazol-5-yl	*
79		MeO	H	O	3-(2,5-diCl-$PhS(O)_2O$)-1,2,4-thiadiazol-5-yl	*
80		MeO	H	O	3-(4-Br-$PhS(O)_2O$)-1,2,4-thiadiazol-5-yl	*
81		MeO	H	O	3-($Me_2C(OH)C\equiv C$)-Ph	165-167
82		MeO	H	O	3-((*t*-BuO)$_2$CHC≡C)-Ph	oil*

Cmpd No.		X	R^{3a}	Y	Z	m.p. (°C)
83		MeO	H	O	3-($Me_2C(OH)C\equiv C$)-1,2,4-thiadiazol-5-yl	oil*
84		MeO	H	O	3-(bicyclo[4.2.0]octa-1,3,5-trien-7-yl)-1,2,4-thiadiazol-5-yl	139-140
85		MeO	H	O	3-($Ph_2C(Me)$)-1,2,4-thiadiazol-5-yl	solid*
86		MeO	H	O	3-(4-Br-Ph-$C(Me)_2$)-1,2,4-thiadiazol-5-yl	solid*
87		MeO	H	O	3-(2-naphthalenyl-$C(Me)_2$)-1,2,4-thiadiazol-5-yl	solid*
88		MeO	H	O	3-(3,5-diF-Ph-$C(Me)_2$)-1,2,4-thiadiazol-5-yl	*
89		MeO	H	O	3-(3,5-diCF_3-Ph-$C(Me)_2$)-1,2,4-thiadiazol-5-yl	*
90		MeO	H	O	3-(3-CF_3-Ph-$C(Me)_2$)-1,2,4-thiadiazol-5-yl	oil*
91	Ex. 6	MeO	H	O	3-($Me_2C(CN)$)-1,2,4-thiadiazol-5-yl	solid*
92		MeO	H	O	3-(3-Cl-Ph-$C(Me)_2$)-1,2,4-thiadiazol-5-yl	*
93		MeO	H	O	3-(3-MeO-Ph-$C(Me)_2$)-1,2,4-thiadiazol-5-yl	oil*
94		MeO	H	O	3-(4-Cl-Ph-$C(Me)_2$)-1,2,4-thiadiazol-5-yl	oil*
95		MeO	6-Me	O	6-(1*H*-indazol-1-yl)-4-pyrimidinyl	175-179
96	Ex. 7	MeO	H	O	3-($PhCH_2O$)-1,2,4-thiadiazol-5-yl	*
97	Ex. 8	MeO	H	O	3-HO-1,2,4-thiadiazol-5-yl	oil*
98	Ex. 15	Cl	H	$CH_2ON=C(Me)$	3-HO-Ph	oil*
99	Ex. 16	MeO	H	$CH_2ON=C(Me)$	3-HO-Ph	oil*
100		MeO	H	O	3-(4-Cl-Ph$OC(Me)_2$)-1,2,4-thiadiazol-5-yl	*
101		MeO	6-Me	O	3-($Me_3SiC\equiv C$)-1,2,4-thiadiazol-5-yl	*
102		MeO	H	O	3-($Me_3SiC\equiv C$)-1,2,4-thiadiazol-5-yl	*
103		MeO	H	O	3-($Me_3SiC\equiv C$)-Ph	*
104		MeO	H	O	6-(2-Cl-$PhCH_2O$)-4-pyrimidinyl	133-135
105		MeO	6-Me	O	6-(2-Cl-$PhCH_2O$)-4-pyrimidinyl	135-137
106		MeO	6-Me	O	6-(3,5-diF-$PhCH_2O$)-4-pyrimidinyl	oil*
107		MeO	6-Me	O	6-(2,3-diF-$PhCH_2O$)-4-pyrimidinyl	oil*
108		MeO	H	O	6-(2,4-diF-$PhCH_2O$)-4-pyrimidinyl	oil*

Cmpd No.	X	R^{3a}		Y	Z	m.p. (°C)
109	MeO	H	O		6-(2,3-diF-$PhCH_2O$)-4-pyrimidinyl	oil*
110	MeO	H	O		6-(2-Cl-$PhCH_2CH_2O$)-4-pyrimidinyl	oil*
111	MeO	6-Me	O		6-(2-Cl-$PhCH_2CH_2O$)-4-pyrimidinyl	oil*
112	MeO	H	O		6-(4-Me-$PhCH_2O$)-4-pyrimidinyl	oil*
113	MeO	6-Me	O		6-(4-Me-$PhCH_2O$)-4-pyrimidinyl	oil*
114	MeO	H	O		6-(2,4-diCl-$PhOCH_2CH_2O$)-4-pyrimidinyl	oil*
115	MeO	6-Me	O		6-(2,4-diCl-$PhOCH_2CH_2O$)-4-pyrimidinyl	oil*
116	MeO	H	O		6-(3,5-diCF_3-$PhCH_2O$)-4-pyrimidinyl	oil*
117	MeO	6-Me	O		6-(3,5-diCF_3-$PhCH_2O$)-4-pyrimidinyl	141-143
118	MeO	H	O		6-(3-CF_3-$PhCH_2CH_2O$)-4-pyrimidinyl	oil*
119	MeO	6-Me	O		6-(3-CF_3-$PhCH_2CH_2O$)-4-pyrimidinyl	oil*
120	MeO	H	O		6-(1-naphthalenyl-CH_2CH_2O)-4-pyrimidinyl	oil*
121	MeO	6-Me	O		6-(1-naphthalenyl-CH_2CH_2O)-4-pyrimidinyl	oil*
122	MeO	H	O		6-(4-pyridinylCH_2O)-4-pyrimidinyl	oil*
123	MeO	6-Me	O		6-(4-pyridinylCH_2O)-4-pyrimidinyl	oil*
124	MeO	H	O		6-($MeOCH_2CH_2O$)-4-pyrimidinyl	oil*
125	MeO	6-Me	O		6-($MeOCH_2CH_2O$)-4-pyrimidinyl	oil*
126	MeO	6-Me	O		6-(2-Me-$PhCH_2O$)-4-pyrimidinyl	oil*
127	MeO	6-Me	O		6-(3-Cl-$PhCH_2S$)-4-pyrimidinyl	oil*

*See Index Table C for ^1H NMR data.

INDEX TABLE C

Cmpd No.	^1H NMR Data ($CDCl_3$ solution unless indicated otherwise)[a]
1	δ 7.52 (d,1H), 7.42 (m,2H), 7.32 (m,2H), 6.72 (m,3H), 5.24 (AB q,2H), 3.94 (d,3H), 3.44 (d,3H), 2.16 (d,3H).
11	δ 7.8 (d,1H), 7.5 (t,1H), 7.42 (m,2H), 3.86 (s,3H), 3.44 (s,3H), 2.1 (br s,3H), 2.04 (br m,6H), 1.79 (br m,6H).
12	δ 7.7 (s,1H), 7.6 (m,2H), 7.4-7.5 (m,3H), 7.4 (t,1H), 7.2 (d,2H), 5.2-5.3 (q,2H), 3.882 (s,3H), 3.401 (s,3H), 2.201 (s,3H), 2.0 (m,2H), 1.0 (m,2H), 0.32 (s,5.5H).
13	δ 7.6 (m,3H), 7.4 (m,4H), 7.2 (d,1H), 6.9 (d,1H), 5.2 (q,2H), 5.061 (s,2H), 3.868 (s,3H), 3.405 (s,3H), 2.174 (s,3H), 0.271 (s,7H).

15	δ 7.5-7.6 (m,5H), 7.4 (t,3H), 7.226 (s,2H), 7.15 (d,1H), 6.9 (d,1H), 5.1-5.3 (q,2H), 5.058 (s,2H), 3.463 (s,3H), 2.156 (s,3H), 0.271 (s,9H).
17	δ 1.2-1.4 (m,3H), 1.4-1.6 (m,2H), 1.7-1.8 (m,3H), 1.9-2.0 (m,2H), 3.39 (s,3H), 3.86 (s,3H), 4.2 (m,1H), 6.5-6.6 (m,2H), 6.65 (m,1H), 7.0 (m,1H), 7.2 (m,2H), 7.3-7.4 (m,2H).
20	δ 3.38 (s,3H), 3.80 (s,3H), 6.8-6.9 (m,1H), 6.9-7.1 (m,2H), 7.2-7.4 (m,10H).
21	δ 3.38 (s,3H), 3.85 (s,3H), 4.99 (s,2H), 6.6 (m,2H), 6.7 (m,1H), 7.0 (m,1H), 7.2 (m,2H), 7.3-7.4 (m,6H).
22	δ 3.38 (s,3H), 3.85 (s,3H), 5.08 (s,2H), 6.65 (m,2H). 6.75 (m,1H), 7.0 (m,1H), 7.2-7.3 (m,3H), 7.3-7.4 (m,3H), 7.50 (m,1H).
23	δ 3.38 (s,3H), 3.84 (s,3H), 5.23 (s,2H), 6.6-6.75 (m,3H). 7.0 (m,1H), 7.2 (m,2H), 7.3-7.5 (m,3H), 7.6 (m,1H), 7.7 (m,2H).
24	δ 3.37 (s,3H), 3.85 (s,3H), 5.08 (s,2H), 6.6-6.7 (m,2H). 6.7 (m,1H), 7.0 (m,1H), 7.2-7.3 (m,2H), 7.3-7.4 (m,2H), 7.52 (d,J=8.1 Hz,2H), 7.62 (d,J=8.2 Hz,2H).
25	δ 2.31 (s,3H), 2.32 (s,3H), 3.39 (s,3H), 3.85 (s,3H), 5.30 (s,2H), 6.6 (m,2H). 6.68 (m,1H), 6.75 (m,1H), 7.0-7.1 (m,3H), 7.2 (m,3H), 7.35-7.40 (m,2H).
26	δ 3.38 (s,3H), 3.84 (s,3H), 5.07 (s,2H), 6.6-6.7 (m,2H). 6.75 (m,1H), 6.9 (m,2H), 7.05 (m,1H), 7.2-7.3 (m,2H), 7.3-7.4 (m,3H).
28	δ 3.38 (s,3H), 3.85 (s,3H), 4.97 (s,2H), 6.6-6.7 (m,2H). 6.75 (m,1H), 7.0-7.1 (m,3H), 7.2-7.3 (m,2H), 7.3-7.4 (m,4H).
29	δ 8.50 (d,1H), 7.80 (s,1H), 7.60 (d,1H), 7.50-7.40 (m,2H), 7.28-7.24 (m,2H), 5.3 (dd,2H), 3.90 (s,3H), 3.43 (s,3H), 2.27 (s,3H), 0.27 (s,9H).
31	δ 2.36 (s,3H), 3.38 (s,3H), 3.84 (s,3H), 4.97 (s,2H), 6.6-6.7 (m,2H). 6.75 (m,1H), 7.0 (m,1H), 7.1-7.3 (m;6H), 7.3-7.4 (m,2H).
32	δ 2.35 (s,3H), 3.38 (s,3H), 3.84 (s,3H), 4.97 (s,2H), 6.6-6.7 (m,2H). 6.75 (m,1H), 7.0 (m,1H), 7.2-7.25 (m,4H), 7.3-7.4 (m,4H).
33	δ 3.38 (s,3H), 3.86 (s,3H), 5.21 (s,2H), 6.6-6.7 (m,2H). 6.7 (m,1H), 6.75 (m,1H), 7.0-7.1 (m,1H), 7.2-7.3 (m,2H), 7.35-7.50 (m,3H) 7.6-7.8 (m,2H).
35	δ 3.37 (s,3H), 3.86 (s,3H), 5.00 (s,2H), 6.6-6.8 (m,4H), 6.9-7.0 (m,3H), 7.2-7.3 (m,2H), 7.3-7.4 (m,2H).
36	δ 3.38 (s,3H), 3.84 (s,3H), 5.08 (s,2H), 6.6-6.7 (m,2H), 6.75 (m,1H), 7.0-7.25 (m,5H), 7.3-7.4 (m,3H), 7.48 (m,1H).
37	δ 3.38 (s,3H), 3.85 (s,3H), 5.01 (s,2H), 6.6-6.7 (m,2H), 6.75 (m,1H), ,7.0 (m,2H), 7.1-7.3 (m,4H), 7.3-7.4 (m,3H).

38	δ 3.38 (s,3H), 3.85 (s,3H), 5.06 (s,2H), 6.6-6.7 (m,2H), 6.75 (m,1H), 7.0 (m,1H), 7.2-7.3 (m,2H), 7.3-7.4 (m,2H), 7.5 (m,1H), 7.6 (m,2H), 7.67 (m,1H).
40	δ 3.38 (s,3H), 3.85 (s,3H), 4.99 (s,2H), 6.6 (m,2H), 6.7 (m,1H), 7.0 (m,1H), 7.2-7.4 (m,8H).
41	δ 3.38 (s,3H), 3.86 (s,3H), 4.96 (s,2H), 6.6-6.7 (m,3H), 7.0 (m,1H), 7.2-7.3 (m,5H), 7.3-7.4 (m,3H).
42	δ 3.38 (s,3H), 3.84 (s,3H), 5.16 (s,2H), 6.6 (m,1H), 6.7 (s,1H), 6.75 (m,1H), 7.0 (m,1H), 7.2-7.3 (m,3H), 7.35 (m,2H), 7.5 (m,1H), 7.70 (m,1H), 8.6 (m,1H).
43	δ 3.37 (s,3H), 3.86 (s,3H), 5.04 (s,2H), 6.6-6.65 (m,2H), 6.70 (m,1H), 7.0 (m,1H), 7.2-7.3 (m,2H), 7.3-7.4 (m,4H), 8.6 (dd,J=1.5,4.5 Hz,2H).
44	δ 7.54 (m,2H), 7.46 (m,2H), 4.06 (s,3H), 3.83 (s,3H), 3.39 (s,3H), 3.02 (m,2H).
46	δ 7.53 (m,2H), 7.45 (m,4H), 7.36 (m,3H), 3.81 (s,3H), 3.41 (s,3H), 1.65 (m,2H), 1.35 (m,2H).
47	δ 7.6-7.4 (m,4H), 5.53 (s,1H), 3.81 (s,3H), 3.8-3.65 (m,4H), 3.40 (s,3H), 1.23 (t,6H).
48	δ 1.8 (m,1H), 2.0 (m,2H), 2.1 (m,1H), 2.7-2.9 (m,2H), 3.38 (s,3H), 3.85 (s,3H), 5.35 (s,1H), 6.6 (m,1H), 6.7 (m,1H), 6.8 (m,1H), 7.0 (m,1H), 7.15-7.3 (m,5H), 7.3-7.4 (m,3H).
50	δ 2.26 (s,3H), 3.39 (s,3H), 3.81 (s,3H), 6.8 (m,2H), 6.93 (t,J=1.8 Hz,1H), 6.98 (d,J=7.7 Hz,1H), 7.05-7.11 (m,3H), 7.2-7.4 (m,4H).
51	δ 7.6-7.55 (m,4H), 7.5 (m,2H), 7.1 (t,2H), 3.84 (s,3H), 3.40 (s,3H).
52	δ 8.65 (d,1H), 7.7 (m,1H), 7.65-7.5 (m,3H), 7.5-7.4 (m,2H), 7.3 (m,1H), 3.84 (s,3H), 3.40 (s,3H).
53	δ 7.941 (s,1H), 7.7 (d,1H), 7.55 (m,2H), 7.4-7.5 (m,2H), 7.4-7.5 (m,2H), 7.1 (t,2H), 5.2-5.4 (q,2H), 3.889 (s,3H), 3.413 (s,3H), 2.152 (s,3H).
57	δ 7.44 (m,2H), 7.32 (m,4H), 7.09 (d,J=2.6 Hz,1H), 6.91 (dd,J=8.8,2.6 Hz,1H), 3.81 (s,6H), 3.40 (s,3H), 1.65 (m,2H), 1.35 (m,2H).
58	δ 3.38 (s,3H), 3.85 (s,3H), 5.09 (s,2H), 6.6-6.7 (m,2H), 6.7-6.8 (m,1H), 6.9-7.1 (m,2H), 7.2-7.3 (m,2H), 7.3-7.4 (m,4H).
59	δ 3.38 (s,3H), 3.85 (s,3H), 5.06 (s,2H), 6.6-6.8 (m,3H), 6.95-7.05 (m,4H), 7.2-7.3 (m,2H), 7.3-7.4 (m,2H).
60	δ 3.38 (s,3H), 3.85 (s,3H), 5.09 (s,2H), 6.6-6.8 (m,3H), 7.0 (m,1H), 7.1 (m,2H), 7.2-7.3 (m,3H), 7.3-7.4 (m,2H).
61	δ 3.37 (s,3H), 3.85 (s,3H), 4.99 (s,2H), 6.81 (m,2H), 7.0 (m,3H), 7.05 (m,1H), 7.1-7.2 (m,2H), 7.3-7.4 (m,3H).

62	δ 2.60-2.75 (m,8H), 3.38 (s,3H), 3.48 (s,2H), 3.88 (s,3H), 6.85-7.00 (m,3H), 7.07 (d,J=7.7 Hz,1H), 7.15-7.30 (m,2H), 7.36 (dd,J=1.6,7.7 Hz,2H).
63	δ 7.79 (m,4H), 7.55-7.4 (m,7H), 4.28 (s,2H), 3.68 (s,3H), 3.37 (s,3H).
64	δ 7.55 (m,2H), 7.45 (m,2H), 3.87 (s,3H), 3.40 (s,3H).
67	δ 7.42 (m,3H), 7.3 (m,1H), 7.04 (m,3H), 6.96 (t,1H), 3.83 (s,3H), 3.38 (m,3H).
68	δ 7.6 (m,1H), 7.4 (m,7H), 7.24 (m,4H), 6.86 (d,1H), 5.23 (s,2H), 5.08 (q,2H), 3.89 (s,3H), 3.37 (s,3H), 2.23 (s,3H).
70	δ 7.6 (m,1H), 7.46 (m,2H), 7.24 (m,4H), 6.88 (m,1H), 5.08 (q,2H), 4.785 (q,2H), 3.92 (s,3H), 3.39 (s,3H), 2.48 (t,1H), 2.23 (s,3H).
71	δ 7.6 (m,1H), 7.45 (m,2H), 7.23 (m,4H), 6.87 (m,1H), 5.09 (AB q,2H), 4.63 (s,2H), 3.91 (s,3H), 3.39 (s,3H), 2.28 (s,3H), 1.48 (s,9H).
72	δ 8.16 (s,2H), 7.60 (m,2H), 7.51 (m,2H), 7.31 (m,1H), 3.84 (s,3H), 3.38 (s,3H).
73	δ 7.61 (m,1H), 7.45 (m,2H), 7.23 (m,4H), 6.88 (m,1H), 5.075 (m,2H), 4.745 (s,2H), 3.915 (s,3H), 3.77 (s,3H), 3.39 (s,3H), 2.28 (s,3H).
74	δ 7.37 (m,2H), 7.25 (m,3H), 7.11 (s,1H), 6.99 (m,2H), 5.46 (s,1H), 3.83 (s,3H), 3.79 (m,2H), 3.64 (m,2H), 3.38 (s,3H), 1.26 (t,3H).
75	δ 9.9 (s,1H), 8.15 (s,2H), 8.0 (s,1H), 7.6 (m,2H), 7.5 (m,2H), 3.9 (s,3H), 3.4 (s,3H).
78	δ 7.6-7.4 (m,4H), 3.84 (s,3H), 3.41 (s,3H).
79	δ 8.06 (s,1H), 7.6-7.4 (m,6H), 3.82 (s,3H), 3.40 (s,3H).
80	δ 7.88 (d,2H), 7.7-7.3 (m,6H), 3.81 (s,3H), 3.40 (s,3H).
82	δ 7.36 (d,2H), 7.21 (m,3H), 7.08 (s,1H), 6.97 (d,2H), 5.61 (s,1H), 3.84 (s,3H), 3.38 (s,3H), 1.35 (s,18H).
83	δ 7.55 (m,2H), 7.46 (m,2H), 3.84 (s,3H), 3.40 (s,3H), 2.18 (s,1H), 1.60 (s,6H).
85	δ 7.55-7.40 (m,4H), 7.29 (m,3H), 7.25-7.20 (m,7H), 3.62 (s,3H), 3.39 (s,3H), 2.18 (s,3H).
86	δ 7.52 (m,2H), 7.42 (m,4H), 7.22 (d,2H), 3.69 (s,3H), 3.38 (s,3H), 1.75 (s,6H).
87	δ 7.79 (m,4H), 7.50-7.40 (m,7H), 3.62 (s,3H), 3.35 (s,3H), 1.88 (s,6H).
88	δ 7.53 (m,2H), 7.46 (m,2H), 6.85 (m,2H), 6.65 (m,1H), 3.72 (s,3H), 3.38 (s,3H), 1.75 (s,6H).
89	δ 7.80 (s,2H), 7.74 (s,1H), 7.50 (m,2H), 7.45 (m,2H), 3.71 (s,3H), 3.38 (s,3H), 1.83 (s,6H).
90	δ 7.60 (s,1H), 7.51-7.41 (m,7H), 3.66 (s,3H), 3.38 (s,3H), 1.80 (s,6H).

91	δ 7.57 (m,2H), 7.48 (m,2H), 3.83 (s,3H), 3.40 (s,3H), 1.77 (s,6H).
92	δ 7.50-7.40 (m,4H), 7.30-7.20 (m,4H), 3.67 (s,3H), 3.38 (s,3H), 1.76 (s,6H).
93	δ 7.53 (m,2H), 7.45 (m,2H), 7.21 (m,1H), 6.89 (m,2H), 6.75 (d,1H), 3.77 (s,3H), 3.64 (s,3H), 3.38 (s,3H), 1.77 (s,6H).
94	δ 7.51 (m,2H), 7.45 (m,3H), 7. 26 (m,3H), 3.68 (s,3H), 3.38 (s,3H), 1.76 (s,6H).
96	δ 7.6-7.3 (m,9H), 5.37 (s,2H), 3.79 (s,3H), 3.40 (s,3H).
97	δ 7.3-7.1 (m,4H), 3.98 (s,1H), 3.89 (s,3H), 3.41 (s,3H).
98	δ 8.09 (br s,1H), 7.62 (d,1H), 7.51 (m,2H), 7.22 (m,4H), 6.9 (d,1H), 5.08 (q,2H), 3.44 (s,3H), 2.25 (m,4H).
99	δ 7.6 (dd,1H), 7.45 (m,2H), 7.25 (m,3H), 7.19 (m,2H), 6.85 (dd,1H), 5.08 (AB q,2H), 3.92 (s,2H), 3.39 (s,3H), 2.24 (s,3H).
100	δ 7.49 (m,2H), 7.45 (m,2H), 7.15 (d,1H), 6.68 (d,1H), 3.80 (s,3H), 3.37 (s,3H), 1.75 (s,6H).
101	δ 7.42 (m,1H), 7.30 (m,2H), 3.82 (s,3H), 3.40 (s,3H), 2.29 (s,3H), 0.25 (s,9H).
102	δ 7.54 (m,2H), 7.46 (m,2H), 3.83 (s,3H), 3.39 (s,3H), 0.25 (s,9H).
103	δ 7.36 (m,2H), 7.22 (m,2H), 7.09 (s,1H), 6.98 (d,2H), 3.84 (s,3H), 3.39 (s,3H), 0.25 (s,9H).
106	δ 8.44 (s,1H), 7.43 (m, 2H), 7.22 (m,1H), 7.11 (m,1H), 6.86 (m,2H), 6.22 (d, 1H), 5.43 (s,2H), 3.78 (s,3H), 3.33 (s,3H), 2.27 (s,3H).
107	δ 8.44 (s,1H), 7.39 (m, 1H), 7.16 (m,5H), 6.24 (s, 1H), 5.5 (s,2H), 3.78 (s,3H), 3.33 (s,3H), 2.27 (s,3H).
108	δ 8.45 (m,1H), 7.39 (m, 5H), 6.86 (m,2H), 6.26 (m, 1H), 5.44 (s,2H), 3.77 (s,3H), 3.33 (s,3H).
109	δ 8.44 (s,1H), 7.32 (m, 7H), 6.27 (m, 1H), 5.51 (s,2H), 3.77 (s,3H), 3.33 (s,3H).
110	δ 8.4 (d,1H), 7.35 (m, 8H), 6.2 (d, 1H), 4.58 (t,2H), 3.77 (s,3H), 3.33 (s,3H), 3.2 (t,2H).
111	δ 8.4 (d,1H), 7.25 (m, 7H), 6.17 (d, 1H), 4.57 (t,2H), 3.78 (s,3H), 3.34 (s,3H), 3.21 (t,2H), 2.27 (s,3H).
112	δ 8.43 (d,1H), 7.41 (m, 6H), 7.19 (d,2H), 6.24 (m, 1H), 5.38 (s,2H), 3.76 (s,3H), 3.33 (s,3H), 2.36 (s,3H).
113	δ 8.43 (d,1H), 7.35 (m, 3H), 7.2 (m,3H), 7.09 (m,1H), 6.21 (d,1H), 5.37 (AB q,2H), 3.76 (s,3H), 3.33 (s,3H), 2.35 (s,3H), 2.27 (s,3H).
114	δ 8.42 (s,1H), 7.4 (m, 5H), 7.19 (m,1H), 6.89 (d,1H), 6.27 (s, 1H), 4.76 (t,2H), 4.34 (t,2H), 3.79 (s,3H), 3.34 (s,3H).

115	δ 8.42 (d,1H), 7.38 (m, 4H), 7.17 (m,1H), 6.89 (d,1H), 6.24 (s, 1H), 4.75 (t,2H), 4.33 (t,2H), 3.79 (s,3H), 3.34 (s,3H), 2.27 (s,3H).
116	δ 8.43 (s,1H), 7.87 (m,3H), 7.41 (m, 4H), 6.34 (AB q,2H), 3.78 (s,3H), 3.33 (s,3H).
118	δ 8.41 (s,1H), 7.4 (m, 8H), 6.19 (s, 1H), 4.58 (t,2H), 3.77 (s,3H), 3.33 (s,3H), 3.13 (t,2H).
119	δ 8.4 (s,1H), 7.44 (m, 5H), 7.2 (d,1H), 7.09 (d,1H), 6.17 (s, 1H), 4.57 (t,2H), 3.77 (s,3H), 3.33 (s,3H), 3.13 (t,2H), 2.27 S,3H).
120	δ 8.42 (d,1H), 8.14 (m,1H), 7.85 (d,1H), 7.77, (m,1H), 7.47 (m, 6H), 7.32 (m,2H), 6.2 (d, 1H), 4.68 (m,2H), 3.76 (s,3H), 3.54 (t,2H), 3.33 (s,3H).
121	δ 8.42 (d,1H), 8.15 (d,1H), 7.85 (d,1H), 7.75, (m,1H), 7.48 (m, 2H), 7.38 (m,3H), 7.22 (m,1H), 7.09 (d,1H), 6.18 (s, 1H), 4.68 (m,2H), 3.77 (s,3H), 3.54 (t,2H), 3.33 (s,3H), 2.27 (s,3H).
122	δ 8.7 (d,1H), 8.59 (m,1H), 8.44 (s,1H), 7.77, (m,1H), 7.41 (m, 5H), 6.27 (d, 1H), 5.45 (s,2H), 3.78 (s,3H), 3.33 (s,3H).
123	δ 8.7 (s,1H), 8.59 (d,1H), 8.43 (d,1H), 7.77, (m,1H), 7.32 (m, 3H), 7.11 (m,1H), 6.24 (m, 1H), 5.44 (s,2H), 3.78 (s,3H), 3.33 (s,3H), 2.27 (s,1H).
124	δ 8.4 (d,1H), 7.4 (m, 4H), 6.27 (d, 1H), 4.52 (m,2H), 3.78 (s,3H), 3.72 (m,2H), 3.42 (s,3H), 3.33 (s,3H).
125	δ 8.4 (s,1H), 7.38 (t, 1H), 7.2 (d,1H), 7.1 (d,1H), 6.22 (d, 1H), 4.5 (m,2H), 3.78 (s,3H), 3.7 (m,2H), 3.42 (s,3H), 3.35 (s,3H), 2.27 (s,3H).
126	δ 8.45 (d,1H), 7.39 (m, 2H), 7.23 (m,4H), 7.11 (d,1H), 6.22 (d,1H), 5.41 (AB q,2H), 3.77 (s,3H), 3.33 (s,3H), 2.38 (s,3H), 2.27 (s,3H).
127	δ 8.59 (d,1H), 7.52 (m, 1H), 7.38 (m,2H), 7.22 (m,3H), 7.09 (m,1H), 6.68 (d,1H), 4.57 (AB q,2H), 3.75 (s,3H), 3.32 (s,3H), 2.04 (s,3H).

[a] ^{1}H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (q)-quartet, (m)-multiplet, (AB q)-AB quartet, (dd)-doublet of doublets, (br s)-broad singlet and (br m)-broad multiplet.

BIOLOGICAL EXAMPLES OF THE INVENTION

Test compounds were first dissolved in acetone in an amount equal to 3% of the final volume and then suspended at a concentration of 200 ppm in purified water containing 250 ppm of the surfactant Trem® 014 (polyhydric alcohol esters). The resulting test suspensions were then used in Tests A-F. Spraying these 200 ppm test suspensions to the point of run-off on the test plants is the equivalent of a rate of 500 g/ha.

TEST A

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore dust of Erysiphe graminis f. sp. tritici, (the causal agent of wheat powdery mildew) and incubated in a growth chamber at 20°C for 7 days, after which disease ratings were made.

TEST B

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore suspension of Puccinia recondita (the causal agent of wheat leaf rust) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 6 days, after which disease ratings were made.

TEST C

The test suspension was sprayed to the point of run-off on rice seedlings. The following day the seedlings were inoculated with a spore suspension of Pyricularia oryzae (the causal agent of rice blast) and incubated in a saturated atmosphere at 27°C for 24 h, and then moved to a growth chamber at 30°C for 5 days, after which disease ratings were made.

TEST D

The test suspension was sprayed to the point of run-off on tomato seedlings. The following day the seedlings were inoculated with a spore suspension of Phytophthora infestans (the causal agent of potato and tomato late blight) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

TEST E

The test suspension was sprayed to the point of run-off on grape seedlings. The following day the seedlings were inoculated with a spore suspension of Plasmopara viticola (the causal agent of grape downy mildew) and incubated in a saturated atmosphere at 20°C for 24 h, moved to a growth chamber at 20°C for 6 days, and then incubated in a saturated atmosphere at 20°C for 24 h, after which disease ratings were made.

TEST F

The test suspension was sprayed to the point of run-off on cucumber seedlings. The following day the seedlings were inoculated with a spore suspension of *Botrytis cinerea* (the causal agent of gray mold on many crops) and incubated in a saturated atmosphere at 20°C for 48 h, and moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

Results for Tests A-F are given in Table A. In the table, a rating of 100 indicates 100% disease control and a rating of 0 indicates no disease control (relative to the

controls). A dash (-) indicates no test results. ND indicates disease control not determined due to phytotoxicity.

Table A

Cmpd No.	Test A	Test B	Test C	Test D	Test E	Test F
1	75	85	0	0	0[a]	0
2	21[b]	37[b]	0[b]	-	7[b]	-
3	0	0	0	21	5[b]	68
4	0	24	0	21	5[b]	68
5	0	85	0	21	16[b]	44
6	60	24	0	21	7[b]	4
7	0	0	0	0	-	26
8	0	0	0	0	-	32
9	99	100	74	96	94[a]	0
10	85	85	32	22	28[a]	39
11	94	99	0	92	67[a]	39
12	62	27	0	31	23[a]	64
13	92	97	91	31	28[a]	38
14	0	0	0	53	-	0
15	86	85	0	3	-	0
16	99	100	91	93	92[a]	0
17	100	100	91	64	72[a]	0
18	89	94	0	84	46[a]	7
19	0	0	0	0	12[b]	0
20	100	100	53	96	87[a]	46
21	99	99	90	100	94[a]	97
22	99	100	78	100	100[a]	87
23	99	100	96	100	78[a]	77
24	99	97	78	92	51[a]	0
25	99	100	90	100	80[a]	96
26	99	100	78	61	100[a]	60
27	97	100	60	61	88[a]	31
28	98	100	90	75	71[a]	31
29	89	100	74	47	15[a]	0
30	99	100	86	96	72[a]	0
31	99	100	86	59	75[a]	1
32	32[c]	84[a]	38[b]	84[b]	93[a]	-
33	98	100	94	92	75[a]	43

34	75	100	86	96	100[a]	81
35	99	100	99	92	96[a]	1
36	100	100	94	96	100[a]	81
37	99	99	94	84	95[a]	43
38	100	100	74	59	55[a]	1
39	99	99	97	83[b]	100[a]	0
40	99	100	74	99	67[a]	43
41	98	100	78	61	99[a]	77
42	63	85	0	82	47[a]	77
43	0	67	0	54	10[a]	0
44	99[d]	99[d]	78[d]	ND	12[a]	87[d]
45	77	100	73	ND	100[a]	0
46	100	99	85	94[b]	100[a]	0
47	38	26	28	32	16[a]	0
48	97	99	97	91	100[a]	31
49	61	68	0	83	-	0
50	99	100	93	100	45[a]	0
51	100	100	73	99	35[a]	55
52	95	85	51	73	11[a]	22
53	100	100	85	84	100[a]	22
54	99	100	97	ND	100[a]	0
55	73	99	53	65	100[a]	0
56	99	100	94	ND	100[a]	0
57	96	100	53	0	100[a]	0
58	100	100	94	-	100[a]	0
59	90	100	97	79	42[a]	0
60	98	100	99	89	77[a]	42
61	26	85	0	79	55[a]	42
62	0	99	32	79	12[a]	0
63	98	100	32	ND	99[a]	0
64	0	0	0	22	-	0
65	28	68	0	0	0[a]	0
66	99	100	86	0	85[a]	0
67	100	100	91	24	27[a]	55
68	88	100	53	86	59[a]	69
69	62	0	0	0	-	0
70	91	99	32	74	43[a]	82
71	60	99	53	17	73[a]	0

72	91	93	32	0	-	0
73	57	94	0	23	38[a]	0
74	100	100	53	-	72[a]	0
75	99	99	94	-	61[a]	0
76	20[a]	97[d]	0[d]	0[d]	0[a]	0[d]
77	21[a]	99	6	0	82[a]	0
78	11[a]	86	35	0	19[a]	0
79	30[a]	97	91	0	0[a]	0
80	0[a]	97	64	0	6[a]	0
81	30	97	0	0	-	0
82	99	100	74	62	-	0
83	0	66	0	0	6[a]	0
84	94	99	86	58[e]	93[a]	0
85	83	93	32	0	71[a]	0
86	96	100	74	100[f]	100[a]	0
87	94	100	74	15	100[a]	0
88	96	99	86	100[f]	100[a]	0
89	98	97	53	100[f]	18[a]	0
90	97	100	32	39[e]	-	0
91	58	99	0	100[e]	12[a]	0
92	31	100	53	92[g]	96[a]	0
93	85	100	32	73[e]	87[a]	0
94	92[a]	98[a]	0[a]	-	99[a]	-
95	99	100	97	85[e]	100[a]	0
101	98	97	86	74	-	91
102	86	67	53	57	-	91
103	100	100	86	85	-	0
104	100	100	74	100[f]	96[a]	0
105	100	100	74	100[f]	100[a]	0
106	100	100	74	100[f]	97[a]	0
107	100	100	73	100[f]	3[a]	0
108	97	97	74	82[e]	97[a]	0
109	92	99	53	90	64[a]	49
110	86	97	53	2	22[a]	11
111	97	99	86	90	52[a]	49
112	99	99	52	62	50[a]	0
113	100	100	94	45	100[a]	0
114	100	100	99	75	11[a]	0

115	99	100	99	99	79[a]	0
116	98	100	94	94	64[a]	0
117	98	100	86	94	84[a]	20
118	83	100	86	51	50[a]	0
119	83	100	94	90	86[a]	0
120	90	100	53	68	76[a]	0
121	99	99	97	100[e]	99[a]	0
122	78	94	0	19	11[a]	0
123	87	97	0	61	4[a]	0
124	78	86	0	0	2[a]	0
125	95	99	0	19	4[a]	0
126	100	100	97	100[f]	84[a]	0
127	97	97	97	-	47[a]	94

[a] Compound was tested at 10 ppm (equivalent to 25 g/ha).

[b] Compound was tested at 40 ppm (equivalent to 100 g/ha).

[c] Compound was tested at 2 ppm (equivalent to 5 g/ha).

[d] Compound was tested at 100 ppm (equivalent to 250 g/ha).

[e] 20% burn on plant.

[f] 100% burn on plant.

[g] 50% burn on plant.

TEST G

Southern Corn Rootworm

Test units, each consisting of a 230-mL (8-ounce) plastic cup containing a 6.5-cm^2 (1-square-inch) plug of a wheatgerm diet, were prepared. Solutions of each of the test compounds in 75:25 acetone-distilled water solvent were sprayed into the tray and cup. Spraying was accomplished by passing the tray and cup on a conveyer belt directly beneath a flat fan hydraulic nozzle which discharged the spray at a rate of 0.138 kilograms of active ingredient per hectare (about 0.13 pounds per acre) at 207 kPa (30 p.s.i.). After the spray on the cups had dried, five second-instar larvae of the southern corn rootworm (Diabrotica undecimpunctata howardi) were placed into each cup. The cups were held at 27°C and 50% relative humidity for 48 hours, after which time mortality readings were taken. The same units were read again at 6-8 days for delayed toxicity. Of the compounds tested, the following gave control efficacy levels of 80% or greater: 44, 50, 54, 55, 56, 115 and 126.

TEST H

Contact Test Against Black Bean Aphid

Individual nasturtium leaves were infested with 10 to 15 aphids (all morphs and growth stages of Aphis fabae) and sprayed with their undersides facing up as described in TEST G. The leaves were then set in 0.94-cm (3/8-inch) diameter vials containing 4 mL of sugar solution (approximately 1.4 g per liter) and covered with a clear plastic 29-mL (1-ounce) cup to prevent escape of the aphids that drop from the leaves. The test units were held at 27°C and 50% relative humidity for 48 hours, after which time mortality readings were taken. Of the compounds tested, the following gave mortality levels of 80% or higher: 5.

TEST I

Two-Spotted Spider Mite

Pieces of kidney bean leaves, each approximately 6.5 cm^2 (1 square inch) in area, that had been infested on the undersides with 25 to 30 adult mites (*Tetranychus urticae*), were sprayed with their undersides facing up on a hydraulic sprayer with a solution of the test compound in 75:25 acetone-distilled water solvent. Spraying was accomplished by passing the leaves, on a conveyor belt, directly beneath a flat fan hydraulic nozzle which discharged the spray at a rate of 0.138 kilograms of active ingredient per hectare (about 0.13 pounds per acre) at 207 kPa (30 p.s.i.). The leaf squares were then placed underside-up on a square of wet cotton in a petri dish and the perimeter of the leaf square was tamped down onto the cotton with forceps so that the mites could not escape onto the untreated leaf surface. The test units were held at 27°C and 50% relative humidity for 48 hours, after which time mortality readings were taken. Of the compounds tested, the following gave mortality levels of 80% or higher: 12, 13, 45, 54, 86, 88, 89, 90, 111, 113, 114, 115, 116, 117, 118, 119 and 126.

The same units were held an additional 5 days and read for larvicide/ovicide mortality and/or developmental effects. Of the compounds tested, the following gave activity levels of 80% or higher: 63.

TEST J

Contact Activity Against Green Leafhopper Nymphs

Three rice (*Oryza sativa*) seedlings at the 1.5-leaf stage and about 10-cm tall were transplanted into a 14-mL (1/2-ounce) plastic cup containing Kumiai Brown artificial soil. Seven milliliters of distilled water was then added to the cup. The test chemical was prepared by first dissolving the chemical in acetone and then adding water to produce a final test concentration of 75:25 (acetone-water). Four plastic cups, each cup serving as a replicate, were then placed on a spray chamber turntable. The cups were sprayed for 45 seconds with 50 mL of the chemical solution at a pressure of 2.0 kg/cm^2 with air-atomizing spray nozzles. The turntable completed 7.5 rotations during the

45-second spray interval. After chemical application, the treated cups were held in a vented enclosure to dry for about 2 h. After drying, the cups were placed into conical-shaped test units and the surface of the soil covered with 2 to 3 mm of quartz sand. Eight to ten 3rd-instar nymphs of the green leafhopper (*Nephotettix cincticeps*) were transferred into the test units using an aspirator. The test units were held at 27°C and 65% relative humidity. Counts of the number of live and dead nymphs were taken at 24 and 48 h post-infestation. Insects unable to walk were classified as dead. Of the compounds tested, the following gave mortality levels of 80% or higher at 48 h at an application rate equivalent to 0.05 kilograms per hectare: 12 and 54.

TEST K

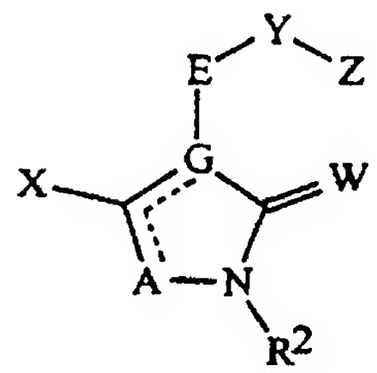
Larval two-Spotted Spider Mites (*Tetranychus urticae*)

Solutions of the test compounds were prepared by dissolving in a minimum of acetone and then adding water containing a wetting agent until the concentration of the compound was 50 ppm. Two-week old red kidney bean plants infested with two-spotted spider mites eggs were sprayed to run-off (equivalent to 28 g/ha) with the test solution using a turntable sprayer. Plants were held in a chamber at 25°C and 50% relative humidity. Of the compounds tested, the following gave larvicide/ovicide activity of 80% or higher seven days after spraying: 54 and 89.

CLAIMS

What is claimed is:

1. A compound selected from Formula I, *N*-oxides and agriculturally suitable salts thereof,



I

wherein

E is selected from:

i) 1,2-phenylene optionally substituted with one of R^3, R^4, or both R^3 and R^4;

ii) a naphthalene ring, provided that when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, the naphthalene ring optionally substituted with one of R^3, R^4, or both R^3 and R^4; and

iii) a ring system selected from 5 to 12-membered monocyclic and fused bicyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each fused bicyclic ring system optionally containing one nonaromatic ring that optionally includes one or two Q as ring members and optionally includes one or two ring members independently selected from C(=O) and $S(O)_2$, provided that G is attached to an aromatic ring, and when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, each aromatic heterocyclic ring system optionally substituted with one of R^3, R^4, or both R^3 and R^4;

A is O; S; N; NR^5; or CR^{14};

G is C or N; provided that when G is C, then A is O, S or NR^5 and the floating double bond is attached to G; and when G is N, then A is N or CR^{14} and the floating double bond is attached to A;

W is O; S; NH; $N(C_1\text{-}C_6$ alkyl); or $NO(C_1\text{-}C_6$ alkyl);

X is OR^1; $S(O)_mR^1$; or halogen;

R^1 is C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; C_2-C_4 alkylcarbonyl; or C_2-C_4 alkoxycarbonyl;

R^2 is H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; C_2-C_4 alkylcarbonyl; C_2-C_4 alkoxycarbonyl; hydroxy; C_1-C_2 alkoxy; or acetyloxy;

R^3 and R^4 are each independently halogen; cyano; nitro; hydroxy; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_2-C_6 alkenyloxy; C_2-C_6 alkynyloxy; C_1-C_6 alkylthio; C_1-C_6 alkylsulfinyl; C_1-C_6 alkylsulfonyl; formyl; C_2-C_6 alkylcarbonyl; C_2-C_6 alkoxycarbonyl; $NH_2C(O)$; (C_1-C_4 alkyl)NHC(O); (C_1-C_4 alkyl)$_2$NC(O); $Si(R^{25})_3$; $Ge(R^{25})_3$; $(R^{25})_3Si$-C≡C-; or phenyl, phenylethynyl, benzoyl or phenylsulfonyl, each substituted with R^8 and optionally substituted with one or more R^{10}; or when E is 1,2-phenylene and R^3 and R^4 are attached to adjacent atoms, R^3 and R^4 can be taken together as C_3-C_5 alkylene, C_3-C_5 haloalkylene, C_3-C_5 alkenylene or C_3-C_5 haloalkenylene, each optionally substituted with 1-2 C_1-C_3 alkyl;

R^5 is H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; C_2-C_4 alkylcarbonyl; or C_2-C_4 alkoxycarbonyl;

Y is -O-; -S(O)$_n$-; -NR^{15}-; -C(=O)-; -CH(OR^{15})-; -CHR^6-; -CHR^{6}CHR^6-; -CR^6=CR^6-; -C≡C-; -CHR^{15}O-; -OCHR^{15}-; -CHR^{15}S(O)$_n$-; -S(O)$_n$CHR^{15}-; -CHR^{15}O-N=C(R^7)-; -(R^7)C=N-OCH(R^{15})-; -C(R^7)=N-O-; -O-N=C(R^7)-; -CHR^{15}OC(=O)N(R^{15})-; -CHR^{15}OC(=S)N(R^{15})-; -CHR^{15}OC(=O)O-; -CHR^{15}OC(=S)O-; -CHR^{15}OC(=O)S-; -CHR^{15}OC(=S)S-; -CHR^{15}SC(=O)N(R^{15})-; -CHR^{15}SC(=S)N(R^{15})-; -CHR^{15}SC(=O)O-; -CHR^{15}SC(=S)O-; -CHR^{15}SC(=O)S-; -CHR^{15}SC(=S)S-; -CHR^{15}SC(=NR^{15})S-; -CHR^{15}N(R^{15})C(=O)N(R^{15})-; -CHR^{15}O-N(R^{15})C(=O)N(R^{15})-; -CHR^{15}O-N(R^{15})C(=S)N(R^{15})-; -CHR^{15}O-N=C(R^7)NR^{15}-; -CHR^{15}O-N=C(R^7)OCH$_2$-; -CHR^{15}O-N=C(R^7)-N=N-; -CHR^{15}O-N=C(R^7)-C(=O)-; -CHR^{15}O-N=C(R^7)-C(=N-A^2-Z^1)-A^1-; -CHR^{15}O-N=C(R^7)-C(R^7)=N-A^2-A^3-; -CHR^{15}O-N=C(-C(R^7)=N-A^2-Z^1)-; -CHR^{15}O-N=C(R^7)-CH$_2$O-; -CHR^{15}O-N=C(R^7)-CH$_2$S-; -O-CH$_2$CH$_2$O-N=C(R^7)-; -CHR^{15}O-C(R^{15})=C(R^7)-; -CHR^{15}O-C(R^7)=N-; -CHR^{15}S-C(R^7)=N-; -C(R^7)=N-NR^{15}-; -CH=N-N=C(R^7)-; -CHR^{15}N(R^{15})-N=C(R^7)-; -CHR^{15}N(COCH$_3$)-N=C(R^7)-;

-OC(=S)NR^{15}C(=O)-; -CHR^6-C(=W^1)-A^1-; -CHR^{6}CHR^6-C(=W^1)-A^1-; -CR^6=CR^6-C(=W^1)-A^1-; -C≡C-C(=W^1)-A^1-; -N=CR^6-C(=W^1)-A^1-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to E and the moiety on the right side of the linkage is bonded to Z;

Z^1 is H or -A^3-Z^2;

W^1 is O or S;

A^1 is O; S; NR^{15}; or a direct bond;

A^2 is O; NR^{15}; or a direct bond;

A^3 is -C(=O)-; -S(O)$_2$-; or a direct bond;

Z^2 is selected from:

i) C_1-C_{10} alkyl, C_2-C_{10} alkenyl and C_2-C_{10} alkynyl, each optionally substituted with one or more R^{10};

ii) C_3-C_8 cycloalkyl, C_3-C_8 cycloalkenyl and phenyl, each optionally substituted with one or more R^{10};

iii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic and fused tricyclic nonaromatic heterocyclic ring systems and 5 to 14-membered monocyclic, fused bicyclic and fused tricyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system optionally substituted with one or more R^{10};

iv) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from C(=O) and S(O)$_2$, and any remaining rings as aromatic carbocyclic rings, each multicyclic ring system optionally substituted with one or more R^{10}; and

v) adamantyl optionally substituted with one or more R^{10};

each R^6 is independently H; 1-2 CH_3; C_2-C_3 alkyl; C_1-C_3 alkoxy; C_3-C_6 cycloalkyl; formylamino; C_2-C_4 alkylcarbonylamino; C_2-C_4 alkoxycarbonylamino; $NH_2C(O)NH$; (C_1-C_3 alkyl)NHC(O)NH; (C_1-C_3 alkyl)$_2$NC(O)NH; N(C_1-C_3 alkyl)$_2$; piperidinyl; morpholinyl; 1-2 halogen; cyano; or nitro;

each R^7 is independently H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_1-C_6 alkylthio; C_1-C_6 alkylsulfinyl; C_1-C_6 alkylsulfonyl; C_1-C_6 haloalkylthio; C_1-C_6 haloalkylsulfinyl; C_1-C_6 haloalkylsulfonyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; C_2-C_4 alkylcarbonyl; C_2-C_4 alkoxycarbonyl; halogen; cyano; nitro; hydroxy; amino; NH(C_1-C_6 alkyl); N(C_1-C_6 alkyl)$_2$; or morpholinyl;

Z is selected from:

i) C_3-C_8 cycloalkyl, C_3-C_8 cycloalkenyl and phenyl, each substituted with R^9 and optionally substituted with one or more R^{10};

ii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic and fused tricyclic nonaromatic heterocyclic ring systems and 5 to 14-membered monocyclic, fused bicyclic and fused tricyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system substituted with R^9 and optionally substituted with one or more R^{10};

iii) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from C(=O) and S(O)$_2$, and any remaining rings as aromatic carbocyclic rings, each multicyclic ring system substituted with R^9 and optionally substituted with one or more R^{10}; and

iv) adamantyl substituted with R^9 and optionally substituted with one or more R^{10};

each Q is independently selected from the group -CHR^{13}-, -NR^{13}-, -O- and -S(O)$_p$-;

R^8 is H; 1-2 halogen; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_1-C_6 alkylthio; C_1-C_6 haloalkylthio; C_1-C_6 alkylsulfinyl; C_1-C_6 alkylsulfonyl; C_3-C_6 cycloalkyl; C_3-C_6 alkenyloxy; CO_2(C_1-C_6 alkyl); NH(C_1-C_6 alkyl); N(C_1-C_6 alkyl)$_2$; cyano; nitro; Si$R^{19}R^{20}R^{21}$; or Ge$R^{19}R^{20}R^{21}$;

R^9 is C_1-C_6 alkyl substituted with 2-3 C_1-C_3 alkoxy; C_2-C_4 alkynyl substituted with one hydroxy or 1-3 C_1-C_4 alkoxy; C_2-C_6 haloalkynyl; C_3-C_6

cycloalkyl substituted with at least one member selected from 1-4 halogen, 1-2 C_1-C_3 alkyl, 1-2 C_1-C_3 alkoxy and one Z^3; C_3-C_6 cycloalkenyl or C_3-C_6 cycloalkoxy each optionally substituted with at least one member selected from 1-2 halogen, 1-2 C_1-C_3 alkyl, 1-2 C_1-C_3 alkoxy and one Z^3; adamantyl; C_2-C_6 alkoxyalkyl; C_2-C_6 alkylthioalkyl; C_2-C_6 cyanoalkyl; C_3-C_6 alkoxyalkynyl; C_7-C_{10} tetrahydropyranyloxyalkynyl; C_1-C_3 alkoxy substituted with cyano, $C(=O)OR^{26}$ or $C(=O)N(R^{26})_2$; C_3-C_6 haloalkenyloxy; C_3-C_6 alkynyloxy; C_3-C_6 haloalkynyloxy; C_2-C_6 alkoxyalkoxy; C_5-C_9 trialkylsilylalkoxyalkoxy; C_2-C_6 alkylthioalkoxy; C_1-C_3 alkylthio substituted with cyano, $C(=O)OR^{26}$ or $C(=O)N(R^{26})_2$; C_1-C_6 haloalkylsulfinyl; C_1-C_6 haloalkylsulfonyl; C_3-C_6 alkenylthio; C_3-C_6 haloalkenylthio; C_3-C_6 alkynylthio; C_3-C_6 haloalkynylthio; C_2-C_6 alkoxyalkylthio; C_2-C_6 alkylthioalkylthio; thiocyanato; hydroxy; mercapto; amino; $N(R^{26})(R^{28})$; $SiR^{22}R^{23}R^{24}$; $GeR^{22}R^{23}R^{24}$; $(R^{25})_3Si$-C≡C-; $OSi(R^{25})_3$; $OGe(R^{25})_3$; $C(=O)R^{29}$; $C(=S)R^{26}$; $C(=O)OR^{30}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$; $C(=O)N(R^{26})_2$; $C(=S)N(R^{26})_2$; $C(=NR^{26})OR^{27}$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$; $SC(=S)R^{26}$; $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$; $OC(=O)SR^{27}$; $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; $OS(O)_2R^{27}$; or $N(R^{26})S(O)_2R^{27}$; or R^9 is benzyloxy, benzyloxymethyl, phenylethynyl, phenoxymethyl, phenylthio, phenylsulfonyl, benzylthio, pyridinylmethyl, pyridinylmethyloxy, pyridinyloxymethyl, pyridinylethynyl, pyridinylthio, thienylmethyl, thienylthio, furanylmethyl, furanyloxy, furanylthio, pyrimidinylmethyl or pyrimidinylthio, each optionally substituted on the aromatic ring with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or R^9 is C_2-C_6 alkyl or C_2-C_6 alkoxy substituted with 1-2 phenyl, naphthalenyl, phenoxy, benzyloxy, pyridinyl, pyrimidinyl, thienyl or furanyl, each aromatic ring optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or R^9 is $-A^4$-Z^4;

each R^{10} is independently halogen; C_1-C_4 alkyl optionally substituted with 1-3 C_1-C_3 alkoxy; C_1-C_4 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; C_2-C_6 alkoxyalkyl; C_2-C_6 alkylthioalkyl; C_2-C_6 cyanoalkyl; C_3-C_6 alkoxyalkynyl; C_7-C_{10} tetrahydropyranyloxyalkynyl; benzyloxymethyl; C_1-C_4 alkoxy; C_1-C_4 haloalkoxy; C_3-C_6 alkenyloxy; C_3-C_6 haloalkenyloxy; C_3-C_6 alkynyloxy; C_3-C_6 haloalkynyloxy; C_3-C_6 cycloalkoxy; C_2-C_6 alkoxyalkoxy; C_5-C_9 trialkylsilylalkoxyalkoxy; C_2-C_6 alkylthioalkoxy; C_1-C_4 alkylthio; C_1-C_4 haloalkylthio; C_1-C_4 alkylsulfinyl; C_1-C_4 haloalkylsulfinyl; C_1-C_4

alkylsulfonyl; C_1-C_4 haloalkylsulfonyl; C_3-C_6 alkenylthio; C_3-C_6 haloalkenylthio; C_3-C_6 alkynylthio; C_3-C_6 haloalkynylthio; C_2-C_6 alkoxyalkylthio; C_2-C_6 alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; mercapto; $N(R^{26})_2$; SF_5; $Si(R^{25})_3$; $Ge(R^{25})_3$; $(R^{25})_3Si$-C≡C-; $OSi(R^{25})_3$; $OGe(R^{25})_3$; -$C(R^{18})$=NOR^{17}; $C(=O)R^{26}$; $C(=S)R^{26}$; $C(=O)OR^{26}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$; $C(=O)N(R^{26})_2$; $C(=S)N(R^{26})_2$; $C(=NR^{26})OR^{27}$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$; $SC(=S)R^{26}$; $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$; $OC(=O)SR^{27}$; $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; $OS(O)_2R^{27}$; $N(R^{26})S(O)_2R^{27}$; or phenyl, benzyl or phenoxy, each optionally substituted on the phenyl ring with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or

when Y and an R^{10} are attached to adjacent atoms on Z and Y is -CHR^{15}O-N=$C(R^7)$-, -O-N=$C(R^7)$-, -O-CH_2CH_2O-N=$C(R^7)$-, -CHR^{15}O-$C(R^{15})$=$C(R^7)$-, -CH=N-N=$C(R^7)$-, -$CHR^{15}N(R^{15})$-N=$C(R^7)$- or -$CHR^{15}N(COCH_3)$-N=$C(R^7)$-, R^7 and said adjacently attached R^{10} can be taken together as -$(CH_2)_r$-J- such that J is attached to Z;

J is -CH_2-; -CH_2CH_2-; -OCH_2-; -CH_2O-; -SCH_2-; -CH_2S-; -$N(R^{16})CH_2$-; or -$CH_2N(R^{16})$-; each CH_2 group of said J optionally substituted with 1 to 2 CH_3;

Z^3 is phenyl, naphthalenyl, 1*H*-pyrrolyl, furanyl, thienyl, 1*H*-pyrazolyl, 1*H*-imidazolyl, isoxazolyl, oxazolyl, isothiazolyl, thiazolyl, 1*H*-1,2,3-triazolyl, 2*H*-1,2,3-triazolyl, 1*H*-1,2,4-triazolyl, 4*H*-1,2,4-triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1*H*-tetrazolyl, 2*H*-tetrazolyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl or 1,2,4,5-tetrazinyl, each optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12};

A^4 is O; S; straight-chain or branched C_1-C_6 alkylene; or a direct bond;

Z^4 is selected from:

i) 1*H*-pyrrolyl, 1*H*-pyrazolyl, 1*H*-imidazolyl, isoxazolyl, oxazolyl, isothiazolyl, thiazolyl, 1*H*-1,2,3-triazolyl, 2*H*-1,2,3-triazolyl, 1*H*-1,2,4-triazolyl, 4*H*-1,2,4-triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1*H*-tetrazolyl, 2*H*-tetrazolyl, pyridazinyl, pyrazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl and 1,2,4,5-tetrazinyl; each optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12};

ii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic

and fused tricyclic nonaromatic heterocyclic ring systems and 8 to 14-membered fused bicyclic and fused tricyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}; and

iii) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from C(=O) and $S(O)_2$, and any remaining rings as aromatic carbocyclic rings, each multicyclic ring system optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12};

each R^{11} and each R^{12} are independently 1-2 halogen; C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_2-C_6 alkoxyalkyl; C_2-C_6 alkylthioalkyl; C_3-C_6 alkoxyalkynyl; C_7-C_{10} tetrahydropyranyloxyalkynyl; benzyloxymethyl; C_1-C_4 alkoxy; C_1-C_4 haloalkoxy; C_3-C_6 alkenyloxy; C_3-C_6 haloalkenyloxy; C_3-C_6 alkynyloxy; C_3-C_6 haloalkynyloxy; C_2-C_6 alkoxyalkoxy; C_5-C_9 trialkylsilylalkoxyalkoxy; C_2-C_6 alkylthioalkoxy; C_1-C_4 alkylthio; C_1-C_4 haloalkylthio; C_1-C_4 alkylsulfinyl; C_1-C_4 haloalkylsulfinyl; C_1-C_4 alkylsulfonyl; C_1-C_4 haloalkylsulfonyl; C_3-C_6 alkenylthio; C_3-C_6 haloalkenylthio; C_2-C_6 alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; mercapto; $N(R^{26})_2$; SF_5; $Si(R^{25})_3$; $Ge(R^{25})_3$; $(R^{25})_3Si$-C≡C-; $OSi(R^{25})_3$; $OGe(R^{25})_3$; $C(=O)R^{26}$; $C(=S)R^{26}$; $C(=O)OR^{26}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$; $C(=O)N(R^{26})_2$; $C(=S)N(R^{26})_2$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$; $SC(=S)R^{26}$; $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$; $OC(=O)SR^{27}$; $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; $OS(O)_2R^{27}$; $N(R^{26})S(O)_2R^{27}$; or phenyl, phenoxy, benzyl, benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted on the aromatic ring with 1-2 groups independently selected from halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro and cyano;

each R^{13} is independently H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; or phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro or cyano;

R^{14} is H; halogen; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; or C_3-C_6 cycloalkyl;

each R^{15} is independently H; C_1-C_3 alkyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro or cyano; or

when Y is $-CHR^{15}N(R^{15})C(=O)N(R^{15})-$, the two R^{15} attached to nitrogen atoms on said group can be taken together as $-(CH_2)_s-$; or

when Y is $-CHR^{15}O-N=C(R^7)NR^{15}-$, R^7 and the adjacently attached R^{15} can be taken together as $-CH_2-(CH_2)_s-$; $-O-(CH_2)_s-$; $-S-(CH_2)_s-$; or $-N(C_1$-C_3 alkyl$)-(CH_2)_s-$; with the directionality of said linkage defined such that the moiety depicted on the left side of the linkage is bonded to the carbon and the moiety on the right side of the linkage is bonded to the nitrogen;

R^{16}, R^{17}, and R^{18} are each independently H; C_1-C_3 alkyl; C_3-C_6 cycloalkyl; or phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro or cyano;

R^{19}, R^{20}, R^{21}, R^{22}, and R^{23} are each independently C_1-C_6 alkyl; C_1-C_4 haloalkyl; C_2-C_6 alkenyl; C_1-C_4 alkoxy; or phenyl;

R^{24} is C_1-C_4 haloalkyl;

each R^{25} is independently C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_4 alkenyl; C_1-C_4 alkoxy; or phenyl;

each R^{26} is independently H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro and cyano;

each R^{27} is independently C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro and cyano;

each R^{28} is independently C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups

independently selected from halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro and cyano;

R^{29} is H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or benzyl optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro and cyano;

R^{30} is H; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro and cyano;

m, n and p are each independently 0, 1 or 2;

r is 0 or 1; and

s is 2 or 3;

provided that when Y is $-CH(OR^{15})-$, $-CHR^6-$, $-CHR^6CHR^6-$, $-CR^6=CR^6-$, $-C\equiv C-$, $-CHR^{15}O-$, $-OCHR^{15}-$, $-S(O)_nCHR^{15}-$, $-(R^7)C=N-OCH(R^{15})-$, $-CHR^{15}O-N=C(R^7)-CH_2O-$, $-CHR^{15}O-C(R^{15})=C(R^7)-$, $-CHR^6-C(=W^1)-A^1-$, $-CHR^6CHR^6-C(=W^1)-A^1-$, $-CR^6=CR^6-C(=W^1)-A^1-$ or $-C\equiv C-C(=W^1)-A^1-$, then Z is other than phenyl, furanyl, thienyl, pyridinyl and pyrimidinyl.

2. A compound of Claim 1 wherein:

E is selected from the group 1,2-phenylene; 1,5-, 1,6-, 1,7-, 1,8-, 2,6-, 2,7-, 1,2-, and 2,3-naphthalenediyl; 1*H*-pyrrole-1,2-, 2,3- and 3,4-diyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 1*H*-pyrazole-1,5-, 3,4- and 4,5-diyl; 1*H*-imidazole-1,2-, 4,5- and 1,5-diyl; 3,4- and 4,5-isoxazolediyl; 4,5-oxazolediyl; 3,4- and 4,5-isothiazolediyl; 4,5-thiazolediyl; 1*H*-1,2,3-triazole-1,5- and 4,5-diyl; 2*H*-1,2,3-triazole-4,5-diyl; 1*H*-1,2,4-triazole-1,5-diyl; 4*H*-1,2,4-triazole-3,4-diyl; 1,2,3-oxadiazole-4,5-diyl; 1,2,5-oxadiazole-3,4-diyl; 1,2,3-thiadiazole-4,5-diyl; 1,2,5-thiadiazole-3,4-diyl; 1*H*-tetrazole-1,5-diyl; 2,3- and 3,4-pyridinediyl; 3,4- and 4,5-pyridazinediyl; 4,5-pyrimidinediyl; 2,3-pyrazinediyl; 1,2,3-triazine-4,5-diyl; 1,2,4-triazine-5,6-diyl; 1*H*-indole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 1,2-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; benzo[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 1*H*-indazole-1,4-, 1,5-, 1,6-, 1,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl;

1*H*-benzimidazole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl; 1,2-benzisoxazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzoxazolediyl; 1,2-benzisothiazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzothiazolediyl; 2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3-, 3,4-, 5,6-, 6,7- and 7,8-quinolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-isoquinolinediyl; 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-cinnolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 5,6-, 6,7- and 7,8-phthalazinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-quinazolinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 2,3-, 5,6-, 6,7- and 7,8-quinoxalinediyl; 1,8,-naphthyridine-2,5-, 2,6-, 2,7-, 3,5-, 3,6-, 4,5-, 2,3- and 3,4-diyl; 2,6-, 2,7-, 4,6-, 4,7-, 6,7-pteridinediyl; pyrazolo[5,1-*b*]thiazole-2,6-, 2,7-, 3,6-, 3,7-, 2,3- and 6,7-diyl; thiazolo[2,3-*c*]-1,2,4-triazole-2,5-, 2,6-, 5,6-diyl; 2-oxo-1,3-benzodioxole-4,5- and 5,6-diyl; 1,3-dioxo-1*H*-isoindole-2,4-, 2,5-, 4,5- and 5,6-diyl; 2-oxo-2*H*-1-benzopyran-3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-diyl; [1,2,4]triazolo[1,5-*a*]pyridine-2,5-, 2,6-, 2,7-, 2,8-, 5,6-, 6,7- and 7,8-diyl; 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazine-3,5-, 3,6-, 3,7-, 3,8-, 5,6-, 6,7- and 7,8-diyl; 2,3-dihydro-2-oxo-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl; thieno[3,2-*d*]thiazole-2,5-, 2,6-, and 5,6-diyl; 5,6,7,8-tetrahydro-2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3- and 3,4-quinolinediyl; 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazole-2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl; 1,3-benzodioxole-2,4-, 2,5-, 4,5- and 5,6-diyl; 2,3-dihydro-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl; 2,3-dihydro-1,4-benzodioxin-2,5-, 2,6-, 2,7-, 2,8-, 5,6- and 6,7-diyl; and 5,6,7,8-tetrahydro-4*H*-cyclohepta[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 2,8-, 3,4-, 3,5-, 3,6-, 3,7-, 3,8-, and 2,3-diyl; each aromatic ring system optionally substituted with one of R^3, R^4, or both R^3 and R^4;

W is O;

R^1 is C_1-C_3 alkyl or C_1-C_3 haloalkyl;

R^2 is H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; or C_3-C_6 cycloalkyl;

R^3 and R^4 are each independently halogen; cyano; nitro; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_1-C_6 alkylthio; C_1-C_6 alkylsulfonyl; C_2-C_6 alkylcarbonyl; C_2-C_6 alkoxycarbonyl; (C_1-C_4 alkyl)NHC(O); (C_1-C_4 alkyl)$_2$NC(O); benzoyl; or phenylsulfonyl;

Y is -O-; -S(O)$_n$-; -NR^{15}-; -C(=O)-; -CH(OR^{15})-; -CH$_2$-; -CH$_2$CH$_2$-; -CH=CH-; -C≡C-; -CH$_2$O-; -OCH$_2$-; -CH$_2$S(O)$_n$-; -S(O)$_n$CH$_2$-; -CH$_2$O-N=C(R^7)-; -(R^7)C=N-OCH(R^{15})-; -C(R^7)=N-O-; or a direct bond;

R^7 is H; C$_1$-C$_6$ alkyl; C$_1$-C$_6$ haloalkyl; C$_1$-C$_6$ alkoxy; C$_1$-C$_6$ alkylthio; C$_2$-C$_6$ alkenyl; C$_2$-C$_6$ alkynyl; C$_3$-C$_6$ cycloalkyl; halogen; or cyano; or

when Y and an R^{10} are attached to adjacent atoms on Z and Y is -CH$_2$O-N=C(R^7)-, R^7 and said adjacently attached R^{10} can be taken together as -(CH$_2$)$_r$-J- such that J is attached to Z;

Z is selected from the group C$_3$-C$_8$ cycloalkyl; phenyl; naphthalenyl; anthracenyl; phenanthrenyl; 1*H*-pyrrolyl; furanyl; thienyl; 1*H*-pyrazolyl; 1*H*-imidazolyl; isoxazolyl; oxazolyl; isothiazolyl; thiazolyl; 1*H*-1,2,3-triazolyl; 2*H*-1,2,3-triazolyl; 1*H*-1,2,4-triazolyl; 4*H*-1,2,4-triazolyl; 1,2,3-oxadiazolyl; 1,2,4-oxadiazolyl; 1,2,5-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,3-thiadiazolyl; 1,2,4-thiadiazolyl; 1,2,5-thiadiazolyl; 1,3,4-thiadiazolyl; 1*H*-tetrazolyl; 2*H*-tetrazolyl; pyridinyl; pyridazinyl; pyrimidinyl; pyrazinyl; 1,3,5-triazinyl; 1,2,4-triazinyl; 1,2,4,5-tetrazinyl; 1*H*-indolyl; benzofuranyl; benzo[*b*]thiophenyl; 1*H*-indazolyl; 1*H*-benzimidazolyl; benzoxazolyl; benzothiazolyl; quinolinyl; isoquinolinyl; cinnolinyl; phthalazinyl; quinazolinyl; quinoxalinyl; 1,8-naphthyridinyl; pteridinyl; 2,3-dihydro-1*H*-indenyl; 1,2,3,4-tetrahydronaphthalenyl; 6,7,8,9-tetrahydro-5*H*-benzocycloheptenyl; 5,6,7,8,9,10-hexahydrobenzocyclooctenyl; 2,3-dihydro-3-oxobenzofuranyl; 1,3-dihydro-1-oxoisobenzofuranyl; 2,3-dihydro-2-oxobenzofuranyl; 3,4-dihydro-4-oxo-2*H*-1-benzopyranyl; 3,4-dihydro-1-oxo-1*H*-2-benzopyranyl; 3,4-dihydro-3-oxo-1*H*-2-benzopyranyl; 3,4-dihydro-2-oxo-2*H*-1-benzopyranyl; 4-oxo-4*H*-1-benzopyranyl; 2-oxo-2*H*-1-benzopyranyl; 2,3,4,5-tetrahydro-5-oxo-1-benzoxepinyl; 2,3,4,5-tetrahydro-2-oxo-1-benzoxepinyl; 2,3-dihydro-1,3-dioxo-1*H*-isoindolyl; 1,2,3,4-tetrahydro-1,3-dioxoisoquinolinyl; 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazinyl; 2-oxo-1,3-benzodioxolyl; 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazolyl; 9*H*-fluorenyl; azulenyl; and thiazolo[2,3-*c*]-1,2,4-triazolyl; each group substituted with R^9 and optionally substituted with one or more R^{10}; and

R^{15} is H; C$_1$-C$_3$ alkyl; or C$_3$-C$_6$ cycloalkyl.

3. A compound of Claim 2 wherein:

E is selected from the group 1,2-phenylene; 1,6-, 1,7-, 1,2-, and 2,3-naphthalenediyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 2,3- and 3,4-pyridinediyl; 4,5-pyrimidinediyl; 2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; and benzo[*b*]thiophene-2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-diyl; each aromatic ring system optionally substituted with one of R^3, R^4, or both R^3 and R^4;

Z is selected from the group phenyl; naphthalenyl; 2-thiazolyl; 1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl; 1,3,4-thiadiazolyl; pyridinyl; and pyrimidinyl; each group substituted with R^9 and optionally substituted with one or more R^{10};

R^7 is H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 alkylthio; C_2-C_6 alkenyl; C_2-C_6 alkynyl; cyclopropyl; halogen; or cyano;

R^9 is C_3-C_6 cycloalkyl substituted with at least one member selected from 1-2 halogen, 1-2 C_1-C_3 alkyl, 1-2 C_1-C_3 alkoxy, and one Z^3; C_3-C_6 cycloalkoxy optionally substituted with at least one member selected from 1-2 halogen, 1-2 C_1-C_3 alkyl, 1-2 C_1-C_3 alkoxy, and one Z^3; C_1-C_6 haloalkylsulfinyl; C_1-C_6 haloalkylsulfonyl; thiocyanato; $SiR^{22}R^{23}R^{24}$; $GeR^{22}R^{23}R^{24}$; $(R^{25})_3Si$-C≡C-; $C(=O)R^{29}$; $C(=O)OR^{30}$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; or $OS(O)_2R^{27}$; or R^9 is benzyloxy, phenylethynyl, phenoxymethyl, phenylthio, phenylsulfonyl, benzylthio, pyridinylmethyloxy, pyridinyloxymethyl, pyridinylethynyl or furanyloxy, each optionally substituted on the aromatic ring with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or R^9 is C_2-C_6 alkyl or C_2-C_6 alkoxy substituted with 1-2 phenyl, naphthalenyl, phenoxy, benzyloxy, pyridinyl, pyrimidinyl, thienyl or furanyl, each aromatic ring optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or R^9 is $-A^4$-Z^4;

each R^{10} is independently halogen; C_1-C_4 haloalkyl; C_2-C_6 alkynyl; nitro; cyano; $Si(R^{25})_3$; or $(R^{25})_3Si$-C≡C-; or

when Y and an R^{10} are attached to adjacent atoms on Z and Y is $-CH_2O$-N=C(R^7)-, R^7 and said adjacently attached R^{10} can be taken together as $-(CH_2)_r$-J- such that J is attached to Z;

J is $-CH_2$- or $-CH_2CH_2$-;

Z^3 is phenyl, furanyl, thienyl or pyridinyl, each optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12};

A^4 is a direct bond;

Z^4 is 1,3-benzodioxolyl optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}; and

r is 1.

4. A compound of Claim 3 wherein:

E is selected from the group 1,2-phenylene; 2,3- and 3,4-thiophenediyl; and 2,3- and 3,4-pyridinediyl; each aromatic ring system optionally substituted with one of R^3, R^4, or both R^3 and R^4;

A is O or N;

X is OR^1;

R^1 is C_1-C_3 alkyl;

R^2 is H or C_1-C_2 alkyl;

Y is -O-; $-S(O)_n$-; $-NR^{15}$-; -C(=O)-; $-CH(OR^{15})$-; $-CH_2$-; $-CH_2CH_2$-; -CH=CH-; -C≡C-; $-CH_2O$-; $-OCH_2$-; $-CH_2S(O)_n$-; $-S(O)_nCH_2$-; $-CH_2O$-N=C(R^7)-; -(R^7)C=N-OCH(R^{15})-; $-CH_2OC(=O)NH$-; $-CH_2S$-C(R^7)=N-; or a direct bond;

Z is selected from the group phenyl; 2-thiazolyl; 1,2,4-thiadiazolyl; pyridinyl; and pyrimidinyl; each group substituted with R^9 and optionally substituted with one or more R^{10};

R^7 is H; C_1-C_3 alkyl; C_1-C_3 haloalkyl; C_1-C_3 alkoxy; C_1-C_3 alkylthio; or cyclopropyl; and

R^{15} is H; C_1-C_3 alkyl; or cyclopropyl.

5. A compound of Claim 4 wherein:

R^1 is methyl;

R^2 is methyl;

Y is -O-; $-CH_2O$-; $-CH_2O$-N=C(R^7)-; or -(R^7)C=N-OCH(R^{15})-;

R^9 is C_3-C_6 cycloalkyl substituted with one Z^3; C_3-C_6 cycloalkoxy; $SiR^{22}R^{23}R^{24}$; $GeR^{22}R^{23}R^{24}$; $(R^{25})_3Si$-C≡C-; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; or $OS(O)_2R^{27}$; or R^9 is benzyloxy or pyridinylmethyloxy, each optionally substituted on the aromatic ring with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or R^9 is C_2-C_6 alkyl substituted with phenyl optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or R^9 is -A^4-Z^4;

each R^{10} is independently halogen; C_1-C_4 haloalkyl; C_2-C_6 alkynyl; or $Si(R^{25})_3$; and

Z^3 is phenyl optionally substituted with one of R^{11}, R^{12}, or both R^{11} and R^{12}.

6. A compound of Claim 5 wherein:

Y is -O- or $-CH_2O$-N=C(R^7)-; and

R^9 is C_3-C_6 cycloalkyl substituted with one Z^3; C_3-C_6 cycloalkoxy; $SiR^{22}R^{23}R^{24}$; $GeR^{22}R^{23}R^{24}$; or $(R^{25})_3Si$-C≡C-; or R^9 is benzyloxy optionally substituted on the aromatic ring with one of R^{11}, R^{12}, or both R^{11} and R^{12}; or R^9 is -A^4-Z^4.

7. The compound of Claim 6 which is selected from the group:
4-[2-[[3-(1,3-benzodioxol-5-yl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
4-[2-[[[[1-[3-[dimethyl(3,3,3-trifluoropropyl)silyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
4-[2-[3-[(2-chlorophenyl)methoxy]phenoxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
2,4-dihydro-5-methoxy-2-methyl-4-[2-[[[[1-[3-[tris(trifluoromethyl)germyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-3*H*-1,2,4-triazol-3-one; and
2,4-dihydro-5-methoxy-2-methyl-4-[2-[3-[2-(trimethylsilyl)ethynyl]phenoxy]phenyl]-3*H*-1,2,4-triazol-3-one.

8. A fungicidal composition comprising a fungicidally effective amount of a compound of Claim 1 and at least one of a surfactant, a solid diluent or a liquid diluent.

9. A method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound of Claim 1.

10. An arthropodicidal composition comprising an arthropodicidally effective amount of a compound of Claim 1 and at least one of a surfactant, a solid diluent or a liquid diluent.

11. A method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodicidally effective amount of a compound of Claim 1.

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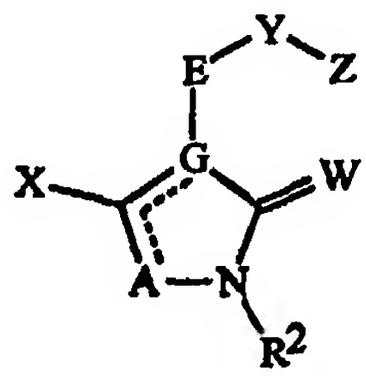
(57) Abstract

Compounds of Formula (I), and their N-oxides and agriculturally suitable salts, are disclosed which are useful as fungicides and arthropodicides, wherein A is O; S; N; NR^5; or CR^{14}; G is C or N; provided that when G is C, then A is O, S or NR^5 and the floating double bond is attached to G; and when G is N, then A is N or CR^{14} and the floating double bond is attached to A; W is O; S; NH; N(C_1-C_6alkyl); or NO(C_1-C_6alkyl); X is OR^1; $S(O)_mR^1$; or halogen; R^1 is C_1-C_6alkyl; C_1-C_6haloalkyl; C_2-C_6alkenyl; C_2-C_6haloalkenyl; C_2-C_6alkynyl; C_2-C_6haloalkynyl; C_3-C_6cycloalkyl; C_2-C_4alkylcarbonyl; or C_2-C_4alkoxycarbonyl; R^2 is H; C_1-C_6alkyl; C_1-C_6haloalkyl; C_2-C_6alkenyl; C_2-C_6haloalkenyl; C_2-C_6alkynyl; C_2-C_6haloalkynyl; C_3-C_6cycloalkyl; C_2-C_4alkylcarbonyl; C_2-C_4alkoxycarbonyl; hydroxy; C_1-C_2alkoxy; or acetyloxy; m is 0, 1 or 2; and E, R^5, Y, Z and R^{14} are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling plant diseases caused by fungal plant pathogens which involves applying an effective amount of a compound of Formula (I). Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling arthropods which involves contacting the arthropods or their environment with an effective amount of a compound of formula (I).



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CA	Canada	**IT**	Italy	**MX**	Mexico	**UZ**	Uzbekistan
CF	Central African Republic	**JP**	Japan	**NE**	Niger	**VN**	Viet Nam
CG	Congo	**KE**	Kenya	**NL**	Netherlands	**YU**	Yugoslavia
CH	Switzerland	**KG**	Kyrgyzstan	**NO**	Norway	**ZW**	Zimbabwe
CI	Côte d'Ivoire	**KP**	Democratic People's Republic of Korea	**NZ**	New Zealand		
CM	Cameroon			**PL**	Poland		
CN	China	**KR**	Republic of Korea	**PT**	Portugal		
CU	Cuba	**KZ**	Kazakstan	**RO**	Romania		
CZ	Czech Republic	**LC**	Saint Lucia	**RU**	Russian Federation		
DE	Germany	**LI**	Liechtenstein	**SD**	Sudan		
DK	Denmark	**LK**	Sri Lanka	**SE**	Sweden		
EE	Estonia	**LR**	Liberia	**SG**	Singapore		

INTERNATIONAL SEARCH REPORT

Int ional Application No
PCT/US 97/12809

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D249/12 A01N43/653 C07D413/10 C07D403/04 A01N43/74
C07D401/04 C07D261/12 C07D417/14 C07D403/10

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 95 14009 A (DU PONT ;BROWN RICHARD JAMES (US); SUN KING MO (US); FRASIER DEBOR) 26 May 1995 see the whole document ---	1-11
X	WO 96 17851 A (DU PONT ;BROWN RICHARD JAMES (US); DAUB JOHN POWELL (US); DRUMM JO) 13 June 1996 see the whole document ---	1-11
Y	WO 95 01971 A (BAYER AG ;BACHMANN JUERGEN (DE); BRETSCHNEIDER THOMAS (DE); FISCHE) 19 January 1995 see the whole document ---	1-11
Y	US 5 108 486 A (KONDO KIYOSHI ET AL) 28 April 1992 see the whole document ---	1-11

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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
31 March 1998	17. 04. 98
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Authorized officer Stellmach, J

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

Int. ional Application No
PCT/US 97/12809

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 92 16510 A (CIBA GEIGY AG) 1 October 1992 see the whole document	1-11
P,X	WO 96 26191 A (DU PONT ;BROWN RICHARD JAMES (US); FRASIER DEBORAH ANN (US); HAPPE) 29 August 1996 see the whole document	1-11
P,X	WO 96 36615 A (DU PONT ;BROWN RICHARD JAMES (US); SUN KING MO (US); FRASIER DEBOR) 21 November 1996 see the whole document	1-11
P,X	WO 96 36616 A (DU PONT ;BROWN RICHARD JAMES (US); FRASIER DEBORAH ANN (US); HOWAR) 21 November 1996 see the whole document	1-11
P,X	WO 96 38425 A (SUMITOMO CHEMICAL CO ;OHSUMI TADASHI (JP); HIROSE TARO (JP); UJIHA) 5 December 1996 see the whole document	1-11
P,X	WO 97 05120 A (SUMITOMO CHEMICAL CO ;OHSUMI TADASHI (JP); MATSUNAGA REI (JP)) 13 February 1997 see the whole document	1-11
P,X	WO 97 00612 A (DU PONT ;BROWN RICHARD JAMES (US); CHAN DOMINIC MING TAK (US); HOW) 9 January 1997 see the whole document	1-11
Y	EP 0 538 097 A (ROUSSEL UCLAF) 21 April 1993 see the whole document	1-11
Y	EP 0 267 734 A (ICI PLC) 18 May 1988 see the whole document	1-11
Y	WO 96 16044 A (BASF AG ;MUELLER BERND (DE); SAUTER HUBERT (DE); ROEHL FRANZ (DE);) 30 May 1996 see the whole document	1-11
Y	WO 94 22844 A (ZENECA LTD ;CLOUGH JOHN MARTIN (GB); CROWLEY PATRICK JELF (GB); DE) 13 October 1994 see the whole document	1-11
P,X	WO 96 36633 A (DU PONT ;BROWN RICHARD JAMES (US); DANIEL DILON JANCEY (US); FRASI) 21 November 1996 see the whole document	1-11

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Form PCT/ISA/210 (continuation of second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

Int. ional Application No
PCT/US 97/12809

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	WO 96 36229 A (DU PONT ;ANDREA TARIQ ARTHUR (US); BROWN RICHARD JAMES (US); COATS) 21 November 1996 see the whole document	1-11
P,Y	WO 96 29301 A (AGREVO UK LTD ;CORNELL CLIVE LEONARD (GB); RICHARDS IAN CHRISTOPHE) 26 September 1996 see the whole document	1-11
P,Y	WO 96 29305 A (AGREVO UK LTD ;CORNELL CLIVE LEONARD (GB); RICHARDS IAN CHRISTOPHE) 26 September 1996 see the whole document	1-11

3

INTERNATIONAL SEARCH REPORT

...ernational application No.
PCT/US 97/12809

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

see FURTHER INFORMATION sheet PCT/ISA/210

2. [X] Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

see FURTHER INFORMATION sheet PCT/ISA/210

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. [ ] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [X] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

1-11(part)

4. [ ] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

[X] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International Application No. PCT/US 97/12809

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

Claims Nos.: 1-11 partially

because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

For economical reasons (cf. PCT-Search Guidelines, C-III,2.1), the search has been limited to the classification units goverend by the compounds listed in the examples in tables 1-7 of the description (claims searched incompletely 1-11 partially).
Moreover, the small fixed
part of the molecule(s) and the large number of theoretically conceivable compounds deriving from combinations of all claimed substituents of the above list precludes a comprehensive search (cf. PCT Articles 6 and 15 and PCT Rule 33, Examination Guidelines, B-III, 3.6).

1. At the end of claim 1 (see page 123, lines 16 - 21) a proviso (positive disclaimer) is introduced, which refers to 15 generic definitions of Y in combination with 5 definitions for the substituent Z. This proviso is misleading as far as the overlap with the prior art is con-cerned. With regard to the available cited prior art this proviso appears not to be necessary, since novelty for the compounds E = i) is due to the definition for the substituent R9. Since the applicant in his reply to the non-unity objection has neither deleted the proviso nor given any explanation for its existence, at least two different special technical features are present in claim 1 in comparison with the relevant prior art (1) and (2).
2. The variants E = ii) (and
provisionally E= iii)) differ from the respective prior art by different structural modifications which require to start from a different prior art document. The search performed for E = ii) revealed that document EP-A-0 538 097 represents the closest prior art for at least one part of the second alleged invention. The novel structural element can be seen in the analogisation of the -X-Y-side chain attached at the 5-ring heterocycle-naphtha- lene basic skeleton or the position of this chain in the naphthalene skeleton (see the first proviso for E = ii)). Some of these claimed families of compounds may be considered to be unobvious analogues, for others the analogisation of the chain may be suggested from the prior art 'inter alia' WO-A-96/16044. Accordingly, at least two different technical chemical problems are solved by the at least 2 different inventions as searched (see the definition of the requirement of the "special technical feature", Rule 13.2 PCT). For E = iii) a final search was not performed.

INTERNATIONAL SEARCH REPORT

International Application No. PCT/US 97/12809

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

1. Claims: 1-11(partially)

Cyclic amides with the definition E = i), compositions comprising them and their use

2. Claims: 1-11(partially)

Cyclic amides with the definition E = ii), compositions comprising them and their use

3. Claims: 1-11(partially)

Cyclic amides with the definition E = iii), compositions comprising them and their use

INTERNATIONAL SEARCH REPORT

Information on patent family members

Inte onal Application No
PCT/US 97/12809

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
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		AU 7953594 A	06-06-95
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INTERNATIONAL SEARCH REPORT

Information on patent family members

Int ional Application No
PCT/US 97/12809

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INTERNATIONAL SEARCH REPORT

Information on patent family members

Int. ional Application No
PCT/US 97/12809

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
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